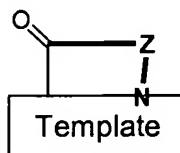


Applicant: Vrijbloed, et al.  
Application No: Unassigned  
Filing Date: Herewith  
Docket No: 753-50 PCT/US  
Page 3

**Amendments to the Claims:**

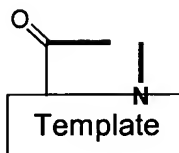
This listing of claims will replace all prior versions and listings, of claims in the application.

1. (original) Compounds of the general formula

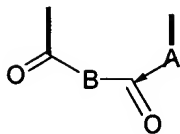


(I)

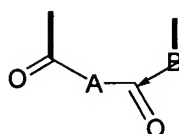
wherein



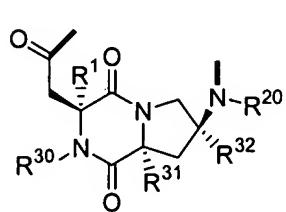
is a group of one of the formulae



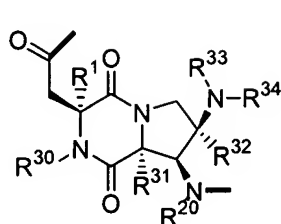
(a1)



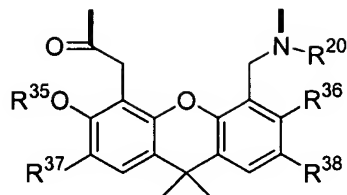
(a2)



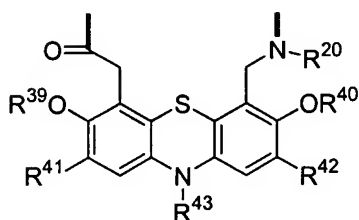
(b1)



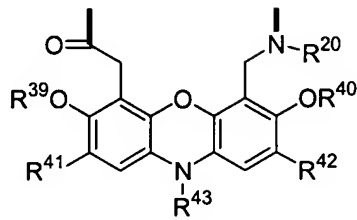
(b2)



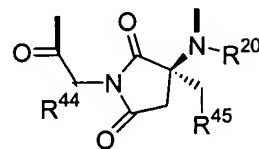
(c1)



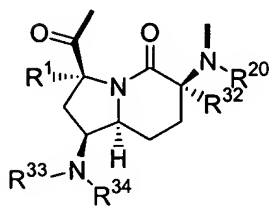
(c2)



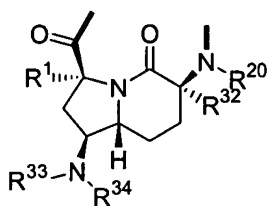
(c3)



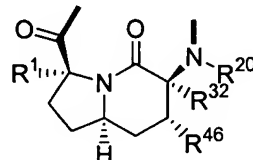
(d)



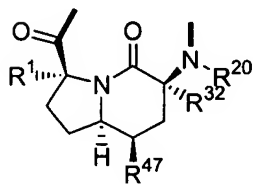
(e1)



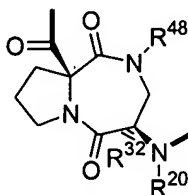
(e2)



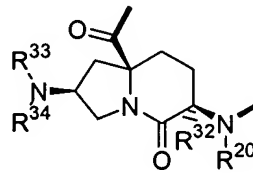
(e3)



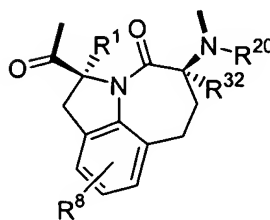
(e4)



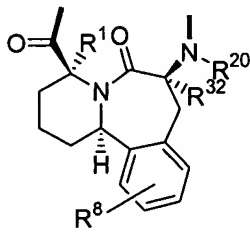
(f)



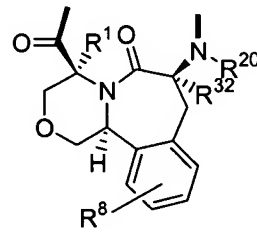
(g)



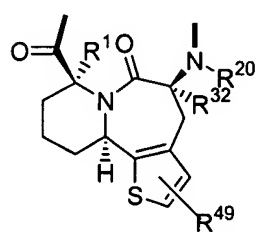
(h)



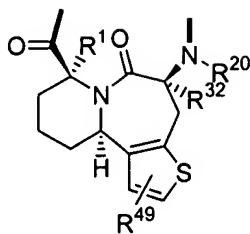
(i1)



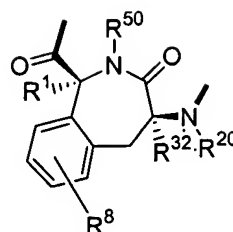
(i2)



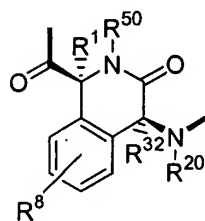
(i3)



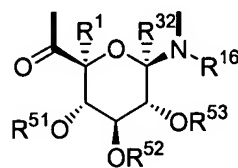
(i4)



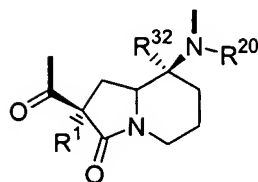
(j)



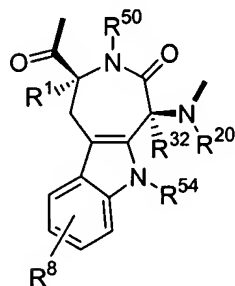
(k)



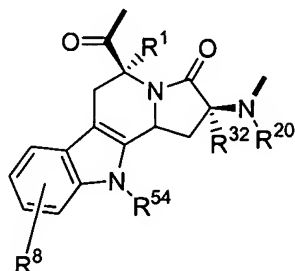
(l)



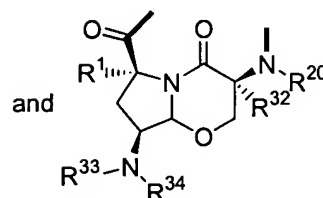
(m)



(n)

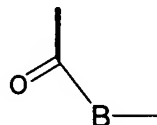


(o)



(p)

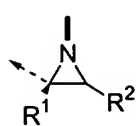
wherein



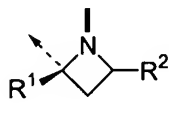
is the residue of an L- $\alpha$ -amino acid with B being a residue of formula  $-\text{NR}^{20}\text{CH}(\text{R}^{71})-$  or the enantiomer of one of the groups A1 to A69 as defined hereinafter;



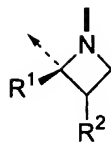
is a group of one of the formulae



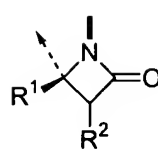
A1



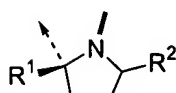
A2



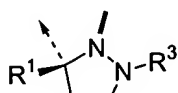
A3



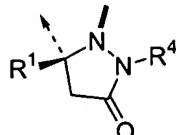
A4



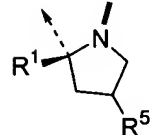
A5



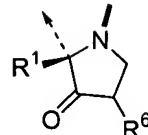
A6



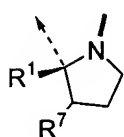
A7



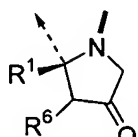
A8



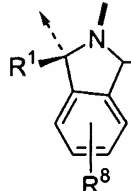
A9



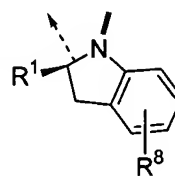
A10



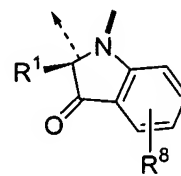
A11



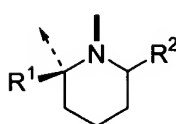
A12



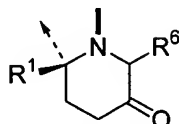
A13



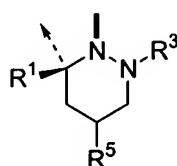
A14



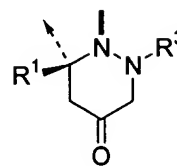
A15



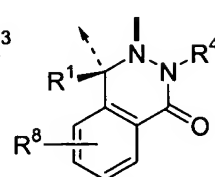
A16



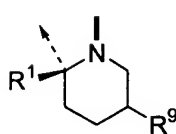
A17



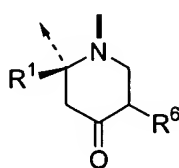
A18



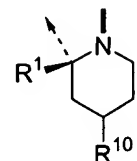
A19



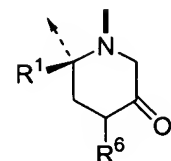
A20



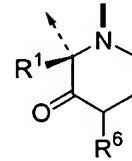
A21



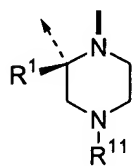
A22



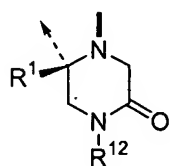
A23



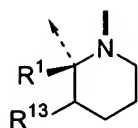
A24



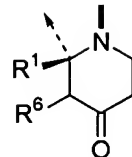
A25



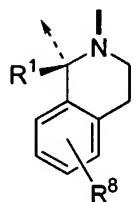
A26



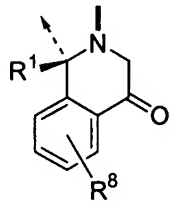
A27



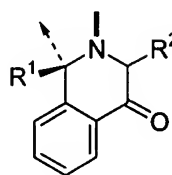
A28



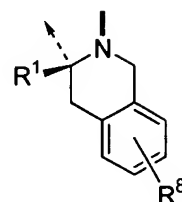
A29



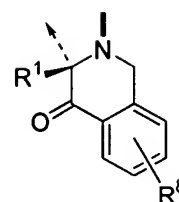
A30



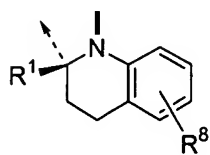
A31



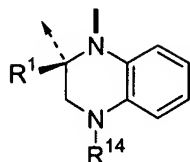
A32



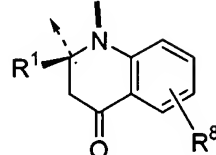
A33



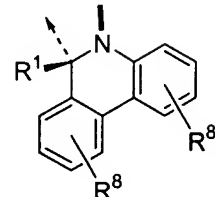
A34



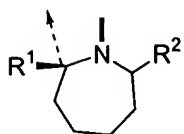
A35



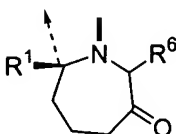
A36



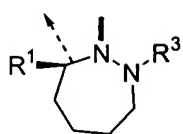
A37



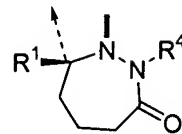
A38



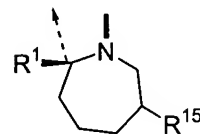
A39



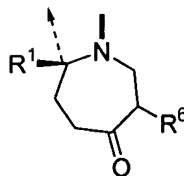
A40



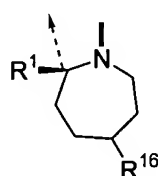
A41



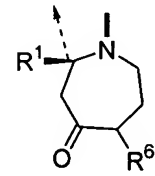
A42



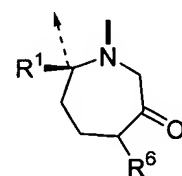
A43



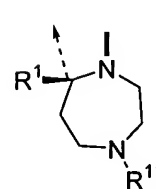
A44



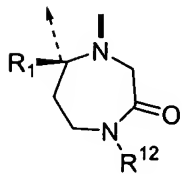
A45



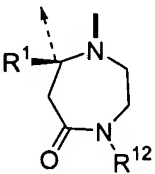
A46



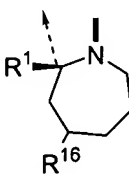
A47



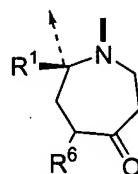
A48



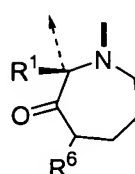
A49



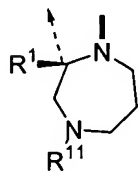
A50



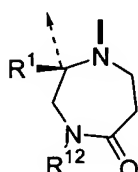
A51



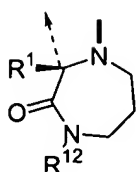
A52



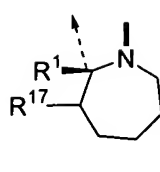
A53



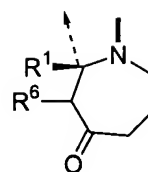
A54



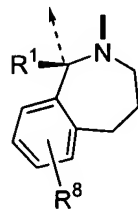
A55



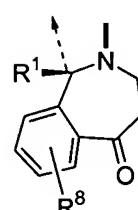
A56



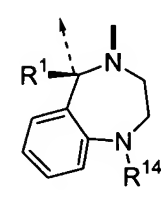
A57



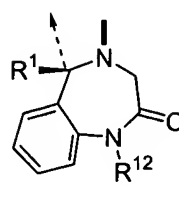
A58



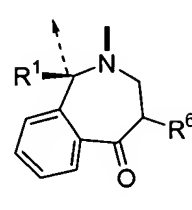
A59



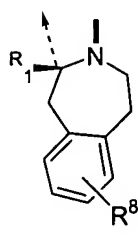
A60



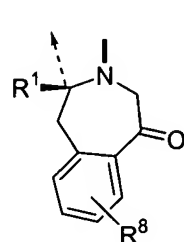
A61



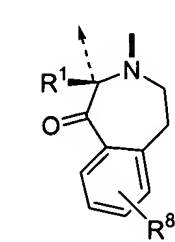
A62



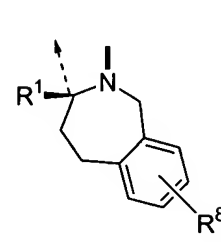
A63



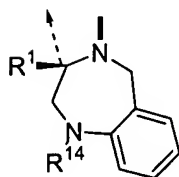
A64



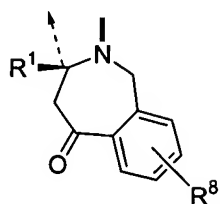
A65



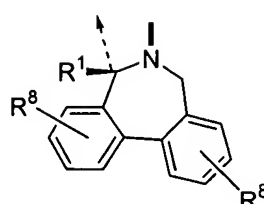
A66



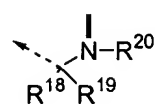
A67



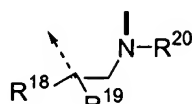
A68



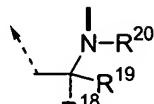
A69



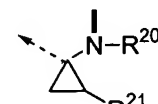
A70



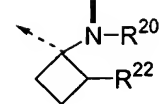
A71



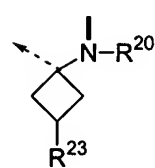
A72



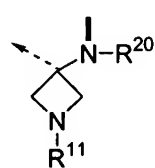
A73



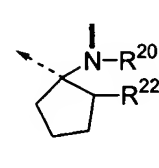
A74



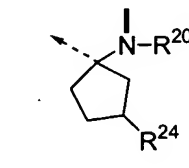
A75



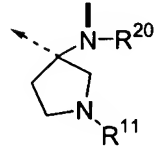
A76



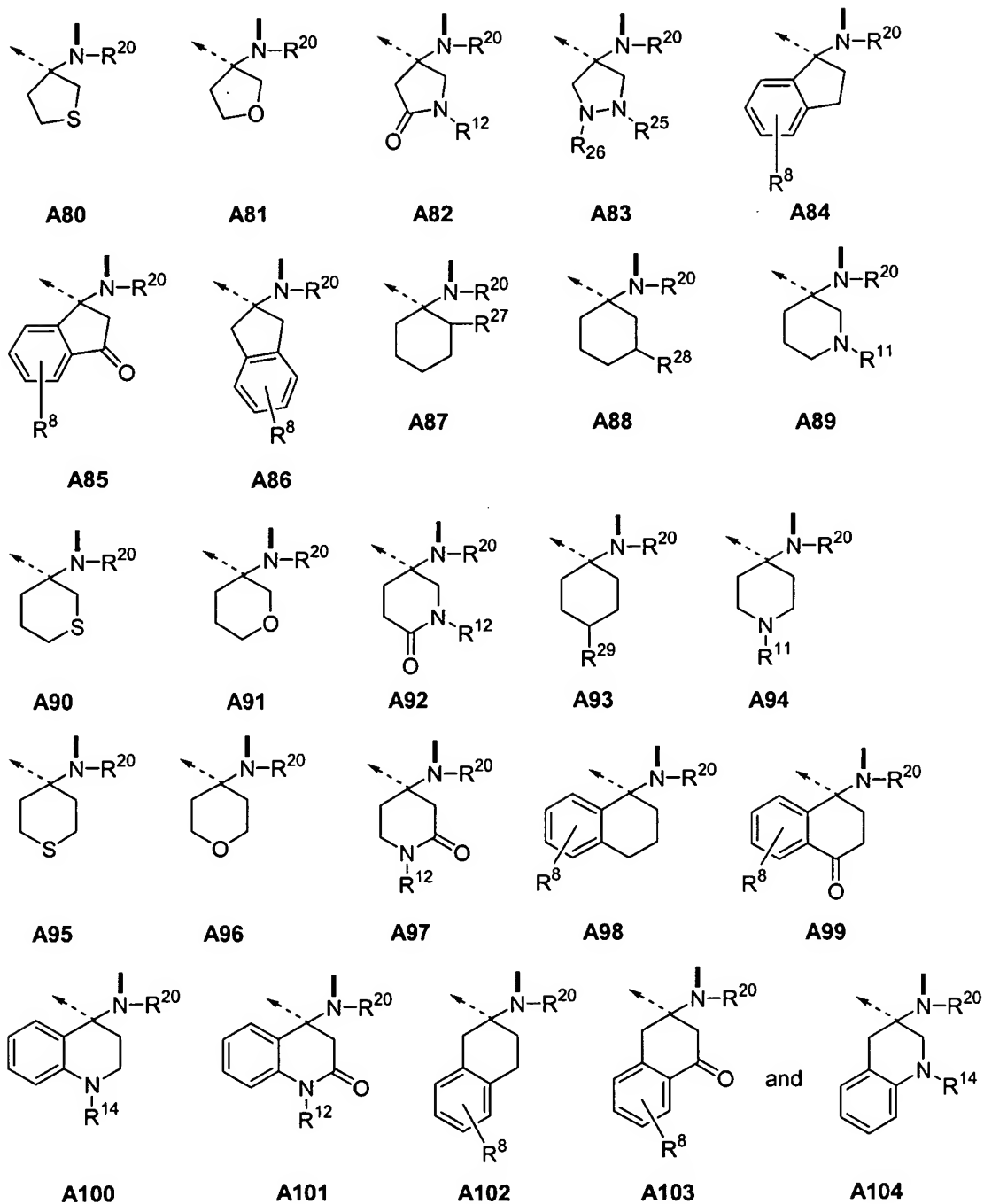
A77



A78

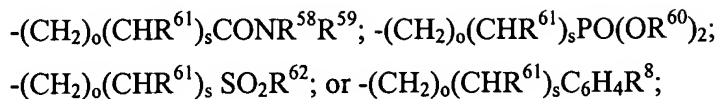


A79

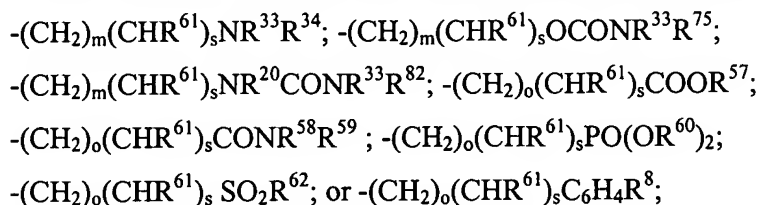


$R^1$  is H; lower alkyl; or aryl-lower alkyl;

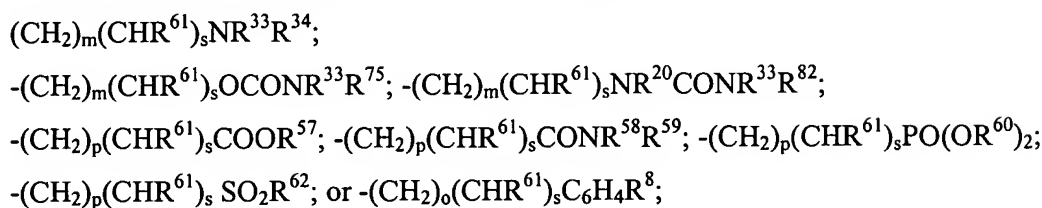
$R^2$  is H; alkyl; alkenyl;  $-(CH_2)_m(CHR^{61})_sOR^{55}$ ;  $-(CH_2)_m(CHR^{61})_sSR^{56}$ ;  
 $-(CH_2)_m(CHR^{61})_sNR^{33}R^{34}$ ;  $-(CH_2)_m(CHR^{61})_sOCONR^{33}R^{75}$ ;  
 $-(CH_2)_m(CHR^{61})_sNR^{20}CONR^{33}R^{82}$ ;  $-(CH_2)_o(CHR^{61})_sCOOR^{57}$ ;



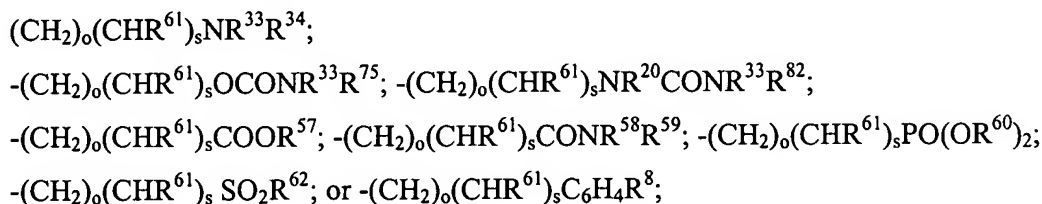
$\text{R}^3$  is H; alkyl; alkenyl;  $-(\text{CH}_2)_m(\text{CHR}^{61})_s\text{OR}^{55}$ ;  $-(\text{CH}_2)_m(\text{CHR}^{61})_s\text{SR}^{56}$ ;



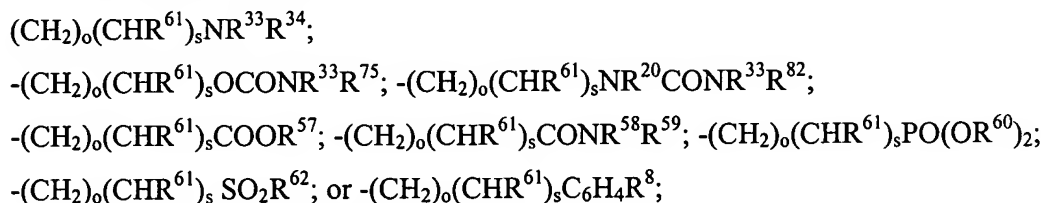
$\text{R}^4$  is H; alkyl; alkenyl;  $-(\text{CH}_2)_m(\text{CHR}^{61})_s\text{OR}^{55}$ ;  $-(\text{CH}_2)_m(\text{CHR}^{61})_s\text{SR}^{56}$ ; -



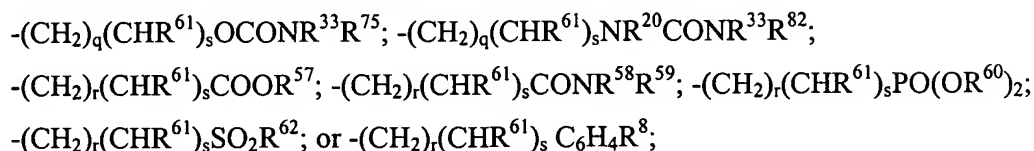
$\text{R}^5$  is alkyl; alkenyl;  $-(\text{CH}_2)_o(\text{CHR}^{61})_s\text{OR}^{55}$ ;  $-(\text{CH}_2)_o(\text{CHR}^{61})_s\text{SR}^{56}$ ; -



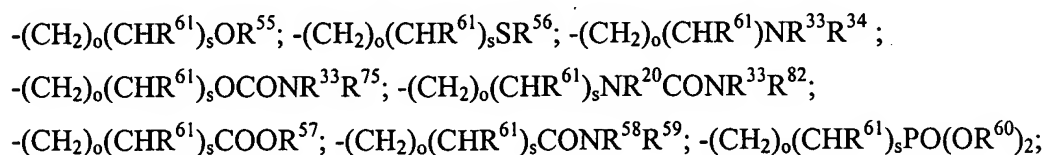
$\text{R}^6$  is H; alkyl; alkenyl;  $-(\text{CH}_2)_o(\text{CHR}^{61})_s\text{OR}^{55}$ ;  $-(\text{CH}_2)_o(\text{CHR}^{61})_s\text{SR}^{56}$ ; -



$\text{R}^7$  is alkyl; alkenyl;  $-(\text{CH}_2)_q(\text{CHR}^{61})_s\text{OR}^{55}$ ;  $-(\text{CH}_2)_q(\text{CHR}^{61})_s\text{NR}^{33}\text{R}^{34}$ ;



$\text{R}^8$  is H; Cl; F;  $\text{CF}_3$ ;  $\text{NO}_2$ ; lower alkyl; lower alkenyl; aryl; aryl-lower alkyl;





$-(CH_2)_o(CHR^{61})_sSO_2R^{62}$ ; or  $-(CH_2)_o(CHR^{61})_sCOR^{64}$ ;

$R^9$  is alkyl; alkenyl;  $-(CH_2)_o(CHR^{61})_sOR^{55}$ ;  $-(CH_2)_o(CHR^{61})_sSR^{56}$ ; -

$(CH_2)_o(CHR^{61})_sNR^{33}R^{34}$ ;

$-(CH_2)_o(CHR^{61})_sOCONR^{33}R^{75}$ ;  $-(CH_2)_o(CHR^{61})_sNR^{20}CONR^{33}R^{82}$ ;

$-(CH_2)_o(CHR^{61})_sCOOR^{57}$ ;  $-(CH_2)_o(CHR^{61})_sCONR^{58}R^{59}$ ;  $-(CH_2)_o(CHR^{61})_sPO(OR^{60})_2$ ;

$-(CH_2)_o(CHR^{61})_sSO_2R^{62}$ ; or  $-(CH_2)_o(CHR^{61})_sC_6H_4R^8$ ;

$R^{10}$  is alkyl; alkenyl;  $-(CH_2)_o(CHR^{61})_sOR^{55}$ ;  $-(CH_2)_o(CHR^{61})_sSR^{56}$ ; -

$(CH_2)_o(CHR^{61})_sNR^{33}R^{34}$ ;

$-(CH_2)_o(CHR^{61})_sOCONR^{33}R^{75}$ ;  $-(CH_2)_o(CHR^{61})_sNR^{20}CONR^{33}R^{82}$ ;

$-(CH_2)_o(CHR^{61})_sCOOR^{57}$ ;  $-(CH_2)_o(CHR^{61})_sCONR^{58}R^{59}$ ;  $-(CH_2)_o(CHR^{61})_sPO(OR^{60})_2$ ;

$-(CH_2)_o(CHR^{61})_sSO_2R^{62}$ ; or  $-(CH_2)_o(CHR^{61})_sC_6H_4R^8$ ;

$R^{11}$  is H; alkyl; alkenyl;  $-(CH_2)_m(CHR^{61})_sOR^{55}$ ;  $-(CH_2)_m(CHR^{61})_sNR^{33}R^{34}$ ;

$-(CH_2)_m(CHR^{61})_sOCONR^{33}R^{75}$ ;  $-(CH_2)_m(CHR^{61})_sNR^{20}CONR^{33}R^{82}$ ;

$-(CH_2)_o(CHR^{61})_sCOOR^{57}$ ;  $-(CH_2)_o(CHR^{61})_sCONR^{58}R^{59}$ ;  $-(CH_2)_o(CHR^{61})_sPO(OR^{60})_2$ ;

$-(CH_2)_o(CHR^{61})_sSO_2R^{62}$ ; or  $-(CH_2)_o(CHR^{61})_sC_6H_4R^8$ ;

$R^{12}$  is H; alkyl; alkenyl;  $-(CH_2)_m(CHR^{61})_sOR^{55}$ ;  $-(CH_2)_m(CHR^{61})_sSR^{56}$ ;

$-(CH_2)_m(CHR^{61})_sNR^{33}R^{34}$ ;  $-(CH_2)_m(CHR^{61})_sOCONR^{33}R^{75}$ ;

$-(CH_2)_m(CHR^{61})_sNR^{20}CONR^{33}R^{82}$ ;  $-(CH_2)_r(CHR^{61})_sCOOR^{57}$ ; -

$(CH_2)_r(CHR^{61})_sCONR^{58}R^{59}$ ;

$-(CH_2)_r(CHR^{61})_sPO(OR^{60})_2$ ;  $-(CH_2)_r(CHR^{61})_sSO_2R^{62}$ ; or  $-(CH_2)_r(CHR^{61})_sC_6H_4R^8$ ;

$R^{13}$  is alkyl; alkenyl;  $-(CH_2)_q(CHR^{61})_sOR^{55}$ ;  $-(CH_2)_q(CHR^{61})_sSR^{56}$ ; -

$(CH_2)_q(CHR^{61})_sNR^{33}R^{34}$ ;

$-(CH_2)_q(CHR^{61})_sOCONR^{33}R^{75}$ ;  $-(CH_2)_q(CHR^{61})_sNR^{20}CONR^{33}R^{82}$ ;

$-(CH_2)_q(CHR^{61})_sCOOR^{57}$ ;  $-(CH_2)_q(CHR^{61})_sCONR^{58}R^{59}$ ;  $-(CH_2)_q(CHR^{61})_sPO(OR^{60})_2$ ;

$-(CH_2)_q(CHR^{61})_sSO_2R^{62}$ ; or  $-(CH_2)_q(CHR^{61})_sC_6H_4R^8$ ;

$R^{14}$  is H; alkyl; alkenyl;  $-(CH_2)_m(CHR^{61})_sOR^{55}$ ;  $-(CH_2)_m(CHR^{61})_sNR^{33}R^{34}$ ;

$-(CH_2)_m(CHR^{61})_sOCONR^{33}R^{75}$ ;  $-(CH_2)_m(CHR^{61})_sNR^{20}CONR^{33}R^{82}$ ;

$-(CH_2)_q(CHR^{61})_sCOOR^{57}$ ;  $-(CH_2)_q(CHR^{61})_sCONR^{58}R^{59}$ ;  $-(CH_2)_q(CHR^{61})_sPO(OR^{60})_2$ ;

$-(CH_2)_q(CHR^{61})_sSOR^{62}$ ; or  $-(CH_2)_q(CHR^{61})_sC_6H_4R^8$ ;

$R^{15}$  is alkyl; alkenyl;  $-(CH_2)_o(CHR^{61})_sOR^{55}$ ;  $-(CH_2)_o(CHR^{61})_sSR^{56}$ ; -

$(\text{CH}_2)_o(\text{CHR}^{61})_s\text{NR}^{33}\text{R}^{34}$ ;  
 $-(\text{CH}_2)_o(\text{CHR}^{61})_s\text{OCONR}^{33}\text{R}^{75}$ ;  $-(\text{CH}_2)_o(\text{CHR}^{61})_s\text{NR}^{20}\text{CONR}^{33}\text{R}^{82}$ ;  
 $-(\text{CH}_2)_o(\text{CHR}^{61})_s\text{COOR}^{57}$ ;  $-(\text{CH}_2)_o(\text{CHR}^{61})_s\text{CONR}^{58}\text{R}^{59}$ ;  $-(\text{CH}_2)_o(\text{CHR}^{61})_s\text{PO}(\text{OR}^{60})_2$ ;  
 $-(\text{CH}_2)_o(\text{CHR}^{61})_s\text{SO}_2\text{R}^{62}$ ; or  $-(\text{CH}_2)_o(\text{CHR}^{61})_s\text{C}_6\text{H}_4\text{R}^8$ ;

$\text{R}^{16}$  is alkyl; alkenyl;  $-(\text{CH}_2)_o(\text{CHR}^{61})_s\text{OR}^{55}$ ;  $-(\text{CH}_2)_o(\text{CHR}^{61})_s\text{SR}^{56}$ ; -  
 $(\text{CH}_2)_o(\text{CHR}^{61})_s\text{NR}^{33}\text{R}^{34}$ ;  
 $-(\text{CH}_2)_o(\text{CHR}^{61})_s\text{OCONR}^{33}\text{R}^{75}$ ;  $-(\text{CH}_2)_o(\text{CHR}^{61})_s\text{NR}^{20}\text{CONR}^{33}\text{R}^{82}$ ;  
 $-(\text{CH}_2)_o(\text{CHR}^{61})_s\text{COOR}^{57}$ ;  $-(\text{CH}_2)_o(\text{CHR}^{61})_s\text{CONR}^{58}\text{R}^{59}$ ;  $-(\text{CH}_2)_o(\text{CHR}^{61})_s\text{PO}(\text{OR}^{60})_2$ ;  
 $-(\text{CH}_2)_o(\text{CHR}^{61})_s\text{SO}_2\text{R}^{62}$ ; or  $-(\text{CH}_2)_o(\text{CHR}^{61})_s\text{C}_6\text{H}_4\text{R}^8$ ;

$\text{R}^{17}$  is alkyl; alkenyl;  $-(\text{CH}_2)_q(\text{CHR}^{61})_s\text{OR}^{55}$ ;  $-(\text{CH}_2)_q(\text{CHR}^{61})_s\text{SR}^{56}$ ; -  
 $(\text{CH}_2)_q(\text{CHR}^{61})_s\text{NR}^{33}\text{R}^{34}$ ;  
 $-(\text{CH}_2)_q(\text{CHR}^{61})_s\text{OCONR}^{33}\text{R}^{75}$ ;  $-(\text{CH}_2)_q(\text{CHR}^{61})_s\text{NR}^{20}\text{CONR}^{33}\text{R}^{82}$ ;  
 $-(\text{CH}_2)_q(\text{CHR}^{61})_s\text{COOR}^{57}$ ;  $-(\text{CH}_2)_q(\text{CHR}^{61})_s\text{CONR}^{58}\text{R}^{59}$ ;  $-(\text{CH}_2)_q(\text{CHR}^{61})_s\text{PO}(\text{OR}^{60})_2$ ;  
 $-(\text{CH}_2)_q(\text{CHR}^{61})_s\text{SO}_2\text{R}^{62}$ ; or  $-(\text{CH}_2)_q(\text{CHR}^{61})_s\text{C}_6\text{H}_4\text{R}^8$ ;

$\text{R}^{18}$  is alkyl; alkenyl;  $-(\text{CH}_2)_p(\text{CHR}^{61})_s\text{OR}^{55}$ ;  $-(\text{CH}_2)_p(\text{CHR}^{61})_s\text{SR}^{56}$ ; -  
 $(\text{CH}_2)_p(\text{CHR}^{61})_s\text{NR}^{33}\text{R}^{34}$ ;  
 $-(\text{CH}_2)_p(\text{CHR}^{61})_s\text{OCONR}^{33}\text{R}^{75}$ ;  $-(\text{CH}_2)_p(\text{CHR}^{61})_s\text{NR}^{20}\text{CONR}^{33}\text{R}^{82}$ ;  
 $-(\text{CH}_2)_p(\text{CHR}^{61})_s\text{COOR}^{57}$ ;  $-(\text{CH}_2)_p(\text{CHR}^{61})_s\text{CONR}^{58}\text{R}^{59}$ ;  $-(\text{CH}_2)_p(\text{CHR}^{61})_s\text{PO}(\text{OR}^{60})_2$ ;  
 $-(\text{CH}_2)_p(\text{CHR}^{61})_s\text{SO}_2\text{R}^{62}$ ; or  $-(\text{CH}_2)_o(\text{CHR}^{61})_s\text{C}_6\text{H}_4\text{R}^8$ ;

$\text{R}^{19}$  is lower alkyl;  $-(\text{CH}_2)_p(\text{CHR}^{61})_s\text{OR}^{55}$ ;  $-(\text{CH}_2)_p(\text{CHR}^{61})_s\text{SR}^{56}$ ;  $-(\text{CH}_2)_p(\text{CHR}^{61})_s\text{NR}^{33}\text{R}^{34}$ ;  
 $-(\text{CH}_2)_p(\text{CHR}^{61})_s\text{OCONR}^{33}\text{R}^{75}$ ;  $-(\text{CH}_2)_p(\text{CHR}^{61})_s\text{NR}^{20}\text{CONR}^{33}\text{R}^{82}$ ;  
 $-(\text{CH}_2)_p(\text{CHR}^{61})_s\text{COOR}^{57}$ ;  $-(\text{CH}_2)_p(\text{CHR}^{61})_s\text{CONR}^{58}\text{R}^{59}$ ;  $-(\text{CH}_2)_p(\text{CHR}^{61})_s\text{PO}(\text{OR}^{60})_2$ ;  
 $-(\text{CH}_2)_p(\text{CHR}^{61})_s\text{SO}_2\text{R}^{62}$ ; or  $-(\text{CH}_2)_o(\text{CHR}^{61})_s\text{C}_6\text{H}_4\text{R}^8$ ; or

$\text{R}^{18}$  and  $\text{R}^{19}$  taken together can form:  $-(\text{CH}_2)_{2-6}$ ;  $-(\text{CH}_2)_2\text{O}(\text{CH}_2)_2$ ;  $-(\text{CH}_2)_2\text{S}(\text{CH}_2)_2$ ; or  
 $-(\text{CH}_2)_2\text{NR}^{57}(\text{CH}_2)_2$ ;

$\text{R}^{20}$  is H; alkyl; alkenyl; or aryl-lower alkyl;

$\text{R}^{21}$  is H; alkyl; alkenyl;  $-(\text{CH}_2)_o(\text{CHR}^{61})_s\text{OR}^{55}$ ;  $-(\text{CH}_2)_o(\text{CHR}^{61})_s\text{SR}^{56}$ ; -  
 $(\text{CH}_2)_o(\text{CHR}^{61})_s\text{NR}^{33}\text{R}^{34}$ ;  
 $-(\text{CH}_2)_o(\text{CHR}^{61})_s\text{OCONR}^{33}\text{R}^{75}$ ;  $-(\text{CH}_2)_o(\text{CHR}^{61})_s\text{NR}^{20}\text{CONR}^{33}\text{R}^{82}$ ;  
 $-(\text{CH}_2)_o(\text{CHR}^{61})_s\text{COOR}^{57}$ ;  $-(\text{CH}_2)_o(\text{CHR}^{61})_s\text{CONR}^{58}\text{R}^{59}$ ;  $-(\text{CH}_2)_o(\text{CHR}^{61})_s\text{PO}(\text{OR}^{60})_2$ ;

$-(CH_2)_o(CHR^{61})_s SO_2R^{62}$ ; or  $-(CH_2)_o(CHR^{61})_s C_6H_4R^8$ ;

$R^{22}$  is H; alkyl; alkenyl;  $-(CH_2)_o(CHR^{61})_s OR^{55}$ ;  $-(CH_2)_o(CHR^{61})_s SR^{56}$ ; -

$(CH_2)_o(CHR^{61})_s NR^{33}R^{34}$ ;

$-(CH_2)_o(CHR^{61})_s OCONR^{33}R^{75}$ ;  $-(CH_2)_o(CHR^{61})_s NR^{20}CONR^{33}R^{82}$ ;

$-(CH_2)_o(CHR^{61})_s COOR^{57}$ ;  $-(CH_2)_o(CHR^{61})_s CONR^{58}R^{59}$ ;  $-(CH_2)_o(CHR^{61})_s PO(OR^{60})_2$ ;

$-(CH_2)_o(CHR^{61})_s SO_2R^{62}$ ; or  $-(CH_2)_o(CHR^{61})_s C_6H_4R^8$ ;

$R^{23}$  is alkyl; alkenyl;  $-(CH_2)_o(CHR^{61})_s OR^{55}$ ;  $-(CH_2)_o(CHR^{61})_s SR^{56}$ ; -

$(CH_2)_o(CHR^{61})_s NR^{33}R^{34}$ ;

$-(CH_2)_o(CHR^{61})_s OCONR^{33}R^{75}$ ;  $-(CH_2)_o(CHR^{61})_s NR^{20}CONR^{33}R^{82}$ ;

$-(CH_2)_o(CHR^{61})_s COOR^{57}$ ;  $-(CH_2)_o(CHR^{61})_s CONR^{58}R^{59}$ ;  $-(CH_2)_o(CHR^{61})_s PO(OR^{60})_2$ ;

$-(CH_2)_o(CHR^{61})_s SO_2R^{62}$ ; or  $-(CH_2)_o(CHR^{61})_s C_6H_4R^8$ ;

$R^{24}$  is alkyl; alkenyl;  $-(CH_2)_o(CHR^{61})_s OR^{55}$ ;  $-(CH_2)_o(CHR^{61})_s SR^{56}$ ; -

$(CH_2)_o(CHR^{61})_s NR^{33}R^{34}$ ;

$-(CH_2)_o(CHR^{61})_s OCONR^{33}R^{75}$ ;  $-(CH_2)_o(CHR^{61})_s NR^{20}CONR^{33}R^{82}$ ;

$-(CH_2)_o(CHR^{61})_s COOR^{57}$ ;  $-(CH_2)_o(CHR^{61})_s CONR^{58}R^{59}$ ;  $-(CH_2)_o(CHR^{61})_s PO(OR^{60})_2$ ;

$-(CH_2)_o(CHR^{61})_s SO_2R^{62}$ ; or  $-(CH_2)_o(CHR^{61})_s C_6H_4R^8$ ;

$R^{25}$  is H; alkyl; alkenyl;  $-(CH_2)_m(CHR^{61})_s OR^{55}$ ;  $-(CH_2)_m(CHR^{61})_s SR^{56}$ ;

$-(CH_2)_m(CHR^{61})_s NR^{33}R^{34}$ ;  $-(CH_2)_m(CHR^{61})_s OCONR^{33}R^{75}$ ;

$-(CH_2)_m(CHR^{61})_s NR^{20}CONR^{33}R^{82}$ ;  $-(CH_2)_o(CHR^{61})_s COOR^{57}$ ;

$-(CH_2)_o(CHR^{61})_s CONR^{58}R^{59}$ ;  $-(CH_2)_o(CHR^{61})_s PO(OR^{60})_2$ ;

$-(CH_2)_o(CHR^{61})_s SO_2R^{62}$ ; or  $-(CH_2)_o(CHR^{61})_s C_6H_4R^8$ ;

$R^{26}$  is H; alkyl; alkenyl;  $-(CH_2)_m(CHR^{61})_s OR^{55}$ ;  $-(CH_2)_m(CHR^{61})_s SR^{56}$ ;

$-(CH_2)_m(CHR^{61})_s NR^{33}R^{34}$ ;  $-(CH_2)_m(CHR^{61})_s OCONR^{33}R^{75}$ ;

$-(CH_2)_m(CHR^{61})_s NR^{20}CONR^{33}R^{82}$ ;  $-(CH_2)_o(CHR^{61})_s COOR^{57}$ ; -

$(CH_2)_o(CHR^{61})_s CONR^{58}R^{59}$ ;

$-(CH_2)_o(CHR^{61})_s PO(OR^{60})_2$ ;  $-(CH_2)_o(CHR^{61})_s SO_2R^{62}$ ; or  $-(CH_2)_o(CHR^{61})_s C_6H_4R^8$ ; or

$R^{25}$  and  $R^{26}$  taken together can form:  $-(CH_2)_{2-6}-$ ;  $-(CH_2)_rO(CH_2)_r-$ ;  $-(CH_2)_rS(CH_2)_r-$ ; or

$-(CH_2)_rNR^{57}(CH_2)_r-$ ;

$R^{27}$  is H; alkyl; alkenyl;  $-(CH_2)_o(CHR^{61})_s OR^{55}$ ;  $-(CH_2)_o(CHR^{61})_s SR^{56}$ ; -

$(CH_2)_o(CHR^{61})_s NR^{33}R^{34}$ ;

- $-(CH_2)_o(CHR^{61})_sCOOR^{57}$ ;  $-(CH_2)_o(CHR^{61})_sCONR^{58}R^{59}$ ; -  
 $(CH_2)_o(CHR^{61})_sOCONR^{33}R^{75}$ ;  
 $-(CH_2)_o(CHR^{61})_sNR^{20}CONR^{33}R^{82}$ ;  $-(CH_2)_o(CHR^{61})_sPO(OR^{60})_2$ ;  
 $-(CH_2)_o(CHR^{61})_sSO_2R^{62}$ ; or  $-(CH_2)_o(CHR^{61})_sC_6H_4R^8$ ;  
 $R^{28}$  is alkyl; alkenyl;  $-(CH_2)_o(CHR^{61})_sOR^{55}$ ;  $-(CH_2)_o(CHR^{61})_sSR^{56}$ ;  $-(CH_2)_o(CHR^{61})_s$   
 $NR^{33}R^{34}$ ;  
 $-(CH_2)_o(CHR^{61})_sOCONR^{33}R^{75}$ ;  $-(CH_2)_o(CHR^{61})_sNR^{20}CONR^{33}R^{82}$ ;  
 $-(CH_2)_o(CHR^{61})_sCOOR^{57}$ ;  $-(CH_2)_o(CHR^{61})_sCONR^{58}R^{59}$ ;  $-(CH_2)_o(CHR^{61})_s$   
 $PO(OR^{60})_2$ ;  
 $-(CH_2)_o(CHR^{61})_sSO_2R^{62}$ ; or  $-(CH_2)_o(CHR^{61})_sC_6H_4R^8$ ;  
 $R^{29}$  is alkyl; alkenyl;  $-(CH_2)_o(CHR^{61})_sOR^{55}$ ;  $-(CH_2)_o(CHR^{61})_sSR^{56}$ ; -  
 $(CH_2)_o(CHR^{61})_sNR^{33}R^{34}$ ;  
 $-(CH_2)_o(CHR^{61})_sOCONR^{33}R^{75}$ ;  $-(CH_2)_o(CHR^{61})_sNR^{20}CONR^{33}R^{82}$ ;  
 $-(CH_2)_o(CHR^{61})_sCOOR^{57}$ ;  $-(CH_2)_o(CHR^{61})_sCONR^{58}R^{59}$ ;  $-(CH_2)_o(CHR^{61})_sPO(OR^{60})_2$ ;  
 $-(CH_2)_o(CHR^{61})_sSO_2R^{62}$ ; or  $-(CH_2)_o(CHR^{61})_sC_6H_4R^8$ ;  
 $R^{30}$  is H; alkyl; alkenyl; or aryl-lower alkyl;  
 $R^{31}$  is H; alkyl; alkenyl;  $-(CH_2)_p(CHR^{61})_sOR^{55}$ ;  $-(CH_2)_p(CHR^{61})_sNR^{33}R^{34}$ ;  
 $-(CH_2)_p(CHR^{61})_sOCONR^{33}R^{75}$ ;  $-(CH_2)_p(CHR^{61})_sNR^{20}CONR^{33}R^{82}$ ;  
 $-(CH_2)_o(CHR^{61})_sCOOR^{57}$ ;  $-(CH_2)_o(CHR^{61})_sCONR^{58}R^{59}$ ;  $-(CH_2)_o(CHR^{61})_sPO(OR^{60})_2$ ;  
 $-(CH_2)_o(CHR^{61})_sSO_2R^{62}$ ; or  $-(CH_2)_o(CHR^{61})_sC_6H_4R^8$ ;  
 $R^{32}$  is H; lower alkyl; or aryl-lower alkyl;  
 $R^{33}$  is H; alkyl, alkenyl;  $-(CH_2)_m(CHR^{61})_sOR^{55}$ ;  $-(CH_2)_m(CHR^{61})_sNR^{34}R^{63}$ ;  
 $-(CH_2)_m(CHR^{61})_sOCONR^{75}R^{82}$ ;  $-(CH_2)_m(CHR^{61})_sNR^{20}CONR^{78}R^{82}$ ;  
 $-(CH_2)_o(CHR^{61})_sCOR^{64}$ ;  $-(CH_2)_o(CHR^{61})_sCONR^{58}R^{59}$ ;  $-(CH_2)_o(CHR^{61})_sPO(OR^{60})_2$ ;  
 $-(CH_2)_o(CHR^{61})_sSO_2R^{62}$ ; or  $-(CH_2)_o(CHR^{61})_sC_6H_4R^8$ ;  
 $R^{34}$  is H; lower alkyl; aryl, or aryl-lower alkyl;  
 $R^{33}$  and  $R^{34}$  taken together can form:  $-(CH_2)_{2-6}$ ;  $-(CH_2)_2O(CH_2)_{2-}$ ;  $-(CH_2)_2S(CH_2)_{2-}$ ; or  
 $-(CH_2)_2NR^{57}(CH_2)_{2-}$ ;  
 $R^{35}$  is H; alkyl; alkenyl;  $-(CH_2)_m(CHR^{61})_sOR^{55}$ ;  $-(CH_2)_m(CHR^{61})_sNR^{33}R^{34}$ ;  
 $-(CH_2)_m(CHR^{61})_sOCONR^{33}R^{75}$ ;  $-(CH_2)_m(CHR^{61})_sNR^{20}CONR^{33}R^{82}$ ;

$-(CH_2)_p(CHR^{61})_sCOOR^{57}$ ;  $-(CH_2)_p(CHR^{61})_sCONR^{58}R^{59}$ ;  $-(CH_2)_p(CHR^{61})_sPO(OR^{60})_2$ ;  
 $-(CH_2)_p(CHR^{61})_sSO_2R^{62}$ ; or  $-(CH_2)_p(CHR^{61})_sC_6H_4R^8$ ;

$R^{36}$  is H, alkyl; alkenyl;  $-(CH_2)_o(CHR^{61})_sOR^{55}$ ;  $-(CH_2)_p(CHR^{61})_sNR^{33}R^{34}$ ;

$-(CH_2)_p(CHR^{61})_sOCONR^{33}R^{75}$ ;  $-(CH_2)_p(CHR^{61})_sNR^{20}CONR^{33}R^{82}$ ;

$-(CH_2)_p(CHR^{61})_sCOOR^{57}$ ;  $-(CH_2)_p(CHR^{61})_sCONR^{58}R^{59}$ ;  $-(CH_2)_p(CHR^{61})_sPO(OR^{60})_2$ ;

$-(CH_2)_p(CHR^{61})_sSO_2R^{62}$ ; or  $-(CH_2)_o(CHR^{61})_sC_6H_4R^8$ ;

$R^{37}$  is H; F; Br; Cl;  $NO_2$ ;  $CF_3$ ; lower alkyl;  $-(CH_2)_p(CHR^{61})_sOR^{55}$ ;  $-(CH_2)_p(CHR^{61})_sNR^{33}R^{34}$ ;

$-(CH_2)_p(CHR^{61})_sOCONR^{33}R^{75}$ ;  $-(CH_2)_p(CHR^{61})_sNR^{20}CONR^{33}R^{82}$ ;

$-(CH_2)_o(CHR^{61})_sCOOR^{57}$ ;  $-(CH_2)_o(CHR^{61})_sCONR^{58}R^{59}$ ;  $-(CH_2)_o(CHR^{61})_sPO(OR^{60})_2$ ;

$-(CH_2)_o(CHR^{61})_sSO_2R^{62}$ ; or  $-(CH_2)_o(CHR^{61})_sC_6H_4R^8$ ;

$R^{38}$  is H; F; Br; Cl;  $NO_2$ ;  $CF_3$ ; alkyl; alkenyl;  $-(CH_2)_p(CHR^{61})_sOR^{55}$ ; -

$(CH_2)_p(CHR^{61})_sNR^{33}R^{34}$ ;

$-(CH_2)_p(CHR^{61})_sOCONR^{33}R^{75}$ ;  $-(CH_2)_p(CHR^{61})_sNR^{20}CONR^{33}R^{82}$ ;

$-(CH_2)_o(CHR^{61})_sCOOR^{57}$ ;  $-(CH_2)_o(CHR^{61})_sCONR^{58}R^{59}$ ;  $-(CH_2)_o(CHR^{61})_sPO(OR^{60})_2$ ;

$-(CH_2)_o(CHR^{61})_sSO_2R^{62}$ ; or  $-(CH_2)_o(CHR^{61})_sC_6H_4R^8$ ;

$R^{39}$  is H; alkyl; alkenyl; or aryl-lower alkyl;

$R^{40}$  is H; alkyl; alkenyl; or aryl-lower alkyl;

$R^{41}$  is H; F; Br; Cl;  $NO_2$ ;  $CF_3$ ; alkyl; alkenyl;  $-(CH_2)_p(CHR^{61})_sOR^{55}$ ; -

$(CH_2)_p(CHR^{61})_sNR^{33}R^{34}$ ;

$-(CH_2)_p(CHR^{61})_sOCONR^{33}R^{75}$ ;  $-(CH_2)_p(CHR^{61})_sNR^{20}CONR^{33}R^{82}$ ;

$-(CH_2)_o(CHR^{61})_sCOOR^{57}$ ;  $-(CH_2)_o(CHR^{61})_sCONR^{58}R^{59}$ ;  $-(CH_2)_o(CHR^{61})_sPO(OR^{60})_2$ ;

$-(CH_2)_o(CHR^{61})_sSO_2R^{62}$ ; or  $-(CH_2)_o(CHR^{61})_sC_6H_4R^8$ ;

$R^{42}$  is H; F; Br; Cl;  $NO_2$ ;  $CF_3$ ; alkyl; alkenyl;  $-(CH_2)_p(CHR^{61})_sOR^{55}$ ; -

$(CH_2)_p(CHR^{61})_sNR^{33}R^{34}$ ;

$-(CH_2)_p(CHR^{61})_sOCONR^{33}R^{75}$ ;  $-(CH_2)_p(CHR^{61})_sNR^{20}CONR^{33}R^{82}$ ;

$-(CH_2)_o(CHR^{61})_sCOOR^{57}$ ;  $-(CH_2)_o(CHR^{61})_sCONR^{58}R^{59}$ ;  $-(CH_2)_o(CHR^{61})_sPO(OR^{60})_2$ ;

$-(CH_2)_o(CHR^{61})_sSO_2R^{62}$ ; or  $-(CH_2)_o(CHR^{61})_sC_6H_4R^8$ ;

$R^{43}$  is H; alkyl; alkenyl;  $-(CH_2)_m(CHR^{61})_sOR^{55}$ ;  $-(CH_2)_m(CHR^{61})_sNR^{33}R^{34}$ ;

$-(CH_2)_m(CHR^{61})_sOCONR^{33}R^{75}$ ;  $-(CH_2)_m(CHR^{61})_sNR^{20}CONR^{33}R^{82}$ ;

$-(CH_2)_o(CHR^{61})_sCOOR^{57}$ ;  $-(CH_2)_o(CHR^{61})_sCONR^{58}R^{59}$ ;  $-(CH_2)_o(CHR^{61})_sPO(OR^{60})_2$ ;

$-(CH_2)_o(CHR^{61})_sSO_2R^{62}$ ; or  $-(CH_2)_o(CHR^{61})_sC_6H_4R^8$ ;

$R^{44}$  is alkyl; alkenyl;  $-(CH_2)_r(CHR^{61})_sOR^{55}$ ;  $-(CH_2)_r(CHR^{61})_sSR^{56}$ ;  $-(CH_2)_r(CHR^{61})_sNR^{33}R^{34}$ ;  
 $-(CH_2)_r(CHR^{61})_sOCONR^{33}R^{75}$ ;  $-(CH_2)_r(CHR^{61})_sNR^{20}CONR^{33}R^{82}$ ;  
 $-(CH_2)_r(CHR^{61})_sCOOR^{57}$ ;  $-(CH_2)_r(CHR^{61})_sCONR^{58}R^{59}$ ;  $-(CH_2)_r(CHR^{61})_sPO(OR^{60})_2$ ;  
 $-(CH_2)_r(CHR^{61})_sSO_2R^{62}$ ; or  $-(CH_2)_r(CHR^{61})_sC_6H_4R^8$ ;

$R^{45}$  is H; alkyl; alkenyl;  $-(CH_2)_o(CHR^{61})_sOR^{55}$ ;  $-(CH_2)_o(CHR^{61})_sSR^{56}$ ; -  
 $(CH_2)_o(CHR^{61})_sNR^{33}R^{34}$ ;  
 $-(CH_2)_o(CHR^{61})_sOCONR^{33}R^{75}$ ;  $-(CH_2)_o(CHR^{61})_sNR^{20}CONR^{33}R^{82}$ ;  
 $-(CH_2)_o(CHR^{61})_sCOOR^{57}$ ;  $-(CH_2)_s(CHR^{61})_sCONR^{58}R^{59}$ ;  $-(CH_2)_s(CHR^{61})_sPO(OR^{60})_2$ ;  
 $-(CH_2)_s(CHR^{61})_sSO_2R^{62}$ ; or  $-(CH_2)_s(CHR^{61})_sC_6H_4R^8$ ;

$R^{46}$  is H; alkyl; alkenyl; or  $-(CH_2)_o(CHR^{61})_pC_6H_4R^8$ ;

$R^{47}$  is H; alkyl; alkenyl; or  $-(CH_2)_o(CHR^{61})_sOR^{55}$ ;

$R^{48}$  is H; lower alkyl; lower alkenyl; or aryl-lower alkyl;

$R^{49}$  is H; alkyl; alkenyl;  $-(CHR^{61})_sCOOR^{57}$ ;  $(CHR^{61})_sCONR^{58}R^{59}$ ;  $(CHR^{61})_sPO(OR^{60})_2$ ;  
 $-(CHR^{61})_sSOR^{62}$ ; or  $-(CHR^{61})_sC_6H_4R^8$ ;

$R^{50}$  is H; lower alkyl; or aryl-lower alkyl;

$R^{51}$  is H; alkyl; alkenyl;  $-(CH_2)_m(CHR^{61})_sOR^{55}$ ;  $-(CH_2)_m(CHR^{61})_sSR^{56}$ ;  
 $-(CH_2)_m(CHR^{61})_sNR^{33}R^{34}$ ;  $-(CH_2)_m(CHR^{61})_sOCONR^{33}R^{75}$ ;  
 $-(CH_2)_m(CHR^{61})_sNR^{20}CONR^{33}R^{82}$ ;  $-(CH_2)_o(CHR^{61})_sCOOR^{57}$ ;  
 $-(CH_2)_o(CHR^{61})_sCONR^{58}R^{59}$ ;  $-(CH_2)_o(CHR^{61})_pPO(OR^{60})_2$ ;  
 $-(CH_2)_p(CHR^{61})_sSO_2R^{62}$ ; or  $-(CH_2)_p(CHR^{61})_sC_6H_4R^8$ ;

$R^{52}$  is H; alkyl; alkenyl;  $-(CH_2)_m(CHR^{61})_sOR^{55}$ ;  $-(CH_2)_m(CHR^{61})_sSR^{56}$ ;  
 $-(CH_2)_m(CHR^{61})_sNR^{33}R^{34}$ ;  $-(CH_2)_m(CHR^{61})_sOCONR^{33}R^{75}$ ;  
 $-(CH_2)_m(CHR^{61})_sNR^{20}CONR^{33}R^{82}$ ;  $-(CH_2)_o(CHR^{61})_sCOOR^{57}$ ;  
 $-(CH_2)_o(CHR^{61})_sCONR^{58}R^{59}$ ;  $-(CH_2)_o(CHR^{61})_pPO(OR^{60})_2$ ;  
 $-(CH_2)_p(CHR^{61})_sSO_2R^{62}$ ; or  $-(CH_2)_p(CHR^{61})_sC_6H_4R^8$ ;

$R^{53}$  is H; alkyl; alkenyl;  $-(CH_2)_m(CHR^{61})_sOR^{55}$ ;  $-(CH_2)_m(CHR^{61})_sSR^{56}$ ; -  
 $(CH_2)_m(CHR^{61})_sNR^{33}R^{34}$ ;  
 $-(CH_2)_m(CHR^{61})_sOCONR^{33}R^{75}$ ;  
 $-(CH_2)_m(CHR^{61})_sNR^{20}CONR^{33}R^{82}$ ;  $-(CH_2)_o(CHR^{61})_sCOOR^{57}$ ;

$-(CH_2)_o(CHR^{61})_sCONR^{58}R^{59}$ ;  $-(CH_2)_o(CHR^{61})_pPO(OR^{60})_2$ ;

$-(CH_2)_p(CHR^{61})_sSO_2R^{62}$ ; or  $-(CH_2)_p(CHR^{61})_sC_6H_4R^8$ ;

$R^{54}$  is H; alkyl; alkenyl;  $-(CH_2)_m(CHR^{61})_sOR^{55}$ ;  $-(CH_2)_m(CHR^{61})_sNR^{33}R^{34}$ ;

$-(CH_2)_m(CHR^{61})_sOCONR^{33}R^{75}$ ;  $-(CH_2)_m(CHR^{61})_sNR^{20}CONR^{33}R^{82}$ ;

$-(CH_2)_o(CHR^{61})COOR^{57}$ ;  $-(CH_2)_o(CHR^{61})_sCONR^{58}R^{59}$ ; or  $-(CH_2)_o(CHR^{61})_sC_6H_4R^8$ ;

$R^{55}$  is H; lower alkyl; lower alkenyl; aryl-lower alkyl;  $-(CH_2)_m(CHR^{61})_sOR^{57}$ ;

$-(CH_2)_m(CHR^{61})_sNR^{34}R^{63}$ ;  $-(CH_2)_m(CHR^{61})_sOCONR^{75}R^{82}$ ;

$-(CH_2)_m(CHR^{61})_sNR^{20}CONR^{78}R^{82}$ ;  $-(CH_2)_o(CHR^{61})_s-COR^{64}$ ; -

$(CH_2)_o(CHR^{61})COOR^{57}$ ; or

$-(CH_2)_o(CHR^{61})_sCONR^{58}R^{59}$ ;

$R^{56}$  is H; lower alkyl; lower alkenyl; aryl-lower alkyl;  $-(CH_2)_m(CHR^{61})_sOR^{57}$ ;

$-(CH_2)_m(CHR^{61})_sNR^{34}R^{63}$ ;  $-(CH_2)_m(CHR^{61})_sOCONR^{75}R^{82}$ ;

$-(CH_2)_m(CHR^{61})_sNR^{20}CONR^{78}R^{82}$ ;  $-(CH_2)_o(CHR^{61})_s-COR^{64}$ ; or

$-(CH_2)_o(CHR^{61})_sCONR^{58}R^{59}$ ;

$R^{57}$  is H; lower alkyl; lower alkenyl; aryl lower alkyl; or heteroaryl lower alkyl;

$R^{58}$  is H; lower alkyl; lower alkenyl; aryl; heteroaryl; aryl-lower alkyl; or heteroaryl-lower alkyl;

$R^{59}$  is H; lower alkyl; lower alkenyl; aryl; heteroaryl; aryl-lower alkyl; or heteroaryl-lower alkyl; or

$R^{58}$  and  $R^{59}$  taken together can form:  $-(CH_2)_{2-6}$ -;  $-(CH_2)_2O(CH_2)_2$ -;  $-(CH_2)_2S(CH_2)_2$ -; or

$-(CH_2)_2NR^{57}(CH_2)_2$ -;

$R^{60}$  is H; lower alkyl; lower alkenyl; aryl; or aryl-lower alkyl;

$R^{61}$  is alkyl; alkenyl; aryl; heteroaryl; aryl-lower alkyl; heteroaryl-lower alkyl;  $-(CH_2)_mOR^{55}$ ;

$-(CH_2)_mNR^{33}R^{34}$ ;  $-(CH_2)_mOCONR^{75}R^{82}$ ;  $-(CH_2)_mNR^{20}CONR^{78}R^{82}$ ;  $-(CH_2)_oCOOR^{37}$ ;

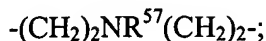
$-(CH_2)_oNR^{58}R^{59}$ ; or  $-(CH_2)_oPO(COR^{60})_2$ ;

$R^{62}$  is lower alkyl; lower alkenyl; aryl, heteroaryl; or aryl-lower alkyl;

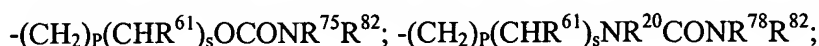
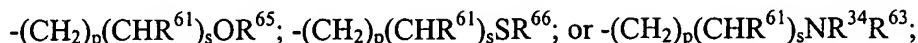
$R^{63}$  is H; lower alkyl; lower alkenyl; aryl, heteroaryl; aryl-lower alkyl; heteroaryl-lower alkyl;

$-COR^{64}$ ;  $-COOR^{57}$ ;  $-CONR^{58}R^{59}$ ;  $-SO_2R^{62}$ ; or  $-PO(OR^{60})_2$ ;

$R^{34}$  and  $R^{63}$  taken together can form:  $-(CH_2)_{2-6}$ -;  $-(CH_2)_2O(CH_2)_2$ -;  $-(CH_2)_2S(CH_2)_2$ -; or



$\text{R}^{64}$  is H; lower alkyl; lower alkenyl; aryl; heteroaryl; aryl-lower alkyl; heteroaryl-lower alkyl;

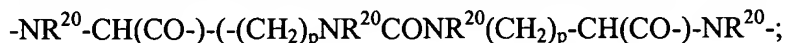
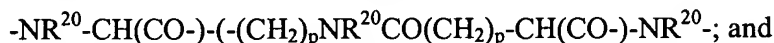
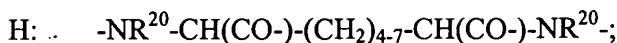
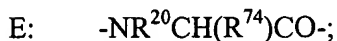
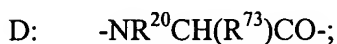
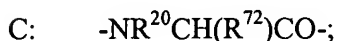


$\text{R}^{65}$  is H; lower alkyl; lower alkenyl; aryl, aryl-lower alkyl; heteroaryl-lower alkyl;  $-\text{COR}^{57}$ ;  $-\text{COOR}^{57}$ ; or  $-\text{CONR}^{58}\text{R}^{59}$ ;

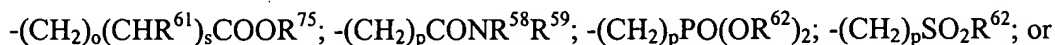
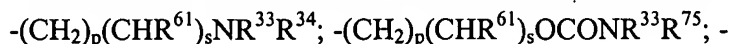
$\text{R}^{66}$  is H; lower alkyl; lower alkenyl; aryl; aryl-lower alkyl; heteroaryl-lower alkyl; or  $-\text{CONR}^{58}\text{R}^{59}$ ;

m is 2-4; o is 0-4; p is 1-4; q is 0-2; r is 1 or 2; s is 0 or 1;

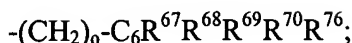
Z is a chain of 12  $\alpha$ -amino acid residues, the positions of said amino acid residues in said chain being counted starting from the N-terminal amino acid, whereby these amino acid residues are, depending on their position in the chains, Gly, or Pro, or of formula  $-\text{A-CO-}$ , or of formula  $-\text{B-CO-}$ , or of one of the types



$\text{R}^{71}$  is H; lower alkyl; lower alkenyl;  $-(\text{CH}_2)_p(\text{CHR}^{61})_s\text{OR}^{75}$ ;  $-(\text{CH}_2)_p(\text{CHR}^{61})_s\text{SR}^{75}$ ;



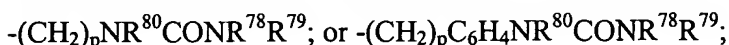
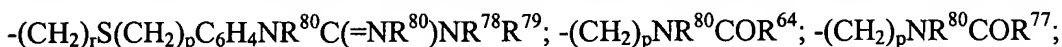
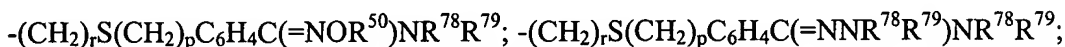
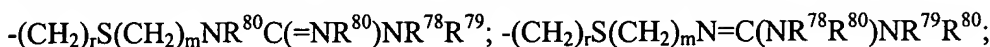
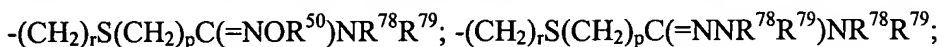
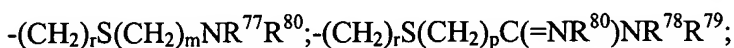
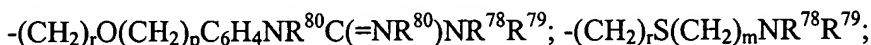
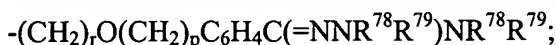
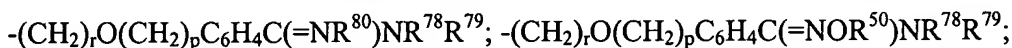
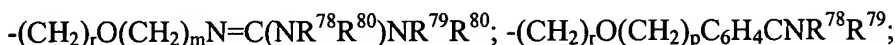
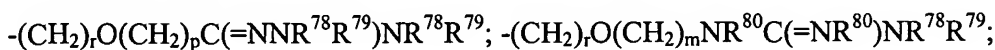
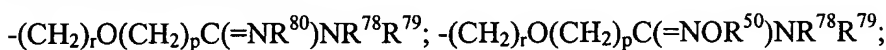
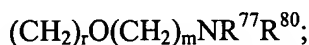
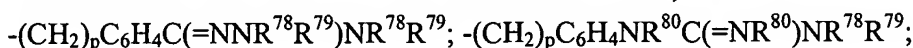
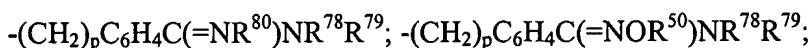
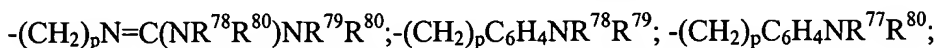
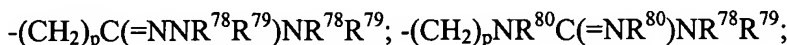




$R^{72}$  is H, lower alkyl; lower alkenyl;  $-(CH_2)_p(CHR^{61})_sOR^{85}$ ; or  $-(CH_2)_p(CHR^{61})_sSR^{85}$ ;

$R^{73}$  is  $-(CH_2)_oR^{77}$ ;  $-(CH_2)_rO(CH_2)_oR^{77}$ ;  $-(CH_2)_rS(CH_2)_oR^{77}$ ; or  $-(CH_2)_rNR^{20}(CH_2)_oR^{77}$ ;

$R^{74}$  is  $-(CH_2)_pNR^{78}R^{79}$ ;  $-(CH_2)_pNR^{77}R^{80}$ ;  $-(CH_2)_pC(=NR^{80})NR^{78}R^{79}$ ; -

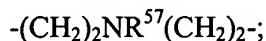


$R^{75}$  is lower alkyl; lower alkenyl; or aryl-lower alkyl;

$R^{33}$  and  $R^{75}$  taken together can form:  $-(CH_2)_{2-6}-$ ;  $-(CH_2)_2O(CH_2)_2-$ ;  $-(CH_2)_2S(CH_2)_2-$ ; or



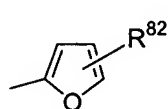
$R^{75}$  and  $R^{82}$  taken together can form:  $-(CH_2)_{2-6}-$ ;  $-(CH_2)_2O(CH_2)_2-$ ;  $-(CH_2)_2S(CH_2)_2-$ ; or



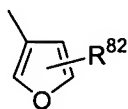
$R^{76}$  is H; lower alkyl; lower alkenyl; aryl-lower alkyl;  $-(CH_2)_oOR^{72}$ ;  $-(CH_2)_oSR^{72}$ ;

$-(\text{CH}_2)_o\text{NR}^{33}\text{R}^{34}$ ;  $-(\text{CH}_2)_o\text{OCONR}^{33}\text{R}^{75}$ ;  $-(\text{CH}_2)_o\text{NR}^{20}\text{CONR}^{33}\text{R}^{82}$ ;  
 $-(\text{CH}_2)_o\text{COOR}^{75}$ ;  $-(\text{CH}_2)_o\text{CONR}^{58}\text{R}^{59}$ ;  $-(\text{CH}_2)_o\text{PO}(\text{OR}^{60})_2$ ;  $-(\text{CH}_2)_p\text{SO}_2\text{R}^{62}$ ; or  
 $-(\text{CH}_2)_o\text{COR}^{64}$ ;

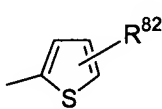
$\text{R}^{77}$  is  $-\text{C}_6\text{R}^{67}\text{R}^{68}\text{R}^{69}\text{R}^{70}\text{R}^{76}$ ; or a heteroaryl group of one of the formulae



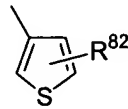
H1



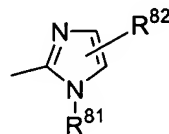
H2



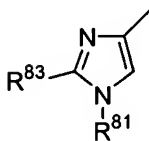
H3



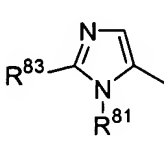
H4



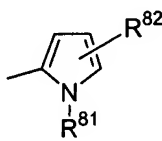
H5



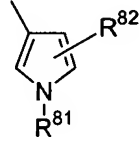
H6



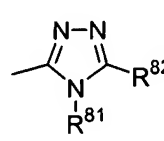
H7



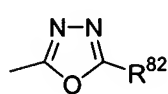
H8



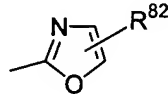
H9



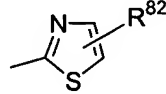
H10



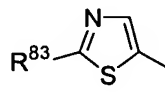
H11



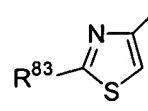
H12



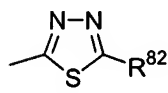
H13



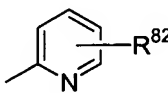
H14



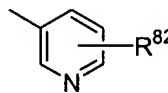
H15



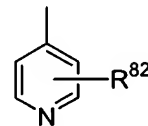
H16



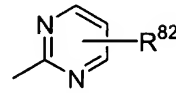
H17



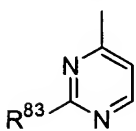
H18



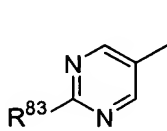
H19



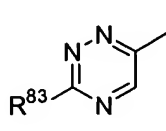
H20



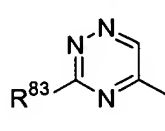
H21



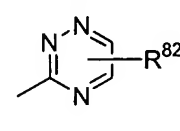
H22



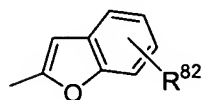
H23



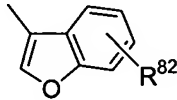
H24



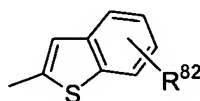
H25



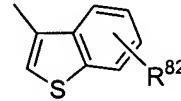
H26



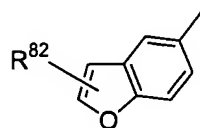
H27



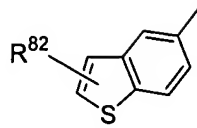
H28



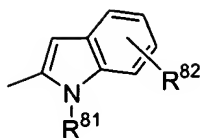
H29



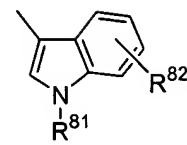
H30



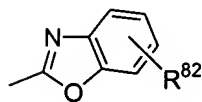
H31



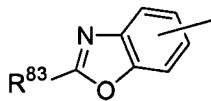
H32



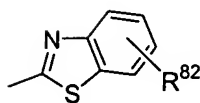
H33



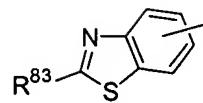
H34



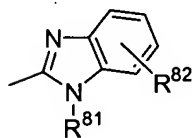
H35



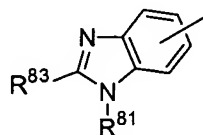
H36



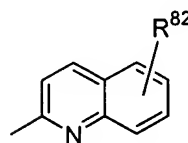
H37



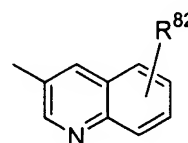
H38



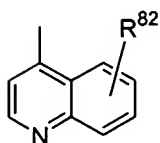
H39



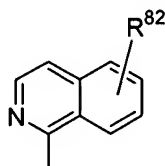
H40



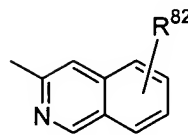
H41



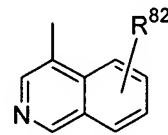
H42



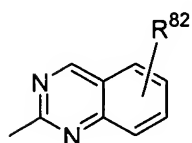
H43



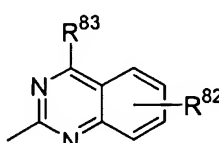
H44



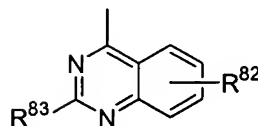
H45



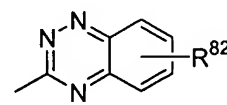
H46



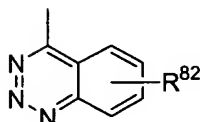
H47



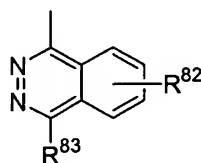
H48



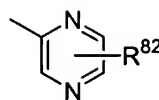
H49



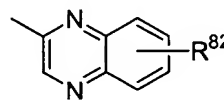
H50



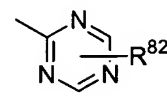
H51



H52



H53



H54

R<sup>78</sup> is H; lower alkyl; aryl; or aryl-lower alkyl;

R<sup>78</sup> and R<sup>82</sup> taken together can form: -(CH<sub>2</sub>)<sub>2-6</sub>-; -(CH<sub>2</sub>)<sub>2</sub>O(CH<sub>2</sub>)<sub>2</sub>-; -(CH<sub>2</sub>)<sub>2</sub>S(CH<sub>2</sub>)<sub>2</sub>-; or  
 -(CH<sub>2</sub>)<sub>2</sub>NR<sup>57</sup>(CH<sub>2</sub>)<sub>2</sub>-;

$R^{79}$  is H; lower alkyl; aryl; or aryl-lower alkyl; or

$R^{78}$  and  $R^{79}$ , taken together, can be  $-(CH_2)_{2-7}$ ;  $-(CH_2)_2O(CH_2)_2$ ; or  $-(CH_2)_2NR^{57}(CH_2)_2$ ;

$R^{80}$  is H; or lower alkyl;

$R^{81}$  is H; lower alkyl; or aryl-lower alkyl;

$R^{82}$  is H; lower alkyl; aryl; heteroaryl; or aryl-lower alkyl;

$R^{33}$  and  $R^{82}$  taken together can form:  $-(CH_2)_{2-6}$ ;  $-(CH_2)_2O(CH_2)_2$ ;  $-(CH_2)_2S(CH_2)_2$ ; or  $-(CH_2)_2NR^{57}(CH_2)_2$ ;

$R^{83}$  is H; lower alkyl; aryl; or  $-NR^{78}R^{79}$ ;

$R^{84}$  is  $-(CH_2)_m(CHR^{61})_sOR^{78}$ ;  $-(CH_2)_m(CHR^{61})_sSR^{78}$ ;  $-(CH_2)_pCONR^{78}R^{79}$ ;  $-(CH_2)_pNR^{80}CONR^{78}R^{79}$ ;  $-(CH_2)_pC_6H_4CONR^{78}R^{79}$ ; or  $-(CH_2)_pC_6H_4NR^{80}CONR^{78}R^{79}$ ;

$R^{85}$  is lower alkyl; or lower alkenyl;

$R^{86}$  is  $R^{74}$ ;  $-[(CH_2)_u-X]_t-(CH_2)_vNR^{78}R^{79}$ ;  $-[(CH_2)_u-X]_t-(CH_2)_v-C(=NR^{80})NR^{78}R^{79}$ ; X is -O-,  $-NR^{20}$ -, -S-, -OCOO-, u is 1-3, t is 1-6, v is 1-3;

$R^{87}$  is  $R^{84}$ ;  $-[(CH_2)_u-X]_t-(CH_2)_vOR^{78}$ ;  $-[(CH_2)_u-X]_t-(CH_2)_v-CONR^{78}R^{79}$ ;  $-[(CH_2)_u-X]_t-(CH_2)_v-NR^{80}CONR^{78}R^{79}$ ;  $-[(CH_2)_u-X]_t-(CH_2)_vSR^{78}$ ; X is -O-,  $-NR^{20}$ -, -S-, -OCOO-, u is 1-3, t is 1-6, v is 1-3;

with the proviso that in said chain of 12  $\alpha$ -amino acid residues Z the amino acid residues in positions 1 to 12 are:

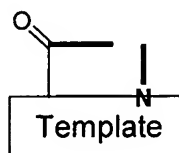
- P1: of type C or of type D or of type E or of type F, or the residue is Pro;
- P2: of type E or of type D;
- P3: of type C, or the residue is Pro;
- P4: of type E or of type F or of type I or of type K;
- P5: of type E or of type D or of type C or of type I or of type K or of type F, or the residue is Gly or Pro;
- P6: of type E or of type F or of formula -A-CO-, or of type I or of type K or of type D, or the residue is Gly;
- P7: of type E or of type F or of type I or of type C or of formula -B-CO-;

- P8: of type D or of type C, or the residue is Pro;
- P9: of type E or of type D or of type F ;
- P10: of type D or of type C or the residue is Pro;
- P11: of type E or of type D or of type C; and
- P12: of type C or of type D or of type E or of type F, or the residue is Pro; or
- P4 and P9 and/or P2 and P11, taken together, can form a group of type H; and  
at P6 and P7 also D-isomers being possible;

with the further proviso that said chain of 12  $\alpha$ -amino acid residues contains at least one residue of type I or of type K;

and pharmaceutically acceptable salts thereof.

2. (original) Compounds according to claim 1 wherein



is a group of formula (a1) or (a2).

3. (original) Compounds according to claim 2 wherein A is a group of one of the formulae A1 to A69;

$R^1$  is hydrogen or lower alkyl;

$R^2$  is H; lower alkyl; lower alkenyl;  $-(CH_2)_mOR^{55}$  (where  $R^{55}$  is lower alkyl; or lower alkenyl);  $-(CH_2)_mSR^{56}$  (where  $R^{56}$  is lower alkyl; or lower alkenyl);  $-(CH_2)_mNR^{33}R^{34}$  (where  $R^{33}$  is lower alkyl; or lower alkenyl;  $R^{34}$  is H; or lower alkyl; or  $R^{33}$  and  $R^{34}$  taken together are  $-(CH_2)_{2-6}$ ;  $-(CH_2)_2O(CH_2)_2$ ;  
 $-(CH_2)_2S(CH_2)_2$ ; or  $-(CH_2)_2NR^{57}(CH_2)_2$ ; where  $R^{57}$  is H; or lower alkyl); -  
 $(CH_2)_mOCONR^{33}R^{75}$  (where  $R^{33}$  is H; lower alkyl; or lower alkenyl;  $R^{75}$  is lower alkyl; or  $R^{33}$  and  $R^{75}$  taken together are

$-(CH_2)_{2-6}-$ ;  $-(CH_2)_2O(CH_2)_2-$ ;  $-(CH_2)_2S(CH_2)_2-$ ; or  $-(CH_2)_2NR^{57}(CH_2)_2-$ ; where  $R^{57}$  is H; or lower alkyl);

$-(CH_2)_mNR^{20}CONR^{33}R^{82}$  (where  $R^{20}$  is H; or lower alkyl;  $R^{33}$  is H; or lower alkyl; or lower alkenyl;  $R^{82}$  is H; or lower alkyl; or  $R^{33}$  and  $R^{82}$  taken together are  $-(CH_2)_{2-6}-$ ; -  $(CH_2)_2O(CH_2)_2-$ ;

$-(CH_2)_2S(CH_2)_2-$ ; or  $-(CH_2)_2NR^{57}(CH_2)_2-$ ; where  $R^{57}$  is H; or lower alkyl); -  $(CH_2)_oN(R^{20})COR^{64}$  (where:  $R^{20}$  is H; or lower alkyl;  $R^{64}$  is lower alkyl; or lower alkenyl);  $(CH_2)_oCOOR^{57}$  (where  $R^{57}$  is lower alkyl; or lower alkenyl);  $-(CH_2)_oCONR^{58}R^{59}$  (where  $R^{58}$  is lower alkyl; or lower alkenyl; and  $R^{59}$  is H; or lower alkyl; or  $R^{58}$  and  $R^{59}$  taken together are  $-(CH_2)_{2-6}-$ ;  $-(CH_2)_2O(CH_2)_2-$ ;  $-(CH_2)_2S(CH_2)_2-$ ; or  $-(CH_2)_2NR^{57}(CH_2)_2-$ ; where  $R^{57}$  is H; or lower alkyl);  $-(CH_2)_oPO(OR^{60})_2$  (where  $R^{60}$  is lower alkyl; or lower alkenyl);  $-(CH_2)_oSO_2R^{62}$  (where  $R^{62}$  is lower alkyl; or lower alkenyl); or -  $(CH_2)_qC_6H_4R^8$  (where  $R^8$  is H; F; Cl;  $CF_3$ ; lower alkyl; lower alkenyl; or lower alkoxy);  $R^3$  is H; lower alkyl; lower alkenyl;  $-(CH_2)_mOR^{55}$  (where  $R^{55}$  is lower alkyl; or lower alkenyl);

$-(CH_2)_mSR^{56}$  (where  $R^{56}$  is lower alkyl; or lower alkenyl);  $-(CH_2)_mNR^{33}R^{34}$  (where  $R^{33}$  is lower alkyl; or lower alkenyl;  $R^{34}$  is H; or lower alkyl; or  $R^{33}$  and  $R^{34}$  taken together are  $-(CH_2)_{2-6}-$ ;

$-(CH_2)_2O(CH_2)_2-$ ;  $-(CH_2)_2S(CH_2)_2-$ ; or  $-(CH_2)_2NR^{57}(CH_2)_2-$ ; where  $R^{57}$  is H; or lower alkyl);  $-(CH_2)_mOCONR^{33}R^{75}$  (where  $R^{33}$  is H; or lower alkyl; or lower alkenyl;  $R^{75}$  is lower alkyl; or  $R^{33}$  and  $R^{75}$  taken together are  $-(CH_2)_{2-6}-$ ;  $-(CH_2)_2O(CH_2)_2-$ ;  $-(CH_2)_2S(CH_2)_2-$ ; or -  $(CH_2)_2NR^{57}(CH_2)_2-$ ; where  $R^{57}$  is H; or lower alkyl);  $-(CH_2)_mNR^{20}CONR^{33}R^{82}$  (where  $R^{20}$  is H; or lower alkyl;  $R^{33}$  is H; or lower alkyl; or lower alkenyl;  $R^{82}$  is H; or lower alkyl; or  $R^{33}$  and  $R^{82}$  taken together are  $-(CH_2)_{2-6}-$ ;

$-(CH_2)_2O(CH_2)_2-$ ;  $-(CH_2)_2S(CH_2)_2-$ ; or  $-(CH_2)_2NR^{57}(CH_2)_2-$ ; where  $R^{57}$  is H; or lower alkyl);  $-(CH_2)_oN(R^{20})COR^{64}$  (where:  $R^{20}$  is H; or lower alkyl;  $R^{64}$  is lower alkyl; or lower alkenyl);  $-(CH_2)_oCOOR^{57}$  (where  $R^{57}$  is lower alkyl; or lower alkenyl);  $-(CH_2)_oCONR^{58}R^{59}$  (where  $R^{58}$  is lower alkyl; or lower alkenyl; and  $R^{59}$  is H; lower alkyl; or  $R^{58}$  and  $R^{59}$  taken together are -  $(CH_2)_{2-6}-$ ;

$-(CH_2)_2O(CH_2)_2-$ ;  $-(CH_2)_2S(CH_2)_2-$ ; or  $-(CH_2)_2NR^{57}(CH_2)_2-$ ; where  $R^{57}$  is H; or lower alkyl);

$-(CH_2)_oPO(OR^{60})_2$  (where  $R^{60}$  is lower alkyl; or lower alkenyl);  $-(CH_2)_oSO_2R^{62}$  (where  $R^{62}$  is lower alkyl; or lower alkenyl); or  $-(CH_2)_qC_6H_4R^8$  (where  $R^8$  is H; F; Cl;  $CF_3$ ; lower alkyl; lower alkenyl; or lower alkoxy).

$R^4$  is H; lower alkyl; lower alkenyl;  $-(CH_2)_mOR^{55}$  (where  $R^{55}$  is lower alkyl; or lower alkenyl);

$-(CH_2)_mSR^{56}$  (where  $R^{56}$  is lower alkyl; or lower alkenyl);  $-(CH_2)_mNR^{33}R^{34}$  (where  $R^{33}$  is lower alkyl; or lower alkenyl;  $R^{34}$  is H; or lower alkyl; or  $R^{33}$  and  $R^{34}$  taken together are  $-(CH_2)_{2-6}$ ;

$-(CH_2)_2O(CH_2)_2$ ;  $-(CH_2)_2S(CH_2)_2$ ; or  $-(CH_2)_2NR^{57}(CH_2)_2$ ; where  $R^{57}$  is H; or lower alkyl);  $-(CH_2)_mOCONR^{33}R^{75}$  (where  $R^{33}$  is H; or lower alkyl; or lower alkenyl;  $R^{75}$  is lower alkyl; or  $R^{33}$  and  $R^{75}$  taken together are  $-(CH_2)_{2-6}$ ;  $-(CH_2)_2O(CH_2)_2$ ;  $-(CH_2)_2S(CH_2)_2$ ; or  $-(CH_2)_2NR^{57}(CH_2)_2$ ; where  $R^{57}$  is H; or lower alkyl);  $-(CH_2)_mNR^{20}CONR^{33}R^{82}$  (where  $R^{20}$  is H; or lower alkyl;  $R^{33}$  is H; or lower alkyl; or lower alkenyl;  $R^{82}$  is H; or lower alkyl; or  $R^{33}$  and  $R^{82}$  taken together are  $-(CH_2)_{2-6}$ ;

$-(CH_2)_2O(CH_2)_2$ ;  $-(CH_2)_2S(CH_2)_2$ ; or  $-(CH_2)_2NR^{57}(CH_2)_2$ ; where  $R^{57}$  is H; or lower alkyl);  $-(CH_2)_mN(R^{20})COR^{64}$  (where:  $R^{20}$  is H; or lower alkyl;  $R^{64}$  is lower alkyl; or lower alkenyl);  $-(CH_2)_oCOOR^{57}$  (where  $R^{57}$  is lower alkyl; or lower alkenyl);  $-(CH_2)_oCONR^{58}R^{59}$  (where  $R^{58}$  is lower alkyl; or lower alkenyl; and  $R^{59}$  is H; or lower alkyl; or  $R^{58}$  and  $R^{59}$  taken together are  $-(CH_2)_{2-6}$ ;

$-(CH_2)_2O(CH_2)_2$ ;  $-(CH_2)_2S(CH_2)_2$ ; or  $-(CH_2)_2NR^{57}(CH_2)_2$ ; where  $R^{57}$  is H; or lower alkyl);  $-(CH_2)_oPO(OR^{60})_2$  (where  $R^{60}$  is lower alkyl; or lower alkenyl);  $-(CH_2)_oSO_2R^{62}$  (where  $R^{62}$  is lower alkyl; or lower alkenyl); or  $-(CH_2)_qC_6H_4R^8$  (where  $R^8$  is H; F; Cl;  $CF_3$ ; lower alkyl; lower alkenyl; or lower alkoxy).

$R^5$  is lower alkyl; lower alkenyl;  $-(CH_2)_oOR^{55}$  (where  $R^{55}$  is lower alkyl; or lower alkenyl);  $-(CH_2)_oSR^{56}$  (where  $R^{56}$  is lower alkyl; or lower alkenyl);  $(CH_2)_oNR^{33}R^{34}$  (where  $R^{33}$  is lower alkyl; or lower alkenyl;  $R^{34}$  is H; or lower alkyl; or  $R^{33}$  and  $R^{34}$  taken together are  $-(CH_2)_{2-6}$ ;  $-(CH_2)_2O(CH_2)_2$ ;

$-(CH_2)_2S(CH_2)_2$ ; or  $-(CH_2)_2NR^{57}(CH_2)_2$ ; where  $R^{57}$  is H; or lower alkyl);  $-(CH_2)_oOCONR^{33}R^{75}$  (where  $R^{33}$  is H; or lower alkyl; or lower alkenyl;  $R^{75}$  is lower alkyl; or  $R^{33}$  and  $R^{75}$  taken together are

$-(CH_2)_{2-6}-$ ;  $-(CH_2)_2O(CH_2)_2-$ ;  $-(CH_2)_2S(CH_2)_2-$ ; or  $-(CH_2)_2NR^{57}(CH_2)_2-$ ; where  $R^{57}$  is H; or lower alkyl);  $-(CH_2)_oNR^{20}CONR^{33}R^{82}$  (where  $R^{20}$  is H; or lower lower alkyl;  $R^{33}$  is H; or lower alkyl; or lower alkenyl;  $R^{82}$  is H; or lower alkyl; or  $R^{33}$  and  $R^{82}$  taken together are  $-(CH_2)_{2-6}-$ ;  $-(CH_2)_2O(CH_2)_2-$ ;  
 $-(CH_2)_2S(CH_2)_2-$ ; or  $-(CH_2)_2NR^{57}(CH_2)_2-$ ; where  $R^{57}$  is H; or lower alkyl);  $-(CH_2)_oN(R^{20})COR^{64}$  (where:  $R^{20}$  is H; or lower alkyl;  $R^{64}$  is alkyl; alkenyl; aryl; aryl-lower alkyl; or heteroaryl-lower alkyl);  $-(CH_2)_oCOOR^{57}$  (where  $R^{57}$  is lower alkyl; or lower alkenyl);  $-(CH_2)_oCONR^{58}R^{59}$  (where  $R^{58}$  is lower alkyl; or lower alkenyl; and  $R^{59}$  is H; or lower alkyl; or  $R^{58}$  and  $R^{59}$  taken together are  $-(CH_2)_{2-6}-$ ;  $-(CH_2)_2O(CH_2)_2-$ ;  $-(CH_2)_2S(CH_2)_2-$ ; or  $-(CH_2)_2NR^{57}(CH_2)_2-$ ; where  $R^{57}$  is H; or lower alkyl);  
 $-(CH_2)_oPO(OR^{60})_2$  (where  $R^{60}$  is lower alkyl; or lower alkenyl);  $-(CH_2)_oSO_2R^{62}$  (where  $R^{62}$  is lower alkyl; or lower alkenyl); or  $-(CH_2)_qC_6H_4R^8$  (where  $R^8$  is H; F; Cl;  $CF_3$ ; lower alkyl; lower alkenyl; or lower alkoxy);  
 $R^6$  is H; lower alkyl; lower alkenyl;  $-(CH_2)_oOR^{55}$  (where  $R^{55}$  is lower alkyl; or lower alkenyl);  $-(CH_2)_oSR^{56}$  (where  $R^{56}$  is lower alkyl; or lower alkenyl);  $-(CH_2)_oNR^{33}R^{34}$  (where  $R^{33}$  is lower alkyl; or lower alkenyl;  $R^{34}$  is H; or lower alkyl; or  $R^{33}$  and  $R^{34}$  taken together are  $-(CH_2)_{2-6}-$ ;  $-(CH_2)_2O(CH_2)_2-$ ;  
 $-(CH_2)_2S(CH_2)_2-$ ; or  $-(CH_2)_2NR^{57}(CH_2)_2-$ ; where  $R^{57}$  is H; or lower alkyl);  $-(CH_2)_oOCONR^{33}R^{75}$  (where  $R^{33}$  is H; or lower alkyl; or lower alkenyl;  $R^{75}$  is lower alkyl; or  $R^{33}$  and  $R^{75}$  taken together are  $-(CH_2)_{2-6}-$ ;  $-(CH_2)_2O(CH_2)_2-$ ;  $-(CH_2)_2S(CH_2)_2-$ ; or  $-(CH_2)_2NR^{57}(CH_2)_2-$ ; where  $R^{57}$  is H; or lower alkyl);  $-(CH_2)_oNR^{20}CONR^{33}R^{82}$  (where  $R^{20}$  is H; or lower lower alkyl;  $R^{33}$  is H; or lower alkyl; or lower alkenyl;  $R^{82}$  is H; or lower alkyl; or  $R^{33}$  and  $R^{82}$  taken together are  $-(CH_2)_{2-6}-$ ;  $-(CH_2)_2O(CH_2)_2-$ ;  
 $-(CH_2)_2S(CH_2)_2-$ ; or  $-(CH_2)_2NR^{57}(CH_2)_2-$ ; where  $R^{57}$  is H; or lower alkyl);  $-(CH_2)_oN(R^{20})COR^{64}$  (where  $R^{20}$  is H; or lower alkyl;  $R^{64}$  is lower alkyl; or lower alkenyl);  $-(CH_2)_oCOOR^{57}$  (where  $R^{57}$  is lower alkyl; or lower alkenyl);  $-(CH_2)_oCONR^{58}R^{59}$  (where  $R^{58}$  is lower alkyl; or lower alkenyl; and  $R^{59}$  is H; or lower alkyl; or  $R^{58}$  and  $R^{59}$  taken together are  $-(CH_2)_{2-6}-$ ;  $-(CH_2)_2O(CH_2)_2-$ ;  $-(CH_2)_2S(CH_2)_2-$ ; or  
 $-(CH_2)_2NR^{57}(CH_2)_2-$ ; where  $R^{57}$  is H; or lower alkyl);  $-(CH_2)_oPO(OR^{60})_2$  (where  $R^{60}$  is lower



alkyl; or lower alkenyl);  $-(CH_2)_6SO_2R^{62}$  (where  $R^{62}$  is lower alkyl; or lower alkenyl); or  $-(CH_2)_qC_6H_4R^8$  (where  $R^8$  is H; F; Cl;  $CF_3$ ; lower alkyl; lower alkenyl; or lower alkoxy);  $R^7$  is lower alkyl; lower alkenyl;  $-(CH_2)_qOR^{55}$  (where  $R^{55}$  is lower alkyl; or lower alkenyl);  $-(CH_2)_qSR^{56}$  (where  $R^{56}$  is lower alkyl; or lower alkenyl);  $-(CH_2)_qNR^{33}R^{34}$  (where  $R^{33}$  is lower alkyl; or lower alkenyl;  $R^{34}$  is H; or lower alkyl; or  $R^{33}$  and  $R^{34}$  taken together are  $-(CH_2)_{2-6}$ ;  $-(CH_2)_2O(CH_2)_2$ ;  $-(CH_2)_2S(CH_2)_2$ ; or  $-(CH_2)_2NR^{57}(CH_2)_2$ ; where  $R^{57}$  is H; or lower alkyl);  $-(CH_2)_qOCONR^{33}R^{75}$  (where  $R^{33}$  is H; or lower alkyl; or lower alkenyl;  $R^{75}$  is lower alkyl; or  $R^{33}$  and  $R^{75}$  taken together are  $-(CH_2)_{2-6}$ ;  $-(CH_2)_2O(CH_2)_2$ ;  $-(CH_2)_2S(CH_2)_2$ ; or  $-(CH_2)_2NR^{57}(CH_2)_2$ ; where  $R^{57}$  is H; or lower alkyl);  $-(CH_2)_qNR^{20}CONR^{33}R^{82}$  (where  $R^{20}$  is H; or lower alkyl;  $R^{33}$  is H; or lower alkyl; or lower alkenyl;  $R^{82}$  is H; or lower alkyl; or  $R^{33}$  and  $R^{82}$  taken together are  $-(CH_2)_{2-6}$ ;  $-(CH_2)_2O(CH_2)_2$ ;  $-(CH_2)_2S(CH_2)_2$ ; or  $-(CH_2)_2NR^{57}(CH_2)_2$ ; where  $R^{57}$  is H; or lower alkyl);  $-(CH_2)_qN(R^{20})COR^{64}$  (where:  $R^{20}$  is H; or lower alkyl;  $R^{64}$  is lower alkyl; or lower alkenyl);  $-(CH_2)_rCOOR^{57}$  (where  $R^{57}$  is lower alkyl; or lower alkenyl);  $-(CH_2)_qCONR^{58}R^{59}$  (where  $R^{58}$  is lower alkyl; or lower alkenyl; and  $R^{59}$  is H; or lower alkyl; or  $R^{58}$  and  $R^{59}$  taken together are  $-(CH_2)_{2-6}$ ;  $-(CH_2)_2O(CH_2)_2$ ;  $-(CH_2)_2S(CH_2)_2$ ; or  $-(CH_2)_2NR^{57}(CH_2)_2$ ; where  $R^{57}$  is H; or lower alkyl);  $-(CH_2)_rPO(OR^{60})_2$  (where  $R^{60}$  is lower alkyl; or lower alkenyl);  $-(CH_2)_rSO_2R^{62}$  (where  $R^{62}$  is lower alkyl; or lower alkenyl); or  $-(CH_2)_qC_6H_4R^8$  (where  $R^8$  is H; F; Cl;  $CF_3$ ; lower alkyl; lower alkenyl; or lower alkoxy);  $R^8$  is H; F; Cl;  $CF_3$ ; lower alkyl; lower alkenyl;  $-(CH_2)_6OR^{55}$  (where  $R^{55}$  is lower alkyl; or lower alkenyl);  $-(CH_2)_6SR^{56}$  (where  $R^{56}$  is lower alkyl; or lower alkenyl);  $-(CH_2)_6NR^{33}R^{34}$  (where  $R^{33}$  is lower alkyl; or lower alkenyl;  $R^{34}$  is H; or lower alkyl; or  $R^{33}$  and  $R^{34}$  taken together are  $-(CH_2)_{2-6}$ ;  $-(CH_2)_2O(CH_2)_2$ ;  $-(CH_2)_2S(CH_2)_2$ ; or  $-(CH_2)_2NR^{57}(CH_2)_2$ ; where  $R^{57}$  is H; or lower alkyl);  $-(CH_2)_6OCONR^{33}R^{75}$  (where  $R^{33}$  is H; or lower alkyl; or lower alkenyl;  $R^{75}$  is lower alkyl; or  $R^{33}$  and  $R^{75}$  taken together are  $-(CH_2)_{2-6}$ ;  $-(CH_2)_2O(CH_2)_2$ ;  $-(CH_2)_2S(CH_2)_2$ ; or  $-(CH_2)_2NR^{57}(CH_2)_2$ ; where  $R^{57}$  is H; or lower alkyl);  $-(CH_2)_6NR^{20}CONR^{33}R^{82}$  (where  $R^{20}$  is H; or lower alkyl;  $R^{33}$  is H; or lower alkyl; or lower alkenyl;  $R^{82}$  is H; or lower alkyl; or  $R^{33}$  and  $R^{82}$  taken together are  $-(CH_2)_{2-6}$ ;

$-(CH_2)_2O(CH_2)_2-$ ;  $-(CH_2)_2S(CH_2)_2-$ ; or  $-(CH_2)_2NR^{57}(CH_2)_2-$ ; where  $R^{57}$  is H; or lower alkyl);  
 $-(CH_2)_oN(R^{20})COR^{64}$  (where  $R^{20}$  is H; or lower alkyl;  $R^{64}$  is lower alkyl; or lower alkenyl);  
 $-(CH_2)_oCOOR^{57}$  (where  $R^{57}$  is lower alkyl; or lower alkenyl);  $-(CH_2)_oCONR^{58}R^{59}$  (where  $R^{58}$   
is lower alkyl; or lower alkenyl; and  $R^{59}$  is H; or lower alkyl; or  $R^{58}$  and  $R^{59}$  taken together  
are  $-(CH_2)_{2-6}-$ ;

$-(CH_2)_2O(CH_2)_2-$ ;  $-(CH_2)_2S(CH_2)_2-$ ; or  $-(CH_2)_2NR^{57}(CH_2)_2-$ ; where  $R^{57}$  is H; or lower alkyl);  
 $-(CH_2)_oPO(OR^{60})_2$  (where  $R^{60}$  is lower alkyl; or lower alkenyl);  $-(CH_2)_oSO_2R^{62}$  (where  $R^{62}$  is  
lower alkyl; or lower alkenyl); or  $-(CH_2)_qC_6H_4R^8$  (where  $R^8$  is H; F; Cl;  $CF_3$ ; lower alkyl;  
lower alkenyl; or lower alkoxy);

$R^9$  is lower alkyl; lower alkenyl;  $-(CH_2)_oOR^{55}$  (where  $R^{55}$  is lower alkyl; or lower alkenyl);  
 $-(CH_2)_oSR^{56}$  (where  $R^{56}$  is lower alkyl; or lower alkenyl);  $-(CH_2)_oNR^{33}R^{34}$  (where  $R^{33}$  is  
lower alkyl; or lower alkenyl;  $R^{34}$  is H; or lower alkyl; or  $R^{33}$  and  $R^{34}$  taken together are -  
 $(CH_2)_{2-6}-$ ;  $-(CH_2)_2O(CH_2)_2-$ ;

$-(CH_2)_2S(CH_2)_2-$ ; or  $-(CH_2)_2NR^{57}(CH_2)_2-$ ; where  $R^{57}$  is H; or lower alkyl); -  
 $(CH_2)_oOCONR^{33}R^{75}$  (where  $R^{33}$  is H; or lower alkyl; or lower alkenyl;  $R^{75}$  is lower alkyl; or  
 $R^{33}$  and  $R^{75}$  taken together are

$-(CH_2)_{2-6}-$ ;  $-(CH_2)_2O(CH_2)_2-$ ;  $-(CH_2)_2S(CH_2)_2-$ ; or  $-(CH_2)_2NR^{57}(CH_2)_2-$ ; where  $R^{57}$  is H; or  
lower alkyl);  $-(CH_2)_mNR^{20}CONR^{33}R^{82}$  (where  $R^{20}$  is H; or lower lower alkyl;  $R^{33}$  is H; or  
lower alkyl; or lower alkenyl;  $R^{82}$  is H; or lower alkyl; or  $R^{33}$  and  $R^{82}$  taken together are -  
 $(CH_2)_{2-6}-$ ;  $-(CH_2)_2O(CH_2)_2-$ ;

$-(CH_2)_2S(CH_2)_2-$ ; or  $-(CH_2)_2NR^{57}(CH_2)_2-$ ; where  $R^{57}$  is H; or lower alkyl); -  
 $(CH_2)_oN(R^{20})COR^{64}$  (where  $R^{20}$  is H; or lower alkyl;  $R^{64}$  is lower alkyl; or lower alkenyl); -  
 $(CH_2)_oCOOR^{57}$  (where  $R^{57}$  is lower alkyl; or lower alkenyl);  $-(CH_2)_oCONR^{58}R^{59}$  (where  $R^{58}$   
is lower alkyl; or lower alkenyl; and  $R^{59}$  is H; or lower alkyl; or  $R^{58}$  and  $R^{59}$  taken together  
are  $-(CH_2)_{2-6}-$ ;  $-(CH_2)_2O(CH_2)_2-$ ;  $-(CH_2)_2S(CH_2)_2-$ ; or

$-(CH_2)_2NR^{57}(CH_2)_2-$ ; where  $R^{57}$  is H; or lower alkyl);  $-(CH_2)_oPO(OR^{60})_2$  (where  $R^{60}$  is lower  
alkyl; or lower alkenyl);  $-(CH_2)_oSO_2R^{62}$  (where  $R^{62}$  is lower alkyl; or lower alkenyl); or -  
 $(CH_2)_qC_6H_4R^8$  (where  $R^8$  is H; F; Cl;  $CF_3$ ; lower alkyl; lower alkenyl; or lower alkoxy);  
 $R^{10}$  is lower alkyl; lower alkenyl;  $-(CH_2)_oOR^{55}$  (where  $R^{55}$  is lower alkyl; or lower alkenyl);  
 $-(CH_2)_oSR^{56}$  (where  $R^{56}$  is lower alkyl; or lower alkenyl);  $-(CH_2)_oNR^{33}R^{34}$  (where  $R^{33}$  is

lower alkyl; or lower alkenyl;  $R^{34}$  is H; or lower alkyl; or  $R^{33}$  and  $R^{34}$  taken together are -  
(CH<sub>2</sub>)<sub>2-6</sub>-; -(CH<sub>2</sub>)<sub>2</sub>O(CH<sub>2</sub>)<sub>2</sub>-;  
-(CH<sub>2</sub>)<sub>2</sub>S(CH<sub>2</sub>)<sub>2</sub>-; or -(CH<sub>2</sub>)<sub>2</sub>NR<sup>57</sup>(CH<sub>2</sub>)<sub>2</sub>-; where R<sup>57</sup> is H; or lower alkyl); -  
(CH<sub>2</sub>)<sub>6</sub>OCONR<sup>33</sup>R<sup>75</sup> (where R<sup>33</sup> is H; or lower alkyl; or lower alkenyl; R<sup>75</sup> is lower alkyl; or  
R<sup>33</sup> and R<sup>75</sup> taken together are  
-(CH<sub>2</sub>)<sub>2-6</sub>-; -(CH<sub>2</sub>)<sub>2</sub>O(CH<sub>2</sub>)<sub>2</sub>-; -(CH<sub>2</sub>)<sub>2</sub>S(CH<sub>2</sub>)<sub>2</sub>-; or -(CH<sub>2</sub>)<sub>2</sub>NR<sup>57</sup>(CH<sub>2</sub>)<sub>2</sub>-; where R<sup>57</sup>: H is or  
lower alkyl); -(CH<sub>2</sub>)<sub>6</sub>NR<sup>20</sup>CONR<sup>33</sup>R<sup>82</sup> (where R<sup>20</sup> is H; or lower lower alkyl; R<sup>33</sup> is H; or  
lower alkyl; or lower alkenyl; R<sup>82</sup> is H; or lower alkyl; or R<sup>33</sup> and R<sup>82</sup> taken together are -  
(CH<sub>2</sub>)<sub>2-6</sub>-; -(CH<sub>2</sub>)<sub>2</sub>O(CH<sub>2</sub>)<sub>2</sub>-;  
-(CH<sub>2</sub>)<sub>2</sub>S(CH<sub>2</sub>)<sub>2</sub>-; or -(CH<sub>2</sub>)<sub>2</sub>NR<sup>57</sup>(CH<sub>2</sub>)<sub>2</sub>-; where R<sup>57</sup> is H; or lower alkyl); -  
(CH<sub>2</sub>)<sub>6</sub>N(R<sup>20</sup>)COR<sup>64</sup> (where R<sup>20</sup> is H; or lower alkyl; R<sup>64</sup> is lower alkyl; or lower alkenyl); -  
(CH<sub>2</sub>)<sub>6</sub>COOR<sup>57</sup> (where R<sup>57</sup> is lower alkyl; or lower alkenyl); -(CH<sub>2</sub>)<sub>6</sub>CONR<sup>58</sup>R<sup>59</sup> (where R<sup>58</sup>  
is lower alkyl; or lower alkenyl; and R<sup>59</sup> is H; lower alkyl; or R<sup>58</sup> and R<sup>59</sup> taken together are -  
(CH<sub>2</sub>)<sub>2-6</sub>-; -(CH<sub>2</sub>)<sub>2</sub>O(CH<sub>2</sub>)<sub>2</sub>-; -(CH<sub>2</sub>)<sub>2</sub>S(CH<sub>2</sub>)<sub>2</sub>-; or  
-(CH<sub>2</sub>)<sub>2</sub>NR<sup>57</sup>(CH<sub>2</sub>)<sub>2</sub>-; where R<sup>57</sup> is H; or lower alkyl); -(CH<sub>2</sub>)<sub>6</sub>PO(OR<sup>60</sup>)<sub>2</sub> (where R<sup>60</sup> is lower  
alkyl; or lower alkenyl); -(CH<sub>2</sub>)<sub>6</sub>SO<sub>2</sub>R<sup>62</sup> (where R<sup>62</sup> is lower alkyl; or lower alkenyl); or -  
(CH<sub>2</sub>)<sub>q</sub>C<sub>6</sub>H<sub>4</sub>R<sup>8</sup> (where R<sup>8</sup> is H; F; Cl; CF<sub>3</sub>; lower alkyl; lower alkenyl; or lower alkoxy);  
R<sup>11</sup> is H; lower alkyl; lower alkenyl; -(CH<sub>2</sub>)<sub>m</sub>OR<sup>55</sup> (where R<sup>55</sup> is lower alkyl; or lower  
alkenyl);  
-(CH<sub>2</sub>)<sub>m</sub>SR<sup>56</sup> (where R<sup>56</sup> is lower alkyl; or lower alkenyl); -(CH<sub>2</sub>)<sub>m</sub>NR<sup>33</sup>R<sup>34</sup> (where R<sup>33</sup> is  
lower alkyl; or lower alkenyl; R<sup>34</sup> is H; or lower alkyl; or R<sup>33</sup> and R<sup>34</sup> taken together are -  
(CH<sub>2</sub>)<sub>2-6</sub>-;  
-(CH<sub>2</sub>)<sub>2</sub>O(CH<sub>2</sub>)<sub>2</sub>-; -(CH<sub>2</sub>)<sub>2</sub>S(CH<sub>2</sub>)<sub>2</sub>-; or -(CH<sub>2</sub>)<sub>2</sub>NR<sup>57</sup>(CH<sub>2</sub>)<sub>2</sub>-; where R<sup>57</sup> is H; or lower alkyl);  
-(CH<sub>2</sub>)<sub>m</sub>OCONR<sup>33</sup>R<sup>75</sup> (where R<sup>33</sup> is H; or lower alkyl; or lower alkenyl; R<sup>75</sup> is lower alkyl; or  
R<sup>33</sup> and R<sup>75</sup> taken together are -(CH<sub>2</sub>)<sub>2-6</sub>-; -(CH<sub>2</sub>)<sub>2</sub>O(CH<sub>2</sub>)<sub>2</sub>-; -(CH<sub>2</sub>)<sub>2</sub>S(CH<sub>2</sub>)<sub>2</sub>-; or -  
(CH<sub>2</sub>)<sub>2</sub>NR<sup>57</sup>(CH<sub>2</sub>)<sub>2</sub>-; where R<sup>57</sup> is H; or lower alkyl); -(CH<sub>2</sub>)<sub>m</sub>NR<sup>20</sup>CONR<sup>33</sup>R<sup>82</sup> (where R<sup>20</sup> is  
H; or lower alkyl; R<sup>33</sup> is H; or lower alkyl; or lower alkenyl; R<sup>82</sup> is H; or lower alkyl; or R<sup>33</sup>  
and R<sup>82</sup> taken together are -(CH<sub>2</sub>)<sub>2-6</sub>-;  
-(CH<sub>2</sub>)<sub>2</sub>O(CH<sub>2</sub>)<sub>2</sub>-; -(CH<sub>2</sub>)<sub>2</sub>S(CH<sub>2</sub>)<sub>2</sub>-; or -(CH<sub>2</sub>)<sub>2</sub>NR<sup>57</sup>(CH<sub>2</sub>)<sub>2</sub>-; where R<sup>57</sup> is H; or lower alkyl);  
-(CH<sub>2</sub>)<sub>m</sub>N(R<sup>20</sup>)COR<sup>64</sup> (where R<sup>20</sup> is H; or lower alkyl; R<sup>64</sup> is lower alkyl; or lower alkenyl);

Applicant: Vrijbloed, et al.  
Application No: Unassigned  
Filing Date: Herewith  
Docket No: 753-50 PCT/US  
Page 30

$-(CH_2)_oCOOR^{57}$  (where  $R^{57}$  is lower alkyl; or lower alkenyl);  $-(CH_2)_oCONR^{58}R^{59}$  (where  $R^{58}$  is lower alkyl; or lower alkenyl; and  $R^{59}$  is H; lower alkyl; or  $R^{58}$  and  $R^{59}$  taken together are  $-(CH_2)_{2-6}$ ;

$-(CH_2)_2O(CH_2)_2$ ;  $-(CH_2)_2S(CH_2)_2$ ; or  $-(CH_2)_2NR^{57}(CH_2)_2$ ; where  $R^{57}$  is H; or lower alkyl);  $-(CH_2)_oPO(OR^{60})_2$  (where  $R^{60}$  is lower alkyl; or lower alkenyl);  $-(CH_2)_oSO_2R^{62}$  (where  $R^{62}$  is lower alkyl; or lower alkenyl); or  $-(CH_2)_qC_6H_4R^8$  (where  $R^8$  is H; F; Cl;  $CF_3$ ; lower alkyl; lower alkenyl; or lower alkoxy);

$R^{12}$  is H; lower alkyl; lower alkenyl;  $-(CH_2)_mOR^{55}$  (where  $R^{55}$  is lower alkyl; or lower alkenyl);

$-(CH_2)_mSR^{56}$  (where  $R^{56}$  is lower alkyl; or lower alkenyl);  $-(CH_2)_mNR^{33}R^{34}$  (where  $R^{33}$  is lower alkyl; or lower alkenyl;  $R^{34}$  is H; or lower alkyl; or  $R^{33}$  and  $R^{34}$  taken together are  $-(CH_2)_{2-6}$ ;

$-(CH_2)_2O(CH_2)_2$ ;  $-(CH_2)_2S(CH_2)_2$ ; or  $-(CH_2)_2NR^{57}(CH_2)_2$ ; where  $R^{57}$  is H; or lower alkyl);  $-(CH_2)_mOCONR^{33}R^{75}$  (where  $R^{33}$  is H; or lower alkyl; or lower alkenyl;  $R^{75}$  is lower alkyl; or  $R^{33}$  and  $R^{75}$  taken together are  $-(CH_2)_{2-6}$ ;  $-(CH_2)_2O(CH_2)_2$ ;  $-(CH_2)_2S(CH_2)_2$ ; or  $-(CH_2)_2NR^{57}(CH_2)_2$ ; where  $R^{57}$  is H; or lower alkyl);  $-(CH_2)_mNR^{20}CONR^{33}R^{82}$  (where  $R^{20}$  is H; or lower lower alkyl;  $R^{33}$  is H; or lower alkyl; or lower alkenyl;  $R^{82}$  is H; or lower alkyl; or  $R^{33}$  and  $R^{82}$  taken together are  $-(CH_2)_{2-6}$ ;

$-(CH_2)_2O(CH_2)_2$ ;  $-(CH_2)_2S(CH_2)_2$ ; or  $-(CH_2)_2NR^{57}(CH_2)_2$ ; where  $R^{57}$  is H; or lower alkyl);  $-(CH_2)_mN(R^{20})COR^{64}$  (where:  $R^{20}$  is H; or lower alkyl;  $R^{64}$  is lower alkyl; or lower alkenyl);  $-(CH_2)_rCOOR^{57}$  (where  $R^{57}$  is lower alkyl; or lower alkenyl);  $-(CH_2)_rCONR^{58}R^{59}$  (where  $R^{58}$  is lower alkyl; or lower alkenyl; and  $R^{59}$  is H; or lower alkyl; or  $R^{58}$  and  $R^{59}$  taken together are  $-(CH_2)_{2-6}$ ;

$-(CH_2)_2O(CH_2)_2$ ;  $-(CH_2)_2S(CH_2)_2$ ; or  $-(CH_2)_2NR^{57}(CH_2)_2$ ; where  $R^{57}$  is H; or lower alkyl);  $-(CH_2)_rPO(OR^{60})_2$  (where  $R^{60}$  is lower alkyl; or lower alkenyl);  $-(CH_2)_oSO_2R^{62}$  (where  $R^{62}$  is lower alkyl; or lower alkenyl); or  $-(CH_2)_qC_6H_4R^8$  (where  $R^8$  is H; F; Cl;  $CF_3$ ; lower alkyl; lower alkenyl; or lower alkoxy);

$R^{13}$  is lower alkyl; lower alkenyl;  $-(CH_2)_qOR^{55}$  (where  $R^{55}$  is lower alkyl; or lower alkenyl);  $-(CH_2)_qSR^{56}$  (where  $R^{56}$  is lower alkyl; or lower alkenyl);  $-(CH_2)_qNR^{33}R^{34}$  (where  $R^{33}$  is lower alkyl; or lower alkenyl;  $R^{34}$  is H; or lower alkyl; or  $R^{33}$  and  $R^{34}$  taken together are -

$(\text{CH}_2)_{2-6}$ ;  $-(\text{CH}_2)_2\text{O}(\text{CH}_2)_2$ ;

$-(\text{CH}_2)_2\text{S}(\text{CH}_2)_2$ ; or  $-(\text{CH}_2)_2\text{NR}^{57}(\text{CH}_2)_2$ ; where  $\text{R}^{57}$  is H; or lower alkyl); -

$(\text{CH}_2)_q\text{OCONR}^{33}\text{R}^{75}$  (where  $\text{R}^{33}$  is H; or lower alkyl; or lower alkenyl;  $\text{R}^{75}$  is lower alkyl; or  $\text{R}^{33}$  and  $\text{R}^{75}$  taken together are

$-(\text{CH}_2)_{2-6}$ ;  $-(\text{CH}_2)_2\text{O}(\text{CH}_2)_2$ ;  $-(\text{CH}_2)_2\text{S}(\text{CH}_2)_2$ ; or  $-(\text{CH}_2)_2\text{NR}^{57}(\text{CH}_2)_2$ ; where  $\text{R}^{57}$  is H; or lower alkyl);  $-(\text{CH}_2)_q\text{NR}^{20}\text{CONR}^{33}\text{R}^{82}$  (where  $\text{R}^{20}$  is H; or lower lower alkyl;  $\text{R}^{33}$  is H; or lower alkyl; or lower alkenyl;  $\text{R}^{82}$  is H; or lower alkyl; or  $\text{R}^{33}$  and  $\text{R}^{82}$  taken together are -  $(\text{CH}_2)_{2-6}$ ;  $-(\text{CH}_2)_2\text{O}(\text{CH}_2)_2$ ;

$-(\text{CH}_2)_2\text{S}(\text{CH}_2)_2$ ; or  $-(\text{CH}_2)_2\text{NR}^{57}(\text{CH}_2)_2$ ; where  $\text{R}^{57}$  is H; or lower alkyl); -

$(\text{CH}_2)_q\text{N}(\text{R}^{20})\text{COR}^{64}$  (where:  $\text{R}^{20}$  is H; or lower alkyl;  $\text{R}^{64}$  is lower alkyl; or lower alkenyl); -

$(\text{CH}_2)_r\text{COOR}^{57}$  (where  $\text{R}^{57}$  is lower alkyl; or lower alkenyl);  $-(\text{CH}_2)_q\text{CONR}^{58}\text{R}^{59}$  (where  $\text{R}^{58}$  is lower alkyl; or lower alkenyl; and  $\text{R}^{59}$  is H; or lower alkyl; or  $\text{R}^{58}$  and  $\text{R}^{59}$  taken together are  $-(\text{CH}_2)_{2-6}$ ;  $-(\text{CH}_2)_2\text{O}(\text{CH}_2)_2$ ;  $-(\text{CH}_2)_2\text{S}(\text{CH}_2)_2$ ; or  $-(\text{CH}_2)_2\text{NR}^{57}(\text{CH}_2)_2$ ; where  $\text{R}^{57}$  is H; or lower alkyl);  $-(\text{CH}_2)_r\text{PO}(\text{OR}^{60})_2$  (where  $\text{R}^{60}$  is lower alkyl; or lower alkenyl);  $-(\text{CH}_2)_r\text{SO}_2\text{R}^{62}$  (where  $\text{R}^{62}$  is lower alkyl; or lower alkenyl); or  $-(\text{CH}_2)_q\text{C}_6\text{H}_4\text{R}^8$  (where  $\text{R}^8$  is H; F; Cl;  $\text{CF}_3$ ; lower alkyl; lower alkenyl; or lower alkoxy);

$\text{R}^{14}$  is H; lower alkyl; lower alkenyl;  $-(\text{CH}_2)_m\text{OR}^{55}$  (where  $\text{R}^{55}$  is lower alkyl; or lower alkenyl);

$-(\text{CH}_2)_m\text{SR}^{56}$  (where  $\text{R}^{56}$  is lower alkyl; or lower alkenyl);  $-(\text{CH}_2)_m\text{NR}^{33}\text{R}^{34}$  (where  $\text{R}^{33}$  is lower alkyl; or lower alkenyl;  $\text{R}^{34}$  is H; or lower alkyl; or  $\text{R}^{33}$  and  $\text{R}^{34}$  taken together are -  $(\text{CH}_2)_{2-6}$ ;

$-(\text{CH}_2)_2\text{O}(\text{CH}_2)_2$ ;  $-(\text{CH}_2)_2\text{S}(\text{CH}_2)_2$ ; or  $-(\text{CH}_2)_2\text{NR}^{57}(\text{CH}_2)_2$ ; where  $\text{R}^{57}$  is H; or lower alkyl);

$-(\text{CH}_2)_m\text{OCONR}^{33}\text{R}^{75}$  (where  $\text{R}^{33}$  is H; or lower alkyl; or lower alkenyl;  $\text{R}^{75}$  is lower alkyl; or  $\text{R}^{33}$  and  $\text{R}^{75}$  taken together are  $-(\text{CH}_2)_{2-6}$ ;  $-(\text{CH}_2)_2\text{O}(\text{CH}_2)_2$ ;  $-(\text{CH}_2)_2\text{S}(\text{CH}_2)_2$ ; or -

$(\text{CH}_2)_2\text{NR}^{57}(\text{CH}_2)_2$ ; where  $\text{R}^{57}$  is H; or lower alkyl);  $-(\text{CH}_2)_m\text{NR}^{20}\text{CONR}^{33}\text{R}^{82}$  (where  $\text{R}^{20}$  is H; or lower lower alkyl;  $\text{R}^{33}$  is H; or lower alkyl; or lower alkenyl is  $\text{R}^{82}$ ; H; or lower alkyl; or  $\text{R}^{33}$  and  $\text{R}^{82}$  taken together are  $-(\text{CH}_2)_{2-6}$ ;

$-(\text{CH}_2)_2\text{O}(\text{CH}_2)_2$ ;  $-(\text{CH}_2)_2\text{S}(\text{CH}_2)_2$ ; or  $-(\text{CH}_2)_2\text{NR}^{57}(\text{CH}_2)_2$ ; where  $\text{R}^{57}$  is H; or lower alkyl);

$-(\text{CH}_2)_m\text{N}(\text{R}^{20})\text{COR}^{64}$  (where:  $\text{R}^{20}$  is H; lower alkyl;  $\text{R}^{64}$  is lower alkyl; or lower alkenyl);

$-(\text{CH}_2)_o\text{COOR}^{57}$  (where  $\text{R}^{57}$  is lower alkyl; or lower alkenyl);  $-(\text{CH}_2)_o\text{CONR}^{58}\text{R}^{59}$  (where  $\text{R}^{58}$

is lower alkyl; or lower alkenyl; and  $R^{59}$  is H; or lower alkyl; or  $R^{58}$  and  $R^{59}$  taken together are  $-(CH_2)_{2-6}$ ;

$-(CH_2)_2O(CH_2)_2$ -;  $-(CH_2)_2S(CH_2)_2$ -; or  $-(CH_2)_2NR^{57}(CH_2)_2$ -; where  $R^{57}$  is H; or lower alkyl);  
 $-(CH_2)_6PO(OR^{60})_2$  (where  $R^{60}$  is lower alkyl; or lower alkenyl);  $-(CH_2)_6SO_2R^{62}$  (where  $R^{62}$  is lower alkyl; or lower alkenyl); or  $-(CH_2)_qC_6H_4R^8$  (where  $R^8$  is H; F; Cl;  $CF_3$ ; lower alkyl; lower alkenyl; or lower alkoxy);

$R^{15}$  is lower alkyl; lower alkenyl;  $-(CH_2)_6OR^{55}$  (where  $R^{55}$  is lower alkyl; or lower alkenyl);  
 $-(CH_2)_6SR^{56}$  (where  $R^{56}$  is lower alkyl; or lower alkenyl);  $-(CH_2)_6NR^{33}R^{34}$  (where  $R^{33}$  is lower alkyl; or lower alkenyl;  $R^{34}$  is H; or lower alkyl; or  $R^{33}$  and  $R^{34}$  taken together are  $-(CH_2)_{2-6}$ ;

$-(CH_2)_2S(CH_2)_2$ -; or  $-(CH_2)_2NR^{57}(CH_2)_2$ -; where  $R^{57}$  is H; or lower alkyl); -  
 $(CH_2)_6OCONR^{33}R^{75}$  (where  $R^{33}$  is H; or lower alkyl; or lower alkenyl;  $R^{75}$  is lower alkyl; or  $R^{33}$  and  $R^{75}$  taken together are

$-(CH_2)_{2-6}$ ;

$-(CH_2)_2O(CH_2)_2$ -;  $-(CH_2)_2S(CH_2)_2$ -; or  $-(CH_2)_2NR^{57}(CH_2)_2$ -; where  $R^{57}$  is H; or lower alkyl);  $-(CH_2)_6NR^{20}CONR^{33}R^{82}$  (where  $R^{20}$  is H; or lower lower alkyl;  $R^{33}$  is H; or lower alkyl; or lower alkenyl;  $R^{82}$  is H; or lower alkyl; or  $R^{33}$  and  $R^{82}$  taken together are  $-(CH_2)_{2-6}$ ;

$-(CH_2)_2S(CH_2)_2$ -; or  $-(CH_2)_2NR^{57}(CH_2)_2$ -; where  $R^{57}$  is H; or lower alkyl); -

$(CH_2)_6N(R^{20})COR^{64}$  (where  $R^{20}$  is H; or lower alkyl;  $R^{64}$  is lower alkyl; or lower alkenyl); -  
 $NR^{20}CO$ lower alkyl ( $R^{20}=H$ ; or lower alkyl); being particularly favoured;  $-(CH_2)_6COOR^{57}$

(where  $R^{57}$  is lower alkyl; or lower alkenyl);  $-(CH_2)_6CONR^{58}R^{59}$  (where  $R^{58}$  is lower alkyl, or lower alkenyl; and  $R^{59}$  is H; lower alkyl; or  $R^{58}$  and  $R^{59}$  taken together are  $-(CH_2)_{2-6}$ ;

$(CH_2)_2O(CH_2)_2$ -;  $-(CH_2)_2S(CH_2)_2$ -; or  $-(CH_2)_2NR^{57}(CH_2)_2$ -; where  $R^{57}$  is H; or lower alkyl); -  
 $(CH_2)_6PO(OR^{60})_2$  (where  $R^{60}$  is lower alkyl; or lower alkenyl);

$-(CH_2)_6SO_2R^{62}$  (where  $R^{62}$  is lower alkyl; or lower alkenyl); or  $(CH_2)_qC_6H_4R^8$  (where  $R^8$  is H; F; Cl;  $CF_3$ ; lower alkyl; lower alkenyl; or lower alkoxy);

$R^{16}$  is lower alkyl; lower alkenyl;  $-(CH_2)_6OR^{55}$  (where  $R^{55}$  is lower alkyl; or lower alkenyl);  
 $-(CH_2)_6SR^{56}$  (where  $R^{56}$  is lower alkyl; or lower alkenyl);  $-(CH_2)_6NR^{33}R^{34}$  (where  $R^{33}$  is lower alkyl; or lower alkenyl;  $R^{34}$  is H; or lower alkyl; or  $R^{33}$  and  $R^{34}$  taken together are  $-(CH_2)_{2-6}$ ;

$-(CH_2)_2S(CH_2)_2-$ ; or  $-(CH_2)_2NR^{57}(CH_2)_2-$ ; where  $R^{57}$  is H; or lower alkyl); -  
 $(CH_2)_6OCONR^{33}R^{75}$  (where  $R^{33}$  is H; or lower alkyl; or lower alkenyl;  $R^{75}$  is lower alkyl; or  
 $R^{33}$  and  $R^{75}$  taken together are

$-(CH_2)_{2-6}-$ ;  $-(CH_2)_2O(CH_2)_2-$ ;  $-(CH_2)_2S(CH_2)_2-$ ; or  $-(CH_2)_2NR^{57}(CH_2)_2-$ ; where  $R^{57}$  is H; or  
lower alkyl);  $-(CH_2)_6NR^{20}CONR^{33}R^{82}$  (where  $R^{20}$  is H; or lower lower alkyl;  $R^{33}$  is H; or  
lower alkyl; or lower alkenyl;  $R^{82}$  is H; or lower alkyl; or  $R^{33}$  and  $R^{82}$  taken together are -  
 $(CH_2)_{2-6}-$ ;  $-(CH_2)_2O(CH_2)_2-$ ;

$-(CH_2)_2S(CH_2)_2-$ ; or  $-(CH_2)_2NR^{57}(CH_2)_2-$ ; where  $R^{57}$  is H; or lower alkyl); -  
 $(CH_2)_6N(R^{20})COR^{64}$  (where  $R^{20}$  is H; or lower alkyl;  $R^{64}$  is lower alkyl; or lower alkenyl); -  
 $(CH_2)_6COOR^{57}$  (where  $R^{57}$  is lower alkyl; or lower alkenyl);  $-(CH_2)_6CONR^{58}R^{59}$  (where  $R^{58}$   
is lower alkyl; or lower alkenyl; and  $R^{59}$  is H; or lower alkyl; or  $R^{58}$  and  $R^{59}$  taken together  
are  $-(CH_2)_{2-6}-$ ;  $-(CH_2)_2O(CH_2)_2-$ ;  $-(CH_2)_2S(CH_2)_2-$ ; or

$-(CH_2)_2NR^{57}(CH_2)_2-$ ; where  $R^{57}$  is H; or lower alkyl);  $-(CH_2)_6PO(OR^{60})_2$  (where  $R^{60}$  is lower  
alkyl; or lower alkenyl);  $-(CH_2)_6SO_2R^{62}$  (where  $R^{62}$  is lower alkyl; or lower alkenyl); or -  
 $(CH_2)_4C_6H_4R^8$  (where  $R^8$  is H; F; Cl;  $CF_3$ ; lower alkyl; lower alkenyl; or lower alkoxy); and  
 $R^{17}$  is lower alkyl; lower alkenyl;  $-(CH_2)_qOR^{55}$  (where  $R^{55}$  is lower alkyl; or lower alkenyl);  
 $-(CH_2)_qSR^{56}$  (where  $R^{56}$  is lower alkyl; or lower alkenyl);  $-(CH_2)_qNR^{33}R^{34}$  (where  $R^{33}$  is  
lower alkyl; or lower alkenyl;  $R^{34}$  is H; or lower alkyl; or  $R^{33}$  and  $R^{34}$  taken together are -  
 $(CH_2)_{2-6}-$ ;  $-(CH_2)_2O(CH_2)_2-$ ;

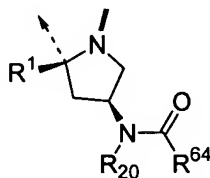
$-(CH_2)_2S(CH_2)_2-$ ; or  $-(CH_2)_2NR^{57}(CH_2)_2-$ ; where  $R^{57}$  is H; or lower alkyl); -  
 $(CH_2)_6OCONR^{33}R^{75}$  (where  $R^{33}$  is H; or lower alkyl; or lower alkenyl;  $R^{75}$  is lower alkyl; or  
 $R^{33}$  and  $R^{75}$  taken together are

$-(CH_2)_{2-6}-$ ;  $-(CH_2)_2O(CH_2)_2-$ ;  $-(CH_2)_2S(CH_2)_2-$ ; or  $-(CH_2)_2NR^{57}(CH_2)_2-$ ; where  $R^{57}$  is H; or  
lower alkyl);  $-(CH_2)_qNR^{20}CONR^{33}R^{82}$  (where  $R^{20}$  is H; or lower alkyl;  $R^{33}$  is H; or lower  
alkyl; or lower alkenyl;  $R^{82}$  is H; or lower alkyl; or  $R^{33}$  and  $R^{82}$  taken together are  $-(CH_2)_{2-6}-$ ; -  
 $(CH_2)_2O(CH_2)_2-$ ;  $-(CH_2)_2S(CH_2)_2-$ ; or  $-(CH_2)_2NR^{57}(CH_2)_2-$ ; where  $R^{57}$  is H; or lower alkyl); -  
 $(CH_2)_qN(R^{20})COR^{64}$  (where:  $R^{20}$  is H; or lower alkyl;  $R^{64}$  is lower alkyl; or lower alkenyl); -  
 $(CH_2)_rCOOR^{57}$  (where  $R^{57}$  is lower alkyl; or lower alkenyl);  $-(CH_2)_qCONR^{58}R^{59}$  (where  $R^{58}$   
is lower alkyl; or lower alkenyl; and  $R^{59}$  is H; lower alkyl; or  $R^{58}$  and  $R^{59}$  taken together are -  
 $(CH_2)_{2-6}-$ ;  $-(CH_2)_2O(CH_2)_2-$ ;  $-(CH_2)_2S(CH_2)_2-$ ; or  $-(CH_2)_2NR^{57}(CH_2)_2-$ ; where  $R^{57}$  is H; or

lower alkyl);  $-(CH_2)_rPO(OR^{60})_2$  (where  $R^{60}$  is lower alkyl; or lower alkenyl);  
 $-(CH_2)_rSO_2R^{62}$  (where  $R^{62}$  is lower alkyl; or lower alkenyl); or  $-(CH_2)_qC_6H_4R^8$  (where  $R^8$  is  
H; F; Cl;  $CF_3$ ; lower alkyl; lower alkenyl; or lower alkoxy).

4. (currently amended) Compounds according to claim 2 ~~or 3~~ wherein A is a group of one of the formulae A5 (with  $R^2$  being H); A8; A22; A25; A38 (with  $R^2$  being H); A42; and A50.

5. (original) Compounds according to claim 4 wherein A is a group of formula



A8'

wherein  $R^{20}$  is H or lower alkyl; and  $R^{64}$  is alkyl; alkenyl; aryl; aryl-lower alkyl; or heteroaryl-lower alkyl.

6. (currently amended) Compounds according to claim ~~6~~ 5 wherein  $R^{64}$  is n-hexyl; n-heptyl; 4-(phenyl)benzyl; diphenylmethyl, 3-amino-propyl; 5-amino-pentyl; methyl; ethyl; isopropyl; isobutyl; n-propyl; cyclohexyl; cyclohexylmethyl; n-butyl; phenyl; benzyl; (3-indolyl)methyl; 2-(3-indolyl)ethyl; (4-phenyl)phenyl; or n-nonyl.

7. (original) Compounds according to claim 3 wherein A is a group of one of the formulae A70 to A104;

$R^{20}$  is H; or lower alkyl;

$R^{18}$  is lower alkyl;

$R^{19}$  is lower alkyl; lower alkenyl;  $-(CH_2)_pOR^{55}$  (where  $R^{55}$  is lower alkyl; or lower alkenyl);

$-(CH_2)_pSR^{56}$  (where  $R^{56}$  is lower alkyl; or lower alkenyl);  $-(CH_2)_pNR^{33}R^{34}$  (where  $R^{33}$  is

lower alkyl; or lower alkenyl;  $R^{34}$  is H; or lower alkyl; or  $R^{33}$  and  $R^{34}$  taken together are -

$(CH_2)_{2-6}$ ;  $-(CH_2)_2O(CH_2)_2$ ;



$-(CH_2)_2S(CH_2)_2-$ ; or  $-(CH_2)_2NR^{57}(CH_2)_2-$ ; where  $R^{57}$  is H; or lower alkyl); -  
 $(CH_2)_pOCONR^{33}R^{75}$  (where  $R^{33}$  is H; or lower alkyl; or lower alkenyl;  $R^{75}$  is lower alkyl; or  $R^{33}$  and  $R^{75}$  taken together are  
 $-(CH_2)_{2-6}-$ ;  $-(CH_2)_2O(CH_2)_2-$ ;  $-(CH_2)_2S(CH_2)_2-$ ; or  $-(CH_2)_2NR^{57}(CH_2)_2-$ ; where  $R^{57}$  is H; or lower alkyl);  $-(CH_2)_pNR^{20}CONR^{33}R^{82}$  (where  $R^{20}$  is H; or lower lower alkyl;  $R^{33}$  is H; or lower alkyl; or lower alkenyl;  $R^{82}$  is H; or lower alkyl; or  $R^{33}$  and  $R^{82}$  taken together are -  
 $(CH_2)_{2-6}-$ ;  $-(CH_2)_2O(CH_2)_2-$ ;  
 $-(CH_2)_2S(CH_2)_2-$ ; or  $-(CH_2)_2NR^{57}(CH_2)_2-$ ; where  $R^{57}$  is H; or lower alkyl); -  
 $(CH_2)_pN(R^{20})COR^{64}$  (where:  $R^{20}$  is H; or lower alkyl;  $R^{64}$  is lower alkyl; or lower alkenyl); -  
 $(CH_2)_pCOOR^{57}$  (where  $R^{57}$ : lower alkyl; or lower alkenyl);  $(CH_2)_pCONR^{58}R^{59}$  (where  $R^{58}$  is lower alkyl; or lower alkenyl; and  $R^{59}$  is H; or lower alkyl; or  $R^{58}$  and  $R^{59}$  taken together are -  
 $(CH_2)_{2-6}-$ ;  $-(CH_2)_2O(CH_2)_2-$ ;  $-(CH_2)_2S(CH_2)_2-$ ; or  $-(CH_2)_2NR^{57}(CH_2)_2-$ ; where  $R^{57}$  is H; or lower alkyl);  $-(CH_2)_pPO(OR^{60})_2$  (where  $R^{60}$  is lower alkyl; or lower alkenyl);  $-(CH_2)_pSO_2R^{62}$  (where  $R^{62}$  is lower alkyl; or lower alkenyl); or  $(CH_2)_oC_6H_4R^8$  (where  $R^8$  is H; F; Cl;  $CF_3$ ; lower alkyl; lower alkenyl; or lower alkoxy);  
 $R^{21}$  is H; lower alkyl; lower alkenyl;  $-(CH_2)_oOR^{55}$  (where  $R^{55}$  is lower alkyl; or lower alkenyl);  
 $-(CH_2)_oSR^{56}$  (where  $R^{56}$  is lower alkyl; or lower alkenyl);  $-(CH_2)_oNR^{33}R^{34}$  (where  $R^{33}$  is lower alkyl; or lower alkenyl;  $R^{34}$  is H; or lower alkyl; or  $R^{33}$  and  $R^{34}$  taken together are -  
 $(CH_2)_{2-6}-$ ;  $-(CH_2)_2O(CH_2)_2-$ ;  
 $-(CH_2)_2S(CH_2)_2-$ ; or  $-(CH_2)_2NR^{57}(CH_2)_2-$ ; where  $R^{57}$  is H; or lower alkyl); -  
 $(CH_2)_oOCONR^{33}R^{75}$  (where  $R^{33}$  is H; or lower alkyl; or lower alkenyl;  $R^{75}$  is lower alkyl; or  $R^{33}$  and  $R^{75}$  taken together are  
 $-(CH_2)_{2-6}-$ ;  $-(CH_2)_2O(CH_2)_2-$ ;  $-(CH_2)_2S(CH_2)_2-$ ; or  $-(CH_2)_2NR^{57}(CH_2)_2-$ ; where  $R^{57}$  is H; or lower alkyl);  
 $-(CH_2)_oNR^{20}CONR^{33}R^{82}$  (where  $R^{20}$  is H; or lower lower alkyl;  $R^{33}$  is H; or lower alkyl; or lower alkenyl;  $R^{82}$  is H; or lower alkyl; or  $R^{33}$  and  $R^{82}$  taken together are  $-(CH_2)_{2-6}-$ ; -  
 $(CH_2)_2O(CH_2)_2-$ ;  
 $-(CH_2)_2S(CH_2)_2-$ ; or  $-(CH_2)_2NR^{57}(CH_2)_2-$ ; where  $R^{57}$  is H; or lower alkyl); -  
 $(CH_2)_oN(R^{20})COR^{64}$  (where:  $R^{20}$  is H; or lower alkyl;  $R^{64}$  is lower alkyl; or lower alkenyl); -

Applicant: Vrijbloed, et al.  
Application No: Unassigned  
Filing Date: Herewith  
Docket No: 753-50 PCT/US  
Page 36

(CH<sub>2</sub>)<sub>o</sub>COOR<sup>57</sup> (where R<sup>57</sup> is lower alkyl; or lower alkenyl); -(CH<sub>2</sub>)<sub>o</sub>CONR<sup>58</sup>R<sup>59</sup> (where R<sup>58</sup> is lower alkyl, or lower alkenyl; and R<sup>59</sup> is H; lower alkyl; or R<sup>58</sup> and R<sup>59</sup> taken together are - (CH<sub>2</sub>)<sub>2-6</sub>-; -(CH<sub>2</sub>)<sub>2</sub>O(CH<sub>2</sub>)<sub>2</sub>-; -(CH<sub>2</sub>)<sub>2</sub>S(CH<sub>2</sub>)<sub>2</sub>-; or -(CH<sub>2</sub>)<sub>2</sub>NR<sup>57</sup>(CH<sub>2</sub>)<sub>2</sub>-; where R<sup>57</sup> is H; or lower alkyl); -(CH<sub>2</sub>)<sub>o</sub>PO(OR<sup>60</sup>)<sub>2</sub> (where R<sup>60</sup> is lower alkyl; or lower alkenyl); -(CH<sub>2</sub>)<sub>o</sub>SO<sub>2</sub>R<sup>62</sup> (where R<sup>62</sup> is lower alkyl; or lower alkenyl); or - (CH<sub>2</sub>)<sub>q</sub>C<sub>6</sub>H<sub>4</sub>R<sup>8</sup> (where R<sup>8</sup> is H; F; Cl; CF<sub>3</sub>; lower alkyl; lower alkenyl; or lower alkoxy); R<sup>22</sup> is lower alkyl; lower alkenyl; -(CH<sub>2</sub>)<sub>o</sub>OR<sup>55</sup> (where R<sup>55</sup> is lower alkyl; or lower alkenyl); -(CH<sub>2</sub>)<sub>o</sub>SR<sup>56</sup> (where R<sup>56</sup> is lower alkyl; or lower alkenyl); -(CH<sub>2</sub>)<sub>o</sub>NR<sup>33</sup>R<sup>34</sup> (where R<sup>33</sup> is lower alkyl; or lower alkenyl; R<sup>34</sup> is H; or lower alkyl; or R<sup>33</sup> and R<sup>34</sup> taken together are - (CH<sub>2</sub>)<sub>2-6</sub>-; -(CH<sub>2</sub>)<sub>2</sub>O(CH<sub>2</sub>)<sub>2</sub>-; -(CH<sub>2</sub>)<sub>2</sub>S(CH<sub>2</sub>)<sub>2</sub>-; or -(CH<sub>2</sub>)<sub>2</sub>NR<sup>57</sup>(CH<sub>2</sub>)<sub>2</sub>-; where R<sup>57</sup> is H; or lower alkyl); - (CH<sub>2</sub>)<sub>o</sub>CONR<sup>33</sup>R<sup>75</sup> (where R<sup>33</sup> is H; or lower alkyl; or lower alkenyl; R<sup>75</sup> is lower alkyl; or R<sup>33</sup> and R<sup>75</sup> taken together are - (CH<sub>2</sub>)<sub>2-6</sub>-; -(CH<sub>2</sub>)<sub>2</sub>O(CH<sub>2</sub>)<sub>2</sub>-; -(CH<sub>2</sub>)<sub>2</sub>S(CH<sub>2</sub>)<sub>2</sub>-; or -(CH<sub>2</sub>)<sub>2</sub>NR<sup>57</sup>(CH<sub>2</sub>)<sub>2</sub>-; where R<sup>57</sup> is H; or lower alkyl); - (CH<sub>2</sub>)<sub>o</sub>N(R<sup>20</sup>)COR<sup>64</sup> (where R<sup>20</sup> is H; or lower alkyl; R<sup>64</sup> is lower alkyl; or lower alkenyl); - (CH<sub>2</sub>)<sub>o</sub>COOR<sup>57</sup> (where R<sup>57</sup> is lower alkyl; or lower alkenyl); -(CH<sub>2</sub>)<sub>o</sub>CONR<sup>58</sup>R<sup>59</sup> (where R<sup>58</sup> is lower alkyl, or lower alkenyl; and R<sup>59</sup> is H; lower alkyl; or R<sup>58</sup> and R<sup>59</sup> taken together are - (CH<sub>2</sub>)<sub>2-6</sub>-; -(CH<sub>2</sub>)<sub>2</sub>O(CH<sub>2</sub>)<sub>2</sub>-; -(CH<sub>2</sub>)<sub>2</sub>S(CH<sub>2</sub>)<sub>2</sub>-; or -(CH<sub>2</sub>)<sub>2</sub>NR<sup>57</sup>(CH<sub>2</sub>)<sub>2</sub>-; where R<sup>57</sup> is H; or lower alkyl); -(CH<sub>2</sub>)<sub>o</sub>PO(OR<sup>60</sup>)<sub>2</sub> (where R<sup>60</sup> is lower alkyl; or lower alkenyl); -(CH<sub>2</sub>)<sub>o</sub>SO<sub>2</sub>R<sup>62</sup> (where R<sup>62</sup> is lower alkyl; or lower alkenyl); or -(CH<sub>2</sub>)<sub>q</sub>C<sub>6</sub>H<sub>4</sub>R<sup>8</sup> (where R<sup>8</sup> is H; F; Cl; CF; lower alkyl; lower alkenyl; or lower alkoxy); R<sup>23</sup> is H; lower alkyl; lower alkenyl; -(CH<sub>2</sub>)<sub>o</sub>OR<sup>55</sup> (where R<sup>55</sup> is lower alkyl; or lower alkenyl); -(CH<sub>2</sub>)<sub>o</sub>SR<sup>56</sup> (where R<sup>56</sup> is lower alkyl; or lower alkenyl); -(CH<sub>2</sub>)<sub>o</sub>NR<sup>33</sup>R<sup>34</sup> (where R<sup>33</sup> is lower alkyl; or lower alkenyl; R<sup>34</sup> is H; or lower alkyl; or R<sup>33</sup> and R<sup>34</sup> taken together are - (CH<sub>2</sub>)<sub>2-6</sub>-; -(CH<sub>2</sub>)<sub>2</sub>O(CH<sub>2</sub>)<sub>2</sub>-; -(CH<sub>2</sub>)<sub>2</sub>S(CH<sub>2</sub>)<sub>2</sub>-; or -(CH<sub>2</sub>)<sub>2</sub>NR<sup>57</sup>(CH<sub>2</sub>)<sub>2</sub>-; where R<sup>57</sup> is H; or lower alkyl); -

$(\text{CH}_2)_o\text{CONR}^{33}\text{R}^{75}$  (where  $\text{R}^{33}$  is H; or lower alkyl; or lower alkenyl;  $\text{R}^{75}$  is lower alkyl; or  $\text{R}^{33}$  and  $\text{R}^{75}$  taken together are  $-(\text{CH}_2)_{2-6}$ ;  $-(\text{CH}_2)_2\text{O}(\text{CH}_2)_2$ ;  $-(\text{CH}_2)_2\text{S}(\text{CH}_2)_2$ ; or  $-(\text{CH}_2)_2\text{NR}^{57}(\text{CH}_2)_2$ ; where  $\text{R}^{57}$  is H; or lower alkyl);  $-(\text{CH}_2)_o\text{NR}^{20}\text{CONR}^{33}\text{R}^{82}$  (where  $\text{R}^{20}$  is H; or lower alkyl;  $\text{R}^{33}$  is H; or lower alkyl; or lower alkenyl;  $\text{R}^{82}$  is H; or lower alkyl; or  $\text{R}^{33}$  and  $\text{R}^{82}$  taken together are  $-(\text{CH}_2)_{2-6}$ ;  $-(\text{CH}_2)_2\text{O}(\text{CH}_2)_2$ ;  $-(\text{CH}_2)_2\text{S}(\text{CH}_2)_2$ ; or  $-(\text{CH}_2)_2\text{NR}^{57}(\text{CH}_2)_2$ ; where  $\text{R}^{57}$  is H; or lower alkyl);  $-(\text{CH}_2)_o\text{N}(\text{R}^{20})\text{COR}^{64}$  (where:  $\text{R}^{20}$  is H; or lower alkyl;  $\text{R}^{64}$  is lower alkyl; or lower alkenyl);  $-\text{NR}^{20}\text{CO}$  lower alkyl ( $\text{R}^{20}=\text{H}$ ; or lower alkyl) being particularly favoured;  $-(\text{CH}_2)_o\text{COOR}^{57}$  (where  $\text{R}^{57}$  is lower alkyl; or lower alkenyl);  $-(\text{CH}_2)_o\text{CONR}^{58}\text{R}^{59}$  (where  $\text{R}^{58}$  is lower alkyl, or lower alkenyl; and  $\text{R}^{59}$  is H; lower alkyl; or  $\text{R}^{58}$  and  $\text{R}^{59}$  taken together are  $-(\text{CH}_2)_{2-6}$ ;  $-(\text{CH}_2)_2\text{O}(\text{CH}_2)_2$ ;  $-(\text{CH}_2)_2\text{S}(\text{CH}_2)_2$ ; or  $-(\text{CH}_2)_2\text{NR}^{57}(\text{CH}_2)_2$ ; where  $\text{R}^{57}$  is H; or lower alkyl);  $-(\text{CH}_2)_o\text{PO}(\text{OR}^{60})_2$  (where  $\text{R}^{60}$  is lower alkyl; or lower alkenyl);  $-(\text{CH}_2)_o\text{SO}_2\text{R}^{62}$  (where  $\text{R}^{62}$  is lower alkyl; or lower alkenyl); or  $-(\text{CH}_2)_q\text{C}_6\text{H}_4\text{R}^8$  (where  $\text{R}^8$  is H; F; Cl;  $\text{CF}_3$ ; lower alkyl; lower alkenyl; or lower alkoxy);  $\text{R}^{24}$  is lower alkyl; lower alkenyl;  $-(\text{CH}_2)_o\text{OR}^{55}$  (where  $\text{R}^{55}$  is lower alkyl; or lower alkenyl);  $-(\text{CH}_2)_o\text{SR}^{56}$  (where  $\text{R}^{56}$  is lower alkyl; or lower alkenyl);  $-(\text{CH}_2)_o\text{NR}^{33}\text{R}^{34}$  (where  $\text{R}^{33}$  is lower alkyl; or lower alkenyl;  $\text{R}^{34}$  is H; or lower alkyl; or  $\text{R}^{33}$  and  $\text{R}^{34}$  taken together are  $-(\text{CH}_2)_{2-6}$ ;  $-(\text{CH}_2)_2\text{O}(\text{CH}_2)_2$ ;  $-(\text{CH}_2)_2\text{S}(\text{CH}_2)_2$ ; or  $-(\text{CH}_2)_2\text{NR}^{57}(\text{CH}_2)_2$ ; where  $\text{R}^{57}$  is H; or lower alkyl);  $(\text{CH}_2)_o\text{CONR}^{33}\text{R}^{75}$  (where  $\text{R}^{33}$  is H; or lower alkyl; or lower alkenyl;  $\text{R}^{75}$  is lower alkyl; or  $\text{R}^{33}$  and  $\text{R}^{75}$  taken together are  $-(\text{CH}_2)_{2-6}$ ;  $-(\text{CH}_2)_2\text{O}(\text{CH}_2)_2$ ;  $-(\text{CH}_2)_2\text{S}(\text{CH}_2)_2$ ; or  $-(\text{CH}_2)_2\text{NR}^{57}(\text{CH}_2)_2$ ; where  $\text{R}^{57}$  is H; or lower alkyl);  $-(\text{CH}_2)_o\text{NR}^{20}\text{CONR}^{33}\text{R}^{82}$  (where  $\text{R}^{20}$  is H; or lower lower alkyl;  $\text{R}^{33}$  is H; or lower alkyl; or lower alkenyl;  $\text{R}^{82}$  is H; or lower alkyl; or  $\text{R}^{33}$  and  $\text{R}^{82}$  taken together are  $-(\text{CH}_2)_{2-6}$ ;  $-(\text{CH}_2)_2\text{O}(\text{CH}_2)_2$ ;  $-(\text{CH}_2)_2\text{S}(\text{CH}_2)_2$ ; or  $-(\text{CH}_2)_2\text{NR}^{57}(\text{CH}_2)_2$ ; where  $\text{R}^{57}$  is H; or lower alkyl);  $-(\text{CH}_2)_o\text{N}(\text{R}^{20})\text{COR}^{64}$  (where:  $\text{R}^{20}$  is H; or lower alkyl;  $\text{R}^{64}$  is lower alkyl; or lower alkenyl);  $-\text{NR}^{20}\text{CO}$  lower alkyl ( $\text{R}^{20}=\text{H}$ ; or lower alkyl) being particularly favoured;  $-(\text{CH}_2)_o\text{COOR}^{57}$  (where  $\text{R}^{57}$  is lower alkyl; or lower alkenyl);  $-(\text{CH}_2)_o\text{CONR}^{58}\text{R}^{59}$  (where  $\text{R}^{58}$  is lower alkyl, or

lower alkenyl; and  $R^{59}$  is H; lower alkyl; or  $R^{58}$  and  $R^{59}$  taken together are  $-(CH_2)_{2-6}-$ ;  $-(CH_2)_2O(CH_2)_2-$ ;  $-(CH_2)_2S(CH_2)_2-$ ; or  $-(CH_2)_2NR^{57}(CH_2)_2-$ ; where  $R^{57}$  is H; or lower alkyl);  $-(CH_2)_6PO(OR^{60})_2$  (where  $R^{60}$  is lower alkyl; or lower alkenyl);  $-(CH_2)_6SO_2R^{62}$  (where  $R^{62}$  is lower alkyl; or lower alkenyl); or  $-(CH_2)_qC_6H_4R^8$  (where  $R^8$  is H; F; Cl;  $CF_3$ ; lower alkyl; lower alkenyl; or lower alkoxy);  $R^{25}$  is H; lower alkyl; lower alkenyl;  $-(CH_2)_mOR^{55}$  (where  $R^{55}$  is lower alkyl; or lower alkenyl);  $-(CH_2)_mNR^{33}R^{34}$  (where  $R^{33}$  is lower alkyl; or lower alkenyl;  $R^{34}$  is H; or lower alkyl; or  $R^{33}$  and  $R^{34}$  taken together are  $-(CH_2)_{2-6}-$ ;  $-(CH_2)_2O(CH_2)_2-$ ;  $-(CH_2)_2S(CH_2)_2-$ ; or  $-(CH_2)_2NR^{57}(CH_2)_2-$ ; where  $R^{57}$  is H; or lower alkyl);  $-(CH_2)_mOCONR^{33}R^{75}$  (where  $R^{33}$  is H; or lower alkyl; or lower alkenyl;  $R^{75}$  is lower alkyl; or  $R^{33}$  and  $R^{75}$  taken together are  $-(CH_2)_{2-6}-$ ;  $-(CH_2)_2O(CH_2)_2-$ ;  $-(CH_2)_2S(CH_2)_2-$ ; or  $-(CH_2)_2NR^{57}(CH_2)_2-$ ; where  $R^{57}$  is H; or lower alkyl);  $-(CH_2)_mNR^{20}CONR^{33}R^{82}$  (where  $R^{20}$  is H; or lower alkyl;  $R^{33}$  is H; or lower alkyl; or lower alkenyl;  $R^{82}$  is H; or lower alkyl; or  $R^{33}$  and  $R^{82}$  taken together are  $-(CH_2)_{2-6}-$ ;  $-(CH_2)_2O(CH_2)_2-$ ;  $-(CH_2)_2S(CH_2)_2-$ ; or  $-(CH_2)_2NR^{57}(CH_2)_2-$ ; where  $R^{57}$  is H; or lower alkyl);  $-(CH_2)_mN(R^{20})COR^{64}$  (where:  $R^{20}$  is H; or lower alkyl;  $R^{64}$  is lower alkyl; or lower alkenyl);  $-(CH_2)_6COOR^{57}$  (where  $R^{57}$  is lower alkyl; or lower alkenyl);  $-(CH_2)_6CONR^{58}R^{59}$  (where  $R^{58}$  is lower alkyl; or lower alkenyl; and  $R^{59}$  is H; lower alkyl; or  $R^{58}$  and  $R^{59}$  taken together are  $-(CH_2)_{2-6}-$ ;  $-(CH_2)_2O(CH_2)_2-$ ;  $-(CH_2)_2S(CH_2)_2-$ ; or  $-(CH_2)_2NR^{57}(CH_2)_2-$ ; where  $R^{57}$  is H; or lower alkyl);  $-(CH_2)_6PO(OR^{60})_2$  (where  $R^{60}$  is lower alkyl; or lower alkenyl);  $-(CH_2)_6SO_2R^{62}$  (where  $R^{62}$  is lower alkyl; or lower alkenyl); or  $-(CH_2)_qC_6H_4R^8$  (where  $R^8$  is H; F; Cl;  $CF_3$ ; lower alkyl; lower alkenyl; or lower alkoxy);  $R^{26}$  is H; lower alkyl; lower alkenyl;  $-(CH_2)_mOR^{55}$  (where  $R^{55}$  is lower alkyl; or lower alkenyl);  $-(CH_2)_mNR^{33}R^{34}$  (where  $R^{33}$  is lower alkyl; or lower alkenyl;  $R^{34}$  is H; or lower alkyl; or  $R^{33}$  and  $R^{34}$  taken together are  $-(CH_2)_{2-6}-$ ;  $-(CH_2)_2O(CH_2)_2-$ ;  $-(CH_2)_2S(CH_2)_2-$ ; or  $-(CH_2)_2NR^{57}(CH_2)_2-$ ; where  $R^{57}$  is H; or lower alkyl);  $-(CH_2)_mOCONR^{33}R^{75}$  (where  $R^{33}$  is H; or lower alkyl; or lower alkenyl;  $R^{75}$  is lower alkyl; or  $R^{33}$  and  $R^{75}$  taken together are  $-(CH_2)_{2-6}-$ ;  $-(CH_2)_2O(CH_2)_2-$ ;  $-(CH_2)_2S(CH_2)_2-$ ; or

$-(CH_2)_2NR^{57}(CH_2)_2-$ ; where  $R^{57}$  is H; or lower alkyl);  $-(CH_2)_mNR^{20}CONR^{33}R^{82}$  (where  $R^{20}$  is H; or lower alkyl;  $R^{33}$  is H; or lower alkyl; or lower alkenyl;  $R^{82}$  is H; or lower alkyl; or  $R^{33}$  and  $R^{82}$  taken together are  $-(CH_2)_{2-6}-$ ;  $-(CH_2)_2O(CH_2)_2-$ ;  $-(CH_2)_2S(CH_2)_2-$ ; or -  
 $(CH_2)_2NR^{57}(CH_2)_2-$ ; where  $R^{57}$  is H; or lower alkyl);  $-(CH_2)_mN(R^{20})COR^{64}$  (where:  $R^{20}$  is H; or lower alkyl;  $R^{64}$  is lower alkyl; or lower alkenyl);  $-(CH_2)_oCOOR^{57}$  (where  $R^{57}$  is lower alkyl; or lower alkenyl);  $-(CH_2)_oCONR^{58}R^{59}$  (where  $R^{58}$  is lower alkyl; or lower alkenyl; and  $R^{59}$  is H; lower alkyl; or  $R^{58}$  and  $R^{59}$  taken together are  $-(CH_2)_{2-6}-$ ;  $-(CH_2)_2O(CH_2)_2-$ ; -  
 $(CH_2)_2S(CH_2)_2-$ ; or  $-(CH_2)_2NR^{57}(CH_2)_2-$ ; where  $R^{57}$  is H; or lower alkyl);  
 $-(CH_2)_oPO(OR^{60})_2$  (where  $R^{60}$  is lower alkyl; or lower alkenyl);  $-(CH_2)_oSO_2R^{62}$  (where  $R^{62}$  is lower alkyl; or lower alkenyl); or  $-(CH_2)_qC_6H_4R^8$  (where  $R^8$  is H; F; Cl;  $CF_3$ ; lower alkyl; lower alkenyl; or lower alkoxy); or, alternatively,  $R^{25}$  and  $R^{26}$  taken together are  $-(CH_2)_{2-6}-$ ; -  
 $(CH_2)_2O(CH_2)_2-$ ;  
 $-(CH_2)_2S(CH_2)_2-$ ; or  $-(CH_2)_2NR^{34}(CH_2)_2-$ ;  
 $R^{27}$  is H; lower alkyl; lower alkenyl;  $-(CH_2)_oOR^{55}$  (where  $R^{55}$  is lower alkyl; or lower alkenyl);  
 $-(CH_2)_oSR^{56}$  (where  $R^{56}$  is lower alkyl; or lower alkenyl);  $-(CH_2)_oNR^{33}R^{34}$  (where  $R^{33}$  is lower alkyl; or lower alkenyl;  $R^{34}$  is H; or lower alkyl; or  $R^{33}$  and  $R^{34}$  taken together are -  
 $(CH_2)_{2-6}-$ ;  $-(CH_2)_2O(CH_2)_2-$ ;  
 $-(CH_2)_2S(CH_2)_2-$ ; or  $-(CH_2)_2NR^{57}(CH_2)_2-$ ; where  $R^{57}$  is H; or lower alkyl); -  
 $(CH_2)_oOCONR^{33}R^{75}$  (where  $R^{33}$  is H; or lower alkyl; or lower alkenyl;  $R^{75}$  is lower alkyl; or  $R^{33}$  and  $R^{75}$  taken together are  
 $-(CH_2)_{2-6}-$ ;  $-(CH_2)_2O(CH_2)_2-$ ;  $-(CH_2)_2S(CH_2)_2-$ ; or  $-(CH_2)_2NR^{57}(CH_2)_2-$ ; where  $R^{57}$  is H; or lower alkyl);  $-(CH_2)_oNR^{20}CONR^{33}R^{82}$  (where  $R^{20}$  is H; or lower lower alkyl;  $R^{33}$  is H; or lower alkyl; or lower alkenyl;  $R^{82}$  is H; or lower alkyl; or  $R^{33}$  and  $R^{82}$  taken together are -  
 $(CH_2)_{2-6}-$ ;  $-(CH_2)_2O(CH_2)_2-$ ;  
 $-(CH_2)_2S(CH_2)_2-$ ; or  $-(CH_2)_2NR^{57}(CH_2)_2-$ ; where  $R^{57}$  is H; or lower alkyl); -  
 $(CH_2)_oN(R^{20})COR^{64}$  (where  $R^{20}$  is H; or lower alkyl;  $R^{64}$  is lower alkyl; or lower alkenyl); -  
 $(CH_2)_oCOOR^{57}$  (where  $R^{57}$  is lower alkyl; or lower alkenyl);  $-(CH_2)_oCONR^{58}R^{59}$  (where  $R^{58}$  is lower alkyl; or lower alkenyl; and  $R^{59}$  is H; lower alkyl; or  $R^{58}$  and  $R^{59}$  taken together are -  
 $(CH_2)_{2-6}-$ ;  $-(CH_2)_2O(CH_2)_2-$ ;  $-(CH_2)_2S(CH_2)_2-$ ; or

$-(CH_2)_2NR^{57}(CH_2)_2-$ ; where  $R^{57}$  is H; or lower alkyl);  $-(CH_2)_oPO(OR^{60})_2$  (where  $R^{60}$  is lower alkyl; or lower alkenyl);  $-(CH_2)_oSO_2R^{62}$  (where  $R^{62}$  is lower alkyl; or lower alkenyl); or  $-(CH_2)_qC_6H_4R^8$  (where  $R^8$  is H; F; Cl;  $CF_3$ ; lower alkyl; lower alkenyl; or lower alkoxy);  $R^{28}$  is lower alkyl; lower alkenyl;  $-(CH_2)_oOR^{55}$  (where  $R^{55}$  is lower alkyl; or lower alkenyl);  $-(CH_2)_oSR^{56}$  (where  $R^{56}$  is lower alkyl; or lower alkenyl);  $-(CH_2)_oNR^{33}R^{34}$  (where  $R^{33}$  is lower alkyl; or lower alkenyl;  $R^{34}$  is H; or lower alkyl; or  $R^{33}$  and  $R^{34}$  taken together are  $-(CH_2)_{2-6}$ ;  $-(CH_2)_2O(CH_2)_2-$ ;

$-(CH_2)_2S(CH_2)_2-$ ; or  $-(CH_2)_2NR^{57}(CH_2)_2-$ ; where  $R^{57}$  is H; or lower alkyl);  $-(CH_2)_oOCONR^{33}R^{75}$  (where  $R^{33}$  is H; or lower alkyl; or lower alkenyl;  $R^{75}$  is lower alkyl; or  $R^{33}$  and  $R^{75}$  taken together are

$-(CH_2)_{2-6}$ ;  $-(CH_2)_2O(CH_2)_2-$ ;  $-(CH_2)_2S(CH_2)_2-$ ; or  $-(CH_2)_2NR^{57}(CH_2)_2-$ ; where  $R^{57}$  is H; or lower alkyl);  $-(CH_2)_oNR^{20}CONR^{33}R^{82}$  (where  $R^{20}$  is H; or lower alkyl;  $R^{33}$  is H; or lower alkyl; or lower alkenyl;  $R^{82}$  is H; or lower alkyl; or  $R^{33}$  and  $R^{82}$  taken together are  $-(CH_2)_{2-6}$ ;  $-(CH_2)_2O(CH_2)_2-$ ;  $-(CH_2)_2S(CH_2)_2-$ ; or  $-(CH_2)_2NR^{57}(CH_2)_2-$ ; where  $R^{57}$  is H; or lower alkyl);  $-(CH_2)_oN(R^{20})COR^{64}$  (where:  $R^{20}$  is H; or lower alkyl;  $R^{64}$  is lower alkyl; or lower alkenyl);  $-(CH_2)_oCOOR^{57}$  (where  $R^{57}$  is lower alkyl; or lower alkenyl);  $-(CH_2)_oCONR^{58}R^{59}$  (where  $R^{58}$  is lower alkyl, or lower alkenyl; and  $R^{59}$  is H; lower alkyl; or  $R^{58}$  and  $R^{59}$  taken together are  $-(CH_2)_{2-6}$ ;  $-(CH_2)_2O(CH_2)_2-$ ;  $-(CH_2)_2S(CH_2)_2-$ ; or  $-(CH_2)_2NR^{57}(CH_2)_2-$ ; where  $R^{57}$  is H; or lower alkyl);  $-(CH_2)_oPO(OR^{60})_2$  (where  $R^{60}$  is lower alkyl; or lower alkenyl);  $-(CH_2)_oSO_2R^{62}$  (where  $R^{62}$  is lower alkyl; or lower alkenyl); or  $-(CH_2)_qC_6H_4R^8$  (where  $R^8$  is H; F; Cl;  $CF_3$ ; lower alkyl; lower alkenyl; or lower alkoxy); and

$R^{29}$  is lower alkyl; lower alkenyl;  $-(CH_2)_oOR^{55}$  (where  $R^{55}$  is lower alkyl; or lower alkenyl);  $-(CH_2)_oSR^{56}$  (where  $R^{56}$  is lower alkyl; or lower alkenyl);  $-(CH_2)_oNR^{33}R^{34}$  (where  $R^{33}$  is lower alkyl; or lower alkenyl;  $R^{34}$  is H; or lower alkyl; or  $R^{33}$  and  $R^{34}$  taken together are  $-(CH_2)_{2-6}$ ;  $-(CH_2)_2O(CH_2)_2-$ ;

$-(CH_2)_2S(CH_2)_2-$ ; or  $-(CH_2)_2NR^{57}(CH_2)_2-$ ; where  $R^{57}$  is H; or lower alkyl);  $-(CH_2)_oOCONR^{33}R^{75}$  (where  $R^{33}$  is H; or lower alkyl; or lower alkenyl;  $R^{75}$  is lower alkyl; or  $R^{33}$  and  $R^{75}$  taken together are

$-(CH_2)_{2-6}$ ;  $-(CH_2)_2O(CH_2)_2-$ ;  $-(CH_2)_2S(CH_2)_2-$ ; or  $-(CH_2)_2NR^{57}(CH_2)_2-$ ; where  $R^{57}$  is H; or lower alkyl);  $-(CH_2)_oNR^{20}CONR^{33}R^{82}$  (where  $R^{20}$  is H; or lower alkyl;  $R^{33}$  is H; or lower

alkyl; or lower alkenyl;  $R^{82}$  is H; or lower alkyl; or  $R^{33}$  and  $R^{82}$  taken together are  $-(CH_2)_{2-6}-$ ;  $-(CH_2)_2O(CH_2)_2-$ ;  $-(CH_2)_2S(CH_2)_2-$ ; or  $-(CH_2)_2NR^{57}(CH_2)_2-$ ; where  $R^{57}$  is H; or lower alkyl);  $-(CH_2)_6N(R^{20})COR^{64}$  (where:  $R^{20}$  is H; or lower alkyl;  $R^{64}$  is lower alkyl; or lower alkenyl);  $NR^{20}CO$  lower-alkyl ( $R^{20}=H$ ; or lower alkyl) being particularly favoured;  $-(CH_2)_6COOR^{57}$  (where  $R^{57}$  is lower alkyl; or lower alkenyl);  $-(CH_2)_6CONR^{58}R^{59}$  (where  $R^{58}$  is lower alkyl, or lower alkenyl; and  $R^{59}$  is H; lower alkyl; or  $R^{58}$  and  $R^{59}$  taken together are  $-(CH_2)_{2-6}-$ ;  $-(CH_2)_2O(CH_2)_2-$ ;  $-(CH_2)_2S(CH_2)_2-$ ; or  $-(CH_2)_2NR^{57}(CH_2)_2-$ ; where  $R^{57}$  is H; or lower alkyl);  $-(CH_2)_6PO(OR^{60})_2$  (where  $R^{60}$  is lower alkyl; or lower alkenyl);  $-(CH_2)_6SO_2R^{62}$  (where  $R^{62}$  is lower alkyl; or lower alkenyl); or  $-(CH_2)_qC_6H_4R^8$  (where  $R^8$  is H; F; Cl;  $CF_3$ ; lower alkyl; lower alkenyl; or lower alkoxy).

8. (currently amended) Compounds according to claim 87 wherein  $R^{23}$ ,  $R^{24}$  and  $R^{29}$  are  $NR^{20}-CO$ -lower alkyl where  $R^{20}$  is H; or lower alkyl.

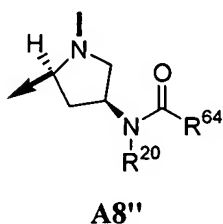
9. (currently amended) Compounds according to claim 7 or 8 wherein A is a group of one of the formulae A74 (with  $R^{22}$  being H); A75; A76; A77 (with  $R^{22}$  being H); A78; and A79.

10. (currently amended) Compounds according to ~~any one of~~ claims 2 to 9 wherein B is a group of formula  $-NR^{20}CH(R^{71})-$  or an enantiomer of one of the groups A5 (with  $R^2$  being H); A8; A22; A25; A38 (with  $R^2$  being H); A42; A47; and A50.

11. (currently amended) Compounds according to ~~any one of~~ claims 2 to 9 wherein B-CO is Ala; Arg; Asn; Cys; Gln; Gly; His; Ile; Leu; Lys; Met; Phe; Pro; Ser; Thr; Trp; Tyr; Val; Cit; Orn; tBuA; Sar; t-BuG; 4AmPhe; 3AmPhe; 2AmPhe; Phe(mC(NH<sub>2</sub>)=NH; Phe(pC(NH<sub>2</sub>)=NH; Phe(mNHC(NH<sub>2</sub>)=NH; Phe(pNHC(NH<sub>2</sub>)=NH; Phg; Cha; C<sub>4</sub>al; C<sub>5</sub>al; Nle; 2-Nal; 1-Nal; 4Cl-Phe; 3Cl-Phe; 2Cl-Phe; 3,4Cl<sub>2</sub>Phe; 4F-Phe; 3F-Phe; 2F-Phe; Tic; Thi; Tza; Mso; AcLys; Dpr; A<sub>2</sub>Bu; Dbu; Abu; Aha; Aib; Y(Bzl); Bip; S(Bzl); T(Bzl); hCha; hCys;

hSer, hArg; hPhe; Bpa; Pip; OctG; MePhe; MeNle; MeAla; MeIle; MeVal; MeLeu; BnG; (4-OH)BnG; IaG; IbG; (EA)G; (PrA)G; (BA)G; (PeA)G; (EGU)G; (PrGU)G; (BGU)G; (PeGU)G; (PEG<sub>3</sub>-NH<sub>2</sub>)G; (Et-CONH<sub>2</sub>)G; (Et-OH)G; (CH<sub>2</sub>-CONH<sub>2</sub>)G; (n-Pr-NHCONH<sub>2</sub>)G; or (Et-SH)G.

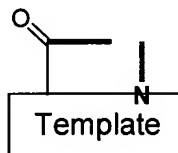
12. (currently amended) Compounds according to ~~any one of claims 2 to 9~~ wherein B is a group, having (L)-configuration, of formula



wherein R<sup>20</sup> is H; or lower alkyl; and R<sup>64</sup> is alkyl; alkenyl; aryl; aryl-lower alkyl; or heteroaryl-lower alkyl.

13. (original) Compounds according to claim 12 wherein R<sup>64</sup> is n-hexyl; n-heptyl; 4-(phenyl)benzyl; diphenylmethyl, 3-amino-propyl; 5-amino-pentyl; methyl; ethyl; isopropyl; isobutyl; n-propyl; cyclohexyl; cyclohexylmethyl; n-butyl; phenyl; benzyl; (3-indolyl)methyl; 2-(3-indolyl)ethyl; (4-phenyl)phenyl; or n-nonyl.

14. (original) Compounds according to claim 1 wherein



is a group of formula (b1) or (1);

R<sup>1</sup> is H; or lower alkyl;

R<sup>20</sup> is H; or lower alkyl;

R<sup>30</sup> is H; or methyl;



$R^{31}$  is H; lower alkyl; lower alkenyl;  $-(CH_2)_pOR^{55}$  (where  $R^{55}$  is lower alkyl; or lower alkenyl);

$-(CH_2)_pNR^{33}R^{34}$  (where  $R^{33}$  is lower alkyl; or lower alkenyl;  $R^{34}$  is H; or lower alkyl; or  $R^{33}$  and  $R^{34}$  taken together are  $-(CH_2)_{2-6}$ ;  $-(CH_2)_2O(CH_2)_2$ ;  $-(CH_2)_2S(CH_2)_2$ ; or  $-(CH_2)_2NR^{57}(CH_2)_2$ ; where  $R^{57}$  is H; or lower alkyl);  $-(CH_2)_pOCONR^{33}R^{75}$  (where  $R^{33}$  is H; or lower alkyl; or lower alkenyl;  $R^{75}$  is lower alkyl; or  $R^{33}$  and  $R^{75}$  taken together are  $-(CH_2)_{2-6}$ ;  $-(CH_2)_2O(CH_2)_2$ ;  $-(CH_2)_2S(CH_2)_2$ ; or  $-(CH_2)_2NR^{57}(CH_2)_2$ ; where  $R^{57}$  is H; or lower alkyl);  $-(CH_2)_pNR^{20}CONR^{33}R^{82}$  (where  $R^{20}$  is H; or lower alkyl;  $R^{33}$  is H; or lower alkyl; or lower alkenyl;  $R^{82}$  is H; or lower alkyl; or  $R^{33}$  and  $R^{82}$  taken together are  $-(CH_2)_{2-6}$ ;  $-(CH_2)_2O(CH_2)_2$ ;  $-(CH_2)_2S(CH_2)_2$ ; or  $-(CH_2)_2NR^{57}(CH_2)_2$ ; where  $R^{57}$  is H; or lower alkyl);  $-(CH_2)_pN(R^{20})COR^{64}$  (where:  $R^{20}$  is H; or lower alkyl;  $R^{64}$  is lower alkyl; or lower alkenyl);  $-(CH_2)_oCOOR^{57}$  (where  $R^{57}$  is lower alkyl; or lower alkenyl);  $-(CH_2)_oCONR^{58}R^{59}$  (where  $R^{58}$  is lower alkyl, or lower alkenyl; and  $R^{59}$  is H; lower alkyl; or  $R^{58}$  and  $R^{59}$  taken together are  $-(CH_2)_{2-6}$ ;  $-(CH_2)_2O(CH_2)_2$ ;  $-(CH_2)_2S(CH_2)_2$ ; or  $-(CH_2)_2NR^{57}(CH_2)_2$ ; where  $R^{57}$  is H; or lower alkyl);  $-(CH_2)_oPO(OR^{60})_2$  (where  $R^{60}$  is lower alkyl; or lower alkenyl);  $-(CH_2)_oSO_2R^{62}$  (where  $R^{62}$  is lower alkyl; or lower alkenyl); or  $-(CH_2)_iC_6H_4R^8$  (where  $R^8$  is H; F; Cl;  $CF_3$ ; lower alkyl; lower alkenyl; or lower alkoxy); most preferably  $-CH_2CONR^{58}R^{59}$  (where  $R^{58}$  is H; or lower alkyl; and  $R^{59}$  is lower alkyl; or lower alkenyl);

$R^{32}$  is H; or methyl;

$R^{33}$  is lower alkyl; lower alkenyl;  $-(CH_2)_mOR^{55}$  (where  $R^{55}$  is lower alkyl; or lower alkenyl);  $-(CH_2)_mNR^{34}R^{63}$  (where  $R^{34}$  is lower alkyl; or lower alkenyl;  $R^{63}$  is H; or lower alkyl; or  $R^{34}$  and  $R^{63}$  taken together are  $-(CH_2)_{2-6}$ ;  $-(CH_2)_2O(CH_2)_2$ ;  $-(CH_2)_2S(CH_2)_2$ ; or  $-(CH_2)_2NR^{57}(CH_2)_2$ ; where  $R^{57}$  is H; or lower alkyl);  $-(CH_2)_mOCONR^{75}R^{82}$  (where  $R^{75}$  is lower alkyl; or lower alkenyl;  $R^{82}$  is H; or lower alkyl; or  $R^{75}$  and  $R^{82}$  taken together are  $-(CH_2)_{2-6}$ ;  $-(CH_2)_2O(CH_2)_2$ ;  $-(CH_2)_2S(CH_2)_2$ ; or  $-(CH_2)_2NR^{57}(CH_2)_2$ ; where  $R^{57}$  is H; or lower alkyl);  $-(CH_2)_mNR^{20}CONR^{78}R^{82}$  (where  $R^{20}$  is H; or lower alkyl;  $R^{78}$  is H; or lower alkyl; or lower alkenyl;  $R^{82}$  is H; or lower alkyl; or  $R^{78}$  and  $R^{82}$  taken together are  $-(CH_2)_{2-6}$ ;  $-(CH_2)_2O(CH_2)_2$ ;  $-(CH_2)_2S(CH_2)_2$ ; or  $-(CH_2)_2NR^{57}(CH_2)_2$ ; where  $R^{57}$  is H; or lower alkyl);  $-(CH_2)_mN(R^{20})COR^{64}$  (where:  $R^{20}$  is H;

or lower alkyl;  $R^{64}$  is lower alkyl; or lower alkenyl);  $-(CH_2)_oCOOR^{57}$  (where  $R^{57}$  is lower alkyl; or lower alkenyl);  $-(CH_2)_oCONR^{58}R^{59}$  (where  $R^{58}$  is lower alkyl; or lower alkenyl; and  $R^{59}$  is H; lower alkyl; or  $R^{58}$  and  $R^{59}$  taken together are  $-(CH_2)_{2-6-}$ ;  $-(CH_2)_2O(CH_2)_2-$ ;  $-(CH_2)_2S(CH_2)_2-$ ; or  $-(CH_2)_2NR^{57}(CH_2)_2-$ ; where  $R^{57}$ : H; or lower alkyl);

$R^{34}$  is H; or lower alkyl;

$R^{35}$ : is H; lower alkyl; lower alkenyl;  $(CH_2)_mOR^{55}$  (where  $R^{55}$ : lower alkyl; or lower alkenyl);  $-(CH_2)_mNR^{33}R^{34}$  (where  $R^{33}$  is lower alkyl; or lower alkenyl;  $R^{34}$  is H; or lower alkyl; or  $R^{33}$  and  $R^{34}$  taken together are  $-(CH_2)_{2-6-}$ ;  $-(CH_2)_2O(CH_2)_2-$ ;  $-(CH_2)_2S(CH_2)_2-$ ; or  $-(CH_2)_2NR^{57}(CH_2)_2-$ ; where  $R^{57}$  is H; or lower alkyl);  $-(CH_2)_mOCONR^{33}R^{75}$  (where  $R^{33}$  is H; or lower alkyl; or lower alkenyl;  $R^{75}$  is lower alkyl; or  $R^{33}$  and  $R^{75}$  taken together are  $-(CH_2)_{2-6-}$ ;  $-(CH_2)_2O(CH_2)_2-$ ;  $-(CH_2)_2S(CH_2)_2-$ ; or

$-(CH_2)_2NR^{57}(CH_2)_2-$ ; where  $R^{57}$  is H; or lower alkyl);  $-(CH_2)_mNR^{20}CONR^{33}R^{82}$  (where  $R^{20}$  is H; or lower alkyl;  $R^{33}$  is H; or lower alkyl; or lower alkenyl;  $R^{82}$  is H; or lower alkyl; or  $R^{33}$  and  $R^{82}$  taken together are  $-(CH_2)_{2-6-}$ ;  $-(CH_2)_2O(CH_2)_2-$ ;  $-(CH_2)_2S(CH_2)_2-$ ; or  $-(CH_2)_2NR^{57}(CH_2)_2-$ ; where  $R^{57}$  is H; or lower alkyl);  $-(CH_2)_mN(R^{20})COR^{64}$  (where:  $R^{20}$  is H; or lower alkyl;  $R^{64}$  is lower alkyl; or lower alkenyl);  $-(CH_2)_oCOOR^{57}$  (where  $R^{57}$  is lower alkyl; or lower alkenyl);  $-(CH_2)_oCONR^{58}R^{59}$  (where  $R^{58}$  is lower alkyl; or lower alkenyl; and  $R^{59}$  is H; lower alkyl; or  $R^{58}$  and  $R^{59}$  taken together are  $-(CH_2)_{2-6-}$ ;  $-(CH_2)_2O(CH_2)_2-$ ;  $-(CH_2)_2S(CH_2)_2-$ ; or  $-(CH_2)_2NR^{57}(CH_2)_2-$ ; where  $R^{57}$  is H; or lower alkyl);

$R^{36}$ : lower alkyl; lower alkenyl; or aryl-lower alkyl;

$R^{37}$  is H; lower alkyl; lower alkenyl;  $-(CH_2)_pOR^{55}$  (where  $R^{55}$  is lower alkyl; or lower alkenyl);

$-(CH_2)_pNR^{33}R^{34}$  (where  $R^{33}$  is lower alkyl; or lower alkenyl;  $R^{34}$  is H; or lower alkyl; or  $R^{33}$  and  $R^{34}$  taken together are  $-(CH_2)_{2-6-}$ ;  $-(CH_2)_2O(CH_2)_2-$ ;  $-(CH_2)_2S(CH_2)_2-$ ; or  $-(CH_2)_2NR^{57}(CH_2)_2-$ ; where  $R^{57}$  is H; or lower alkyl);  $-(CH_2)_pOCONR^{33}R^{75}$  (where  $R^{33}$  is H; or lower alkyl; or lower alkenyl;  $R^{75}$  is lower alkyl; or  $R^{33}$  and  $R^{75}$  taken together are  $-(CH_2)_{2-6-}$ ;  $-(CH_2)_2O(CH_2)_2-$ ;  $-(CH_2)_2S(CH_2)_2-$ ; or

$-(CH_2)_2NR^{57}(CH_2)_2-$ ; where  $R^{57}$  is H; or lower alkyl);  $-(CH_2)_pNR^{20}CONR^{33}R^{82}$  (where  $R^{20}$  is H; or lower alkyl;  $R^{33}$  is H; or lower alkyl; or lower alkenyl;  $R^{82}$  is H; or lower alkyl; or  $R^{33}$  and  $R^{82}$  taken together are  $-(CH_2)_{2-6-}$ ;  $-(CH_2)_2O(CH_2)_2-$ ;  $-(CH_2)_2S(CH_2)_2-$ ; or -

$(\text{CH}_2)_2\text{NR}^{57}(\text{CH}_2)_2$ ; where  $\text{R}^{57}$  is H; or lower alkyl);  $-(\text{CH}_2)_p\text{N}(\text{R}^{20})\text{COR}^{64}$  (where:  $\text{R}^{20}$  is H; or lower alkyl;  $\text{R}^{64}$  is lower alkyl; or lower alkenyl);  $-(\text{CH}_2)_o\text{COOR}^{57}$  (where  $\text{R}^{57}$  is lower alkyl; or lower alkenyl);  $-(\text{CH}_2)_o\text{CONR}^{58}\text{R}^{59}$  (where  $\text{R}^{58}$  is lower alkyl, or lower alkenyl; and  $\text{R}^{59}$  is H; lower alkyl; or  $\text{R}^{58}$  and  $\text{R}^{59}$  taken together are  $-(\text{CH}_2)_{2-6}$ ;  $-(\text{CH}_2)_2\text{O}(\text{CH}_2)_2$ ;  $-(\text{CH}_2)_2\text{S}(\text{CH}_2)_2$ ; or  $-(\text{CH}_2)_2\text{NR}^{57}(\text{CH}_2)_2$ ; where  $\text{R}^{57}$  is H; or lower alkyl);  $-(\text{CH}_2)_o\text{PO}(\text{OR}^{60})_2$  (where  $\text{R}^{60}$  is lower alkyl; or lower alkenyl);  $-(\text{CH}_2)_o\text{SO}_2\text{R}^{62}$  (where  $\text{R}^{62}$  is lower alkyl; or lower alkenyl); or  $-(\text{CH}_2)_q\text{C}_6\text{H}_4\text{R}^8$  (where  $\text{R}^8$  is H; F; Cl;  $\text{CF}_3$ ; lower alkyl; lower alkenyl; or lower alkoxy); and  $\text{R}^{38}$  is H; lower alkyl; lower alkenyl;  $-(\text{CH}_2)_p\text{OR}^{55}$  (where  $\text{R}^{55}$  is lower alkyl; or lower alkenyl);  $-(\text{CH}_2)_p\text{NR}^{33}\text{R}^{34}$  (where  $\text{R}^{33}$  is lower alkyl; or lower alkenyl;  $\text{R}^{34}$  is H; or lower alkyl; or  $\text{R}^{33}$  and  $\text{R}^{34}$  taken together are  $-(\text{CH}_2)_{2-6}$ ;  $-(\text{CH}_2)_2\text{O}(\text{CH}_2)_2$ ;  $-(\text{CH}_2)_2\text{S}(\text{CH}_2)_2$ ; or  $-(\text{CH}_2)_2\text{NR}^{57}(\text{CH}_2)_2$ ; where  $\text{R}^{57}$  is H; or lower alkyl);  $-(\text{CH}_2)_p\text{OCONR}^{33}\text{R}^{75}$  (where  $\text{R}^{33}$  is H; or lower alkyl; or lower alkenyl;  $\text{R}^{75}$  is lower alkyl; or  $\text{R}^{33}$  and  $\text{R}^{75}$  taken together are  $-(\text{CH}_2)_{2-6}$ ;  $-(\text{CH}_2)_2\text{O}(\text{CH}_2)_2$ ;  $-(\text{CH}_2)_2\text{S}(\text{CH}_2)_2$ ; or  $-(\text{CH}_2)_2\text{NR}^{57}(\text{CH}_2)_2$ ; where  $\text{R}^{57}$  is H; or lower alkyl);  $-(\text{CH}_2)_p\text{NR}^{20}\text{CONR}^{33}\text{R}^{82}$  (where  $\text{R}^{20}$  is H; or lower alkyl;  $\text{R}^{33}$  is H; or lower alkyl; or lower alkenyl;  $\text{R}^{82}$  is H; or lower alkyl; or  $\text{R}^{33}$  and  $\text{R}^{82}$  taken together are  $-(\text{CH}_2)_{2-6}$ ;  $-(\text{CH}_2)_2\text{O}(\text{CH}_2)_2$ ;  $-(\text{CH}_2)_2\text{S}(\text{CH}_2)_2$ ; or  $-(\text{CH}_2)_2\text{NR}^{57}(\text{CH}_2)_2$ ; where  $\text{R}^{57}$  is H; or lower alkyl);  $-(\text{CH}_2)_p\text{N}(\text{R}^{20})\text{COR}^{64}$  (where:  $\text{R}^{20}$  is H; or lower alkyl;  $\text{R}^{64}$  is lower alkyl; or lower alkenyl);  $-(\text{CH}_2)_o\text{COOR}^{57}$  (where  $\text{R}^{57}$  is lower alkyl; or lower alkenyl);  $-(\text{CH}_2)_o\text{CONR}^{58}\text{R}^{59}$  (where  $\text{R}^{58}$  is lower alkyl, or lower alkenyl; and  $\text{R}^{59}$  is H; lower alkyl; or  $\text{R}^{58}$  and  $\text{R}^{59}$  taken together are  $-(\text{CH}_2)_{2-6}$ ;  $-(\text{CH}_2)_2\text{O}(\text{CH}_2)_2$ ;  $-(\text{CH}_2)_2\text{S}(\text{CH}_2)_2$ ; or  $-(\text{CH}_2)_2\text{NR}^{57}(\text{CH}_2)_2$ ; where  $\text{R}^{57}$  is H; or lower alkyl);  $-(\text{CH}_2)_o\text{PO}(\text{OR}^{60})_2$  (where  $\text{R}^{60}$  is lower alkyl; or lower alkenyl);  $-(\text{CH}_2)_o\text{SO}_2\text{R}^{62}$  (where  $\text{R}^{62}$  is lower alkyl; or lower alkenyl); or  $-(\text{CH}_2)_q\text{C}_6\text{H}_4\text{R}^8$  (where  $\text{R}^8$  is H; F; Cl;  $\text{CF}_3$ ; lower alkyl; lower alkenyl; or lower alkoxy).

15. (original) Compounds according to claim 15 wherein  $\text{R}^1$  is H;  $\text{R}^{20}$  is H;  $\text{R}^{30}$  is H;  $\text{R}^{31}$  is carboxymethyl; or lower alkoxy carbonylmethyl;  $\text{R}^{32}$  is H;  $\text{R}^{35}$  is methyl;  $\text{R}^{36}$  is methoxy;  $\text{R}^{37}$  is H and  $\text{R}^{38}$  is H.

16. (currently amended) Compounds according to ~~any one of claims 1 to 15~~ wherein the  $\alpha$ -amino acid residues in position 1 – 12 of chain Z are:

- P1: of type C or of type D or of type E or of type F,
- P2: of type D or of type E;
- P3: of type C;
- P4: of type E or of type I or of type F;
- P5: of type E or of type I or of type F;
- P6: of type E or of type I or of type D or of formula -A1-A69-CO;
- P7: of type E or of type I or of type C or of formula B-CO;
- P8: of type D;
- P9: of type E;
- P10: of type D or of type C,
- P11: of type E or of type D; or of type C and
- P12: of type C or of type D or of type E or of type F;
- at P6 and P7 also D-isomers being possible;

with the proviso that at least one of the amino acid residues is of type I.

17. (original) Compounds according to claim 16 wherein the  $\alpha$ -amino acid residues in position 1 – 12 of the chain Z are:

- P1: Leu; Thr; or Arg;
- P2: Arg; or Trp;
- P3: Leu;
- P4: Lys; hArg; (BA)G; or Gln;
- P5: Lys; Gln; hArg; or (PeA)G;
- P6: Arg, Trp, hArg; (EGU)G;  
- (EA)G; (PrA)G; (PeA)G or (BA)G;
- P7: Arg; (PeA)G; or Val
- P8: Trp; or Bip;
- P9: Lys; Arg; or hArg;

- P10: Tyr;
- P11: Arg; or Tyr; and
- P12: Val; or Arg

with the proviso that

- the amino acid residue in P4 is (BA)G; and/or
- the amino acid residue in P5 is (PeA)G; and/or
- the amino acid residue in P6 is (EGU)G or (EA)G or (PrA)G or (PeA)G or (BA)G; and/or
- the amino acid residue in P7 is (PeA)G.

18. (original) A compound of formula I according to claim 1 wherein the template is <sup>D</sup>Pro-<sup>L</sup>Pro and the amino acid residues in position 1 – 12 are:

- P1: Leu;
- P2: Arg;
- P3: Leu;
- P4: Lys;
- P5: Lys;
- P6: (EA)G;
- P7: Arg;
- P8: Trp;
- P9: Lys;
- P10: Tyr;
- P11: Arg; and
- P12: Val.

19. (original) A compound of formula Ia according to claim 1 wherein the template is <sup>D</sup>Pro-<sup>L</sup>Pro and the amino acid residues in position 1 – 12 are:

- P1: Leu;
- P2: Arg;
- P3: Leu;

- P4: hArg;
- P5: hArg;
- P6: (EGU)G;
- P7: Arg;
- P8: Trp;
- P9: hArg;
- P10: Tyr;
- P11: Arg; and
- P12: Val.

20. (original) A compound of formula I according to claim 1 wherein the template is <sup>D</sup>Pro-<sup>L</sup>Pro and the amino acid residues in position 1 – 12 are:

- P1: Leu;
- P2: Arg;
- P3: Leu;
- P4: Lys;
- P5: Lys;
- P6: (PrA)G;
- P7: Arg;
- P8: Trp;
- P9: Lys;
- P10: Tyr;
- P11: Arg; and
- P12: Val.

21. (original) A compound of formula I according to claim 1 wherein the template is <sup>D</sup>Pro-<sup>L</sup>Pro; and the amino acid residues in position 1 – 12 are:

- P1: Leu;
- P2: Arg;
- P3: Leu;

- P4: Lys;
- P5: Lys;
- P6: (BA)G;
- P7: Arg;
- P8: Bip;
- P9: Lys;
- P10: Tyr;
- P11: Arg; and
- P12: Val.

22. (original) A compound of formula I according to claim 1 wherein the template is <sup>D</sup>Pro-<sup>L</sup>Pro and the amino acid residues in position 1 – 12 are:

- P1: Leu;
- P2: Arg;
- P3: Leu;
- P4: (BA)G;
- P5: Lys;
- P6: (BA)G;
- P7: Arg;
- P8: Bip;
- P9: Lys;
- P10: Tyr;
- P11: Arg; and
- P12: Val.

23. (original) A compound of formula I according to claim 1 wherein the template is <sup>D</sup>Pro-<sup>L</sup>Pro and the amino acid residues in position 1 – 12 are:

- P1: Leu;
- P2: Arg;
- P3: Leu;

Applicant: Vrijbloed, et al.  
Application No: Unassigned  
Filing Date: Herewith  
Docket No: 753-50 PCT/US  
Page 50

- P4: Lys;
- P5: Lys;
- P6: (PrA)G;
- P7: Arg;
- P8: Bip;
- P9: Lys;
- P10: Tyr;
- P11: Arg; and
- P12: Val.

24. (original) A compound of formula I according to claim 1 wherein the template is <sup>D</sup>Pro-<sup>L</sup>Pro and the amino acid residues in position 1 – 12 are:

- P1: Arg;
- P2: Trp;
- P3: Leu;
- P4: Lys;
- P5: Lys;
- P6: Arg;
- P7: (PeA)G;
- P8: Trp;
- P9: Lys;
- P10: Tyr;
- P11: Tyr; and
- P12: Val.

25. (original) A compound of formula I according to claim 1 wherein the template is <sup>D</sup>Pro-<sup>L</sup>Pro and the amino acid residues in position 1 – 12 are:

- P1: Arg;
- P2: Trp;
- P3: Leu;



- P4: Gln;
- P5: (PeA)G;
- P6: Arg;
- P7: Arg;
- P8: Trp;
- P9: Lys;
- P10: Tyr;
- P11: Tyr; and
- P12: Arg.

26. (original) A compound of formula I according to claim 1 wherein the template is <sup>D</sup>Pro-<sup>L</sup>Pro and the amino acid residues in position 1 – 12 are:

- P1: Arg;
- P2: Trp;
- P3: Leu;
- P4: Lys;
- P5: (PeA)G;
- P6: Arg;
- P7: Arg;
- P8: Trp;
- P9: Lys;
- P10: Tyr;
- P11: Tyr; and
- P12: Val.

27. (original) A compound of formula I according to claim 1 wherein the template is <sup>D</sup>Pro-<sup>L</sup>Pro and the amino acid residues in position 1 – 12 are:

- P1: Thr;
- P2: Trp;
- P3: Leu;

- P4: Lys;
- P5: (PeA)G;
- P6: Arg;
- P7: Arg;
- P8: Trp;
- P9: Lys;
- P10: Tyr;
- P11: Tyr; and
- P12: Arg.

28. (original) A compound of formula I according to claim 1 wherein the template is <sup>D</sup>Pro-<sup>L</sup>Pro and the amino acid residues in position 1 – 12 are:

- P1: Arg;
- P2: Trp;
- P3: Leu;
- P4: Gln;
- P5: Lys;
- P6: Arg;
- P7: (PeA)G;
- P8: Trp;
- P9: Lys;
- P10: Tyr;
- P11: Tyr; and
- P12: Arg.

29. (original) A compound of formula I according to claim 1 wherein the template is <sup>D</sup>Pro-<sup>L</sup>Pro and the amino acid residues in position 1 – 12 are:

- P1: Thr;
- P2: Trp;
- P3: Leu;

- P4: Lys;
- P5: (PeA)G;
- P6: Arg;
- P7: Arg;
- P8: Trp;
- P9: Lys;
- P10: Tyr;
- P11: Tyr; and
- P12: Arg.

30. (original) Enantiomers of the compounds of formula I as defined in claim 1.

31. (currently amended) Compounds according to ~~any one of claims 1 to 30~~ for use as therapeutically active substances.

32. (original) Compounds according the claim 31 having antibacterial activity.

33. (currently amended) A pharmaceutical composition containing a compound according to ~~any one of claims 1 to 30~~ and a pharmaceutically inert carrier.

34. (original) Compositions according to claim 33 in a form suitable for oral, topical, transdermal, injection, buccal, transmucosal, pulmonary or inhalation administration.

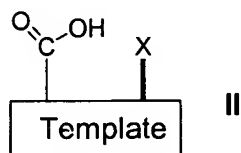
35[34].(currently amended) Compositions according to claim 33 ~~or 34~~ in form of tablets, dragees, capsules, solutions, liquids, gels, plaster, creams, ointments, syrup, slurries, suspensions, spray, nebuliser or suppositories.

36[35]. (currently amended)The use of compounds according to ~~any one of claims 1 to 30~~ for the manufacture of a medicament for treating or preventing infections or diseases related to such infections, said disease being in particular Cystic Fibrosis.

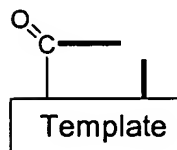
37[36]. (currently amended) The use of compounds according to ~~any one of~~ claims 1 to 30 as disinfectants or preservatives for foodstuffs, cosmetics, medicaments and other nutrient-containing materials.

38[37]. (currently amended) A process for the manufacture of compounds according to ~~any one of~~ claims 1-29 which process comprises

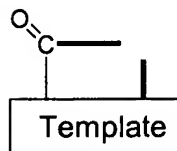
- (a) coupling an appropriately functionalized solid support with an appropriately N-protected derivative of that amino acid which in the desired end-product is in position 5, 6 or 7, any functional group which may be present in said N-protected amino acid derivative being likewise appropriately protected;
- (b) removing the N-protecting group from the product thus obtained;
- (c) coupling the product thus obtained with an appropriately N-protected derivative of that amino acid which in the desired end-product is one position nearer the N-terminal amino acid residue, any functional group which may be present in said N-protected amino acid derivative being likewise appropriately protected;
- (d) removing the N-protecting group from the product thus obtained;
- (e) repeating steps (c) and (d) until the N-terminal amino acid residue has been introduced;
- (f) coupling the product thus obtained with a compound of the general formula



wherein



is as defined above and X is an N-protecting group or, if



is to be group (a1) or (a2), above, alternatively

(fa) coupling the product obtained in step (e) with an appropriately N-protected derivative of an amino acid of the general formula



wherein B and A are as defined above, any functional group which may be present in said N-protected amino acid derivative being likewise appropriately protected;

(fb) removing the N-protecting group from the product thus obtained; and

(fc) coupling the product thus obtained with an appropriately N-protected derivative of an amino acid of the above general formula IV and, respectively, III, any functional group which may be present in said N-protected amino acid derivative being likewise appropriately protected;

(g) removing the N-protecting group from the product obtained in step (f) or (fc);

(h) coupling the product thus obtained with an appropriately N-protected derivative of that amino acid which in the desired end-product is in position 12, any functional group which may be present in said N-protected amino acid derivative being likewise appropriately protected;

(i) removing the N-protecting group from the product thus obtained;

(j) coupling the product thus obtained with an appropriately N-protected derivative of that amino acid which in the desired end-product is one position farther away from position 12, any functional group which may be present in said N-protected amino acid derivative being likewise appropriately protected;

(k) removing the N-protecting group from the product thus obtained;

(l) repeating steps (j) and (k) until all amino acid residues have been introduced;

(m) if desired, selectively deprotecting one or several protected functional group(s) present in the molecule and appropriately substituting the reactive group(s) thus liberated;

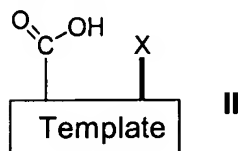
(o) detaching the product thus obtained from the solid support;

(p) cyclizing the product cleaved from the solid support;

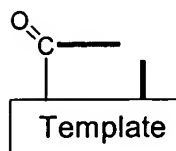
- (q) if desired, forming one or two interstrand linkage(s) between side-chains of appropriate amino acid residues at opposite positions of the  $\beta$ -strand region;
- (r) removing any protecting groups present on functional groups of any members of the chain of amino acid residues and, if desired, any protecting group(s) which may in addition be present in the molecule;
- (s) if desired guanidinylation any side-chain amino group present in the chain of amino acid residues; and
- (t) if desired, converting the product thus obtained into a pharmaceutically acceptable salt or converting a pharmaceutically acceptable, or unacceptable, salt thus obtained into the corresponding free compound of formula I or into a different, pharmaceutically acceptable, salt.

39[38]. (currently amended) A process for the manufacture of compounds according to ~~any~~ ~~one of~~ claims 1-29 which process comprises

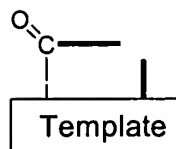
- (a') coupling an appropriately functionalized solid support with a compound of the general formula



wherein



is as defined above and X is an N-protecting group or, if



is to be group (a1) or (a2), above, alternatively

(a'a) coupling said appropriately functionalized solid support with an appropriately N-protected derivative of an amino acid of the general formula



wherein B and A are as defined above, any functional group which may be present in said N-protected amino acid derivative being likewise appropriately protected;

(a'b) removing the N-protecting group from the product thus obtained; and

(a'c) coupling the product thus obtained with an appropriately N-protected derivative of an amino acid of the above general formula IV and, respectively, III, any functional group which may be present in said N-protected amino acid derivative being likewise appropriately protected;

(b') removing the N-protecting group from the product obtained in step (a') or (a'c);

(c') coupling the product thus obtained with an appropriately N-protected derivative of that amino acid which in the desired end-product is one position nearer the N-terminal amino acid residue, any functional group which may be present in said N-protected amino acid derivative being likewise appropriately protected;

(d') removing the N-protecting group from the product thus obtained;

(e') coupling the product thus obtained with an appropriately N-protected derivative of that amino acid which in the desired end-product is one position farther away from position 12, any functional group which may be present in said N-protected amino acid derivative being likewise appropriately protected;

(f') removing the N-protecting group from the product thus obtained;

(g') repeating steps (e') and (f') until all amino acid residues have been introduced;

(h') if desired, selectively deprotecting one or several protected functional group(s) present in the molecule and appropriately substituting the reactive group(s) thus liberated;

(i') detaching the product thus obtained from the solid support;

(j') cyclizing the product cleaved from the solid support;

(k') if desired forming one or two interstrand linkage(s) between side-chains of appropriate amino acid residues at opposite positions of the  $\beta$ -strand region;

(l') removing any protecting groups present on functional groups of any members of the chain of amino acid residues and, if desired, any protecting group(s) which may in addition be

present in the molecule;

(m') if desired guanidinylation any side-chain amino group present in the chain of amino acid residues; and

(n') if desired, converting the product thus obtained into a pharmaceutically acceptable salt or converting a pharmaceutically acceptable, or unacceptable, salt thus obtained into the corresponding free compound of formula I or into a different, pharmaceutically acceptable, salt.

40[39].(currently amended)A process according to claim ~~37~~ or 38 but wherein an amino acid residue of type I or K is introduced by coupling with a leaving group-containing acetylating agent, followed by nucleophilic displacement with an amine of the formula  $H_2NR^{86}$  and, respectively,  $H_2NR^{87}$  which, if necessary, is appropriately protected.

41[40]. (currently amended)A process according to claim 40 ~~39~~ wherein said leaving group-containing acetylating agent is bromo, chloro or iodo acetic acid.

42[41]. (currently amended)A modification of the processes according to ~~any one of~~ claims ~~37 to 40~~ 38 for the manufacture of compounds according to claim 30 in which enantiomers of all chiral starting materials are used.

43. (new) A process according to claim 39 but wherein an amino acid residue of type I or K is introduced by coupling with a leaving group-containing acetylating agent, followed by nucleophilic displacement with an amine of the formula  $H_2NR^{86}$  and, respectively,  $H_2NR^{87}$  which, if necessary, is appropriately protected.

44. (new) A process according to claim 43 wherein said leaving group-containing acetylating agent is bromo, chloro or iodo acetic acid.

45. (new) A modification of the process according to claim 39 for the manufacture of compounds according to claim 30 in which enantiomers of all chiral starting materials are used.